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(54) OPTICALLY ACTIVE QUATERNARY AMMONIUM SALT HAVING AXIAL ASYMMETRY AND PROCESS FOR PRODUCING -AMINO ACID AND DERIVATIVE THEREOF WITH THE SAME

(57) The present invention provides a chiral phasetransfer catalyst of the following formula (I): The compound (i) can be produced by reacting a 2,2'-dimethylene bromide-1,1'-biphenyl derivative, which can be produced through comparatively small number of steps, with an easily available secondary amine.

Description

Technical Field

5 [0011] The present invention relates to optically active quaternary ammonium salts having axial asymmetry and methods for producing postically active caratino actids and derivatives thereof by using this optically active queternary ammonium salt having axial asymmetry as a phase-transfer catalyst.

10 Background Art

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[0002] α-Alkyl-α-amino acids represented by the formula H₂NCH(R)COOH are very important naturally-occurring α-amino acids. α-Alkyl-α-amino acids in which the α-carbon has the L-configuration are a structural component of proteins (polypeptide chains) that exist in animals, plants, and microorganisms, for example. The D-form of α-alkyl-α-amino acids exists in plants, fungl and microorganisms as a structural component of non-proteogenic compounds. On the other hand, α-α-dialkyl-α-amino acids are recently gaining attention because of their unique functions, including the fact that they are stereochemically stable and that when they are incorporated into peptides, those peptides are not susceptible to enzymatic hydrolysis by proteases (see Bellier, 8 et al. (1997). Med. Chem. 403-947 and Mossel, E. et al. (1997). Tetrahedron Asymmetry 8:1305). These properties have led α-α-dialkyl-α-amino acids to be considered for use as chiral building blocks to the synthesis of peptides having enhanced activity, effective enzyme inhibitors, and compounds having other biological activities. Methods for synthesizing non-proteogenic α-amino acids, particularly α-α-dialkyl-α-amino acids, by selectively building the stereochemistry of the α-carbon have been investigated, but at the present time, a practical method has not vet been found.

[0003] Chiral phase-transfer catalysts allow stereoselective allylation of glycine derivatives and are easy to use and can be applied widely, and thus have become increasingly important in the field of process chemistry. A large number of researches into designing phase-transfer catalysts have been conducted mainly by using cinchona alkaloid derivatives, and to date several useful methods have been reported (e.g., see Shiorit, T. et al., Stimulating Concepts in Chemistry, edited by Orgele, F. et al., WILE-Y-VCH Welhnelm, p. 123, 2000; and O'Donnell, M. J. (2001). Airchinchina Acta, 343). However, when such phase-transfer catalysts are used, various problems are caused, including the fact that halogen-based solvents are employed, the reaction is slugglish, and low temperature conditions are required, in particular, the use of chiral phase-transfer catalysts derived from such cinchona alkaloids is not particularly efficient in the synthesis of acc-distilly-campins of acc.

[0004] The present inventors have prepared an optically active quaternary armonium sait having axial asymmetry, and have clearly shown that it can be used as a phase-transfer clustylet for stereoselectively synthesizing α -callyt-carnino acids and α , α -diallyt- α -amino acids (see Japanese Laid-Open Patent Publication No. 2001-48866; Japanese Laid-Open Patent Publication No. 2003-81976; and Ool, T. et al. (2000). J. Am. Others. Soc. 1225528). For example, a spiro-compound represented by the following formula is very effective for stereoselectively producing α -diallyt-carnino acids because it catalyzes the stereoselective double alkylation of glycine derivatives and the stereoselective monoalkylation α -diallyt-carnino acid derivatives.

(where PhF₃ represents a 3.4.5-trifluorophenyl group). However, the preparation of such spiro-type catalysts requires many steps, and for example, it chiral binaphthol, which is easily available, is used as the starting raw material, then eleven process steps are required just to prepare the left half of this catalyst structure. Therefore, drawbacks of conventional optically active quaternary armonium salts having axial asymmetry are extremely time-consuming and costly nature of their preparation.

Disclosure of Invention

[0005] It is an object of the present invention to provide a chiral phase-transfer catalyst that has a simple structure and that can be produced in a fewer number of steps.

[0006] The present invention provides a compound represented by the following formula (I):

wherein

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R1, R1, R2, and R2 are each independently:

a hydrogen atom;

a halogen atom;

a C_1 to C_5 alkyl group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group; or

a Ci to C₅ alkoxy group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group;

R3 and R3 are each independently:

a halogen atom:

a C₁ to C₅ alkyl group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group; or

a C₁ to C₅ alkoxy group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group;

R4 and R4' are groups independently selected from the group consisting of:

(i) a hydrogen atom;

(ii) -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may

be substituted with a halogen atom):

(iii) a cyano group;

(iv) a nitro group;

(v) a carbamoyl group;

(vi) an N-(C₁ to C₄ alkyl)carbamoyl group;

(vii) an N,N-di(C₁ to C₄ alkyl)carbamoyl group;

(viii) -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a

halogen atom); (ix) a halogen atom:

(x) a C_1 to C_6 alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen

(xi) a C_2 to C_6 alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:

(xii) a C2 to C6 alkynyl group that may be branched or form a cyclic group and that may be substituted with a

halonen atom:

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(xiii) an aralkyl group, wherein the aryl moiety of the aralkyl group may be substituted with at least one group selected from the group consisting of:

- a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an any group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyang group, NR^30R^{31} (where R^{30} and R^{31} are each independently a hydrogen atom or G_1 to G_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N-C_1$ to C_4 alkyl group that may be branched and that may be substituted with a halogen atom) and $N-C_1$ to C_4 alkyl group that may be branched and that may be substituted with a halogen atom).

a cvano group.

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group,

a carbamoyl group.

an N-(C, to C, alkyl)carbamovi group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

 -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom:

(xiv) a heteroaralkyl group having a heteroaryl molety, wherein the heteroaryl molety may be substituted with at least one group selected from the group consisting of:

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₂ alkoxy group that may be branched and that may be substituted with a halogen atom, an any group that may be branched and that may be substituted with a halogen atom, a C₁ to C₂ alkly group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR³⁰R³¹ (where R³⁰ and R³¹ are each independentily a hydrogen atom or a C₁ to C₂ alkly group that may be substituted with a halogen atom, a mitro group, a catebaneyl group, an IN-diC₁ to C₂ alkly/lacetheroly group, an IN-diC₁ to C₄ alkly/lacetheroly group, an IN-diC₁ to C₄ alkly/lacetheroly group, and IN-diC₁ to C₄ alkly/lacetheroly group, and IN-diC₁ to C₄ alkly/lacetheroly group, and IN-diC₁ to C₄ alkly/lacetheroly group.

a cvano group.

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group.

a carbamoyi group,

an N-(C₁ to C₄ alkyi)carbamoyi group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR 9 (where R 9 is a C $_1$ to C $_4$ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom;

(xv) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom,

an any group that may be substituted with a halogen atom, a C_1 to C_2 alkly group that may be branched and that may be substituted with a halogen atom, a cyang cropup, NR9 $^{\rm cop}$ (where $R^{\rm co}$ and $R^{\rm cl}$) are each independently a hydrogen atom or C_1 to C_2 alkly group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N- $(C_1$ to C_4 alkly)carbamoyl group, an N,N-di(C_1 to C_4 alkly)carbamoyl group, an N- $(C_1$ to C_4 alkly) group that may be branched and that may be substituted with a halogen atom).

a cvano group

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may

be substituted with a halogen atom), a nitro group, an articologoup (group, an N-Cq, to C_a alky)parbamoyl group, an N-Cq, to C_a alky)parbamoyl group, an N-N-di(C_1 to C_a alky)parbamoyl group, an N-N-di(C_1 to C_a alky)parbamoyl group, an N-H-di(C_1 to C_2 alky)parbamoyl group, and the other Pi is a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom), and a halogen atom;

or may be substituted with -O-(CH₂)p-O- (where p is 1 or 2) at positions 3 and 4 that are taken together; (xvi) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a C_1 to C_6 alkoxy group that may be branched and that may be substituted with a halogen atom.

a c_1 to c_2 allowly gloup intenting to entainment and unitary be assustance with an landogen atom, a cn an any group that may be substituted with a halogen atom, a c_1 to C_2 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $NR^{30}C^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or $a C_1$ to C_2 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N-C^{11}$ (where R^{31} is a C_1 to C_2 alkyl group that may be substituted with a halogen atom) group, an $N-C^{11}$ (where R^{31} is a C_1 to C_2 alkyl group that may be branched and that may be substituted with a halogen atom).

a cyano group. - $NA^{20}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom),

a nitro group, a carbamoyi group,

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an N-(C₁ to C₂ alkyl)carbamovi group.

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom; and

(xvii) -S(O)_n-R (where n is 0, 1, or 2, and R is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom);

R7 and R8 are groups independently selected from the group consisting of:

(i) a C₁ to C₃₀ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;

(ii) a ${\bf C_2}$ to ${\bf C_{12}}$ alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;

(iii) a C_2 to C_{12} alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;

(iv) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of:

a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C, to C, alkoxy group that may be branched and that may be substituted with a halogen atom,

an any group that may be substituted with a halogen atom, a C_1 to C_2 alkly group that may be branched and that may be substituted with a halogen atom, a cyano group, $-NR^{20}R^{21}$ (where R^{20} and R^{21} are each independently a hydrogen atom or a C_1 to C_2 alkly group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N-C_1$ to C_2 alkyl group that may be branched and that may be substituted with a halogen atom).

a cyano group,

 $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom).

a nitro group,

- a carbamoyl group,
- an N-(C₁ to C₄ alkyl)carbamoyl group,
- an N,N-di(C1 to C4 alkyl)carbamoyl group,
- -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a
- halogen atom), and a halogen atom:

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 (v) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

- a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
- a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,
- an anyl group that may be substituted with a halogen atom, a C_1 to C_4 alklyl group that may be branched and that may be substituted with a halogen atom, a oyano group. $\times 10^{20} R^{31}$ (where R^{20} and R^{31} are each independently a hydrogen atom or C_1 to C_4 alklyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C_1 to C_4 alklyl group that may be branched and that may be substituted with a halogen atom).
- a cyano group,
 -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may
 be substituted with a halogen atom),
 - a nitro group.
- a carbamoyl group,
 - an N-(C₁ to C₄ alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group,
 - -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
- a halogen atom;
 - (vi) -(CH₂)_nOCONR¹⁰R¹¹ (where R¹⁰ and R¹¹ are groups independently selected from the group consisting of:
 - (1) a hydrogen atom:
 - (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) a C₂ to C₆ alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;
 - (4) a ${\bf C}_2$ to ${\bf C}_6$ alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:
 - (5) an aralkyl group, wherein the aryl moiety of the aralkyl group may be substituted with at least one group selected from the group consisting of:
 - a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C_1 to C_5 alkoxy group that may be branched and that may be substituted with a halogen atom, an aryl group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched
 - and that may be substituted with a halogen atom, a cyano group, $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_2 allky group that may be substituted with a halogen atom, a nitro group, a carbamoyl group, an $N_1-G(C_1$ to C_2 allky) scarbamoyl group, an $N_1-G(C_1$ to C_3 allky) are group that may be branched and
 - that may be substituted with a halogen atom),
- -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that
 may be substituted with a halogen atom),
 - a nitro group,
 - a carbamoyl group,
 - an N-(C₁ to C₄ alkyl)carbamoyl group,
 - an N,N-di(C1 to C4 alkyl)carbamoyl group,
 - -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and a halogen atom:

(6) a heterographyl group having a heterogryl mojety, wherein the heterogryl mojety may be substituted with at least one group selected from the group consisting of:

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C₄ to C₆ alkoxy group that may be branched and that may be substituted with a halogen atom,

an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C, to C, alkyl)carbamoyl group, an N,N-di(C, to C, alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C, to C, alkyl group that may be branched and that may be substituted with a halogen atom).

a cyano group.

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-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₂ alkyl group that may be substituted with a halogen atom),

a nitro group.

a carbamoyl group,

an N-(C₁ to C₄ alkyl)carbamovi group,

an N,N-di(C, to C, alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom;

(7) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,

an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and

that may be substituted with a halogen atom).

a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom),

a nitro group.

a carbamovi group.

an N-(C1 to C4 alkyl)carbamovi group.

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and

a halogen atom; and

(8) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C. to C. alkyl group that may be branched and that may be substituted with a halogen atom.

a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom, an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₂ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and

a cyano group,

that may be substituted with a halogen atom).

-NR39R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom).

- a nitro group, a carbamoyl group, an N-(C₁ to C₂ alkyl)carbamoyl group,
 - an N,N-di(C₁ to C₄ alkyl)carbamoyl group,
- NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
 - a halogen atom;
- and n is an integer from 1 to 12);

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- (vii) -(CH_o), CONR¹²R¹³ (where R¹² and R¹³ are groups independently selected from the group consisting of:
 - (1) a hydrogen atom;
 - (2) a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of:
 - a C₄ to C₆ alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an any group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $\cdot NR^{20/81}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N\cdot (C_1$ to C_4 alkyl)carbamoyl group, an $N\cdot (C_1$ to C_4 alkyl)carbamoyl group, an $N\cdot (C_1$ to C_4 alkyl group that may be branched and that may be substituted with a halogen atom).
 - a cyano group,
 - $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom).
 - a nitro group,
 - a carbamoyl group,
 - an N-(C, to C, alkyl)carbamovi group,
 - an N,N-di(C₁ to C₄ alkyl)carbamoyl group,
 - -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with
 - a halogen atom), and
 - a halogen atom; and
 - (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:
- a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C₄ to C₆ alkoxy group that may be branched and that may be substituted with a halogen atom.
 - an any group that may be substituted with a halogen atom, a C₁ to C₄ alkly group that may be branched and that may be substituted with a halogen atom, a cyano group, ~\mathbb{N}^{20} \mathbb{R}^{21} \text{ (where R}^{20} and R}^{21} \text{ are each independently a hydrogen atom or a C₁ to C₄ alkly group that may be substituted with a halogen atom), a nitro group, a cerbamoyl group, an N-Cd₁ to C₄ alkly/scarbamoyl group, an N-N-cd(C₇ to C₄ alkly/scarbamoyl group, and N-Cd₇ where R R is a C₁ to C₄ alkly/group that may be branched and
 - that may be substituted with a halogen atom),
 - a cyano group,
 -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₂ to C₂ alkyl group that
 - may be substituted with a halogen atom),
 - a nitro group,
 - a carbamoyl group, an N-(C₁ to C₂ alkyl)carbamoyl group,
 - an N.N-di(C₄ to C₄ alky)/carbamoyl group,
 - -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
 - a halogen atom; and n is an integer from 1 to 12);
 - (viii) -(CH₂), NR¹²COR¹³ (where R¹² and R¹³ are groups independently selected from the group consisting of:

(1) a hydrogen atom:

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- (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
- (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:
 - a C, to C, alkyl group that may be branched and that may be substituted with a halogen atom.
 - a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an any group that may be substituted with a halogen atom, a C_1 to C_2 allfyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $NR^{20}R^{21}$ (where R^{20} and R^{21} are each independently a hydrogen atom or a C_1 to C_2 allfyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N^{4}C_1$ to C_2 allfylcarbamoyl group, an $N^{4}C_1$ to C_3 allfylcarbamoyl group, an $N^{4}C_1$ to C_4 allfylcarbamoyl group, an $N^{4}C_1$ to C_4 allfylcarbamoyl group, and $N^{4}C_1$ to $N^{4}C_2$ allfylcarbamoyl group, and $N^{4}C_2$ allfylca
 - a cyano group,
 - -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),
 - may be substil a nitro group,
 - a carbamoyl group,
 - an N-(C, to C, alkyl)carbamoyl group,
 - an N,N-di(C1 to C4 alkyl)carbamoyl group,
 - -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
 - a halogen atom; and
- (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:
- a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C₁ to C₂ alkoxy group that may be branched and that may be substituted with a halogen atom, an any group that may be substituted with a halogen atom, a C₁ to C₂ alkly group that may be substituted with a halogen atom, a C₂ to C₃ alkly group that may be substituted with a halogen atom, a cyano group, NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₂ alkly group that may be substituted with a halogen.
 - reach integer learning a hydrogen atom for a γ_1 to χ_2 and γ_3 only that may be substituted with a randogen atom), a ribin group, a carbamoly group, an N-N-d(C, to C, alkyl)carbamoly group, an N-N-d(C, to C, alkyl)carbamoly group, or -N-HCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), a cyran group.
- -NR^{30R}3¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).
- a nitro group.
 - a carbamovi group.
 - an N-(C₁ to C₄ alkyl)carbamoyl group,
 - an N.N-di(C4 to C4 alkyl)carbamoyl group,
- -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with
- a halogen atom), and a halogen atom:
- and n is an integer from 1 to 12);
- (ix) -(CH₂),NR¹²R¹³ (where R¹² and R¹³ are groups independently selected from the group consisting of:
 - (1) a hydrogen atom:
 - (2) a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:
 - a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C, to C_S alkoxy group that may be branched and that may be substituted with a halogen atom, an axyl group that may be substituted with a halogen atom, a C_T to C_A alkyl group that may be branched and that may be substituted with a halogen atom, a cvano group. $NR^{30}R^{31}$ (where R^{30} and R^{31} are

each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N- $(C_1$ to C_2 alkyl)carbamoyl group, an N-(N- $(C_1$ to C_2 alkyl)carbamoyl group, or N-(N- $(C_1$ where R^n is a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom).

a cyano group.

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),

a nitro group.

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a carbamoyl group,

an N-(C₁ to C₄ alkyl)carbamoyl group,

an N,N-di(C, to C, alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and

a halogen atom; and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C, to C, alkoxy group that may be branched and that may be substituted with a halogen atom.

an anyl group that may be substituted with a halogen atom, a C₁ to C₄ allyl group that may be branched and that may be substituted with a halogen atom, a cyano group, NR9³01 (where R9³ and R9³ are each independently a hydrogen atom or a C₁ to C₄ allyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C₁ to C₄ allyl)carbamoyl group, an N,N-di(C, to C₄ allyl)carbamoyl group, and N,N-di(C, to C, to C₄ allyl)carbamoyl group, and N,N-di(C, to C, to C, to C, t

a cyano group.

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),

a nitro group,

a carbamoyl group,

an N-(C1 to C4 alkyl)carbamoyl group,

an N.N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom), and a halogen atom;

and n is an integer from 1 to 12);

(x) - $(CH_2)_n Y$ - OR^{12} (where Y is a C_1 to C_4 divalent saturated hydrocarbon group that may be branched and that may be substituted with a halogen atom, and R^{12} is a group selected from the group consisting of:

(1) a hydrogen atom;

(2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;

(3) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of:

a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom,

an any group that may be substituted with a helogen atom, a C_1 to C_4 allkyl group that may be branched and that may be substituted with a helogen atom, a cyano group, NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C_1 to C_4 allkyl group that may be substituted with a helogen atom), a nitro group, a carbamoyl group, an N- $(C_1$ to C_4 alkyl/carbamoyl group, an N,N-di(C_1 to C_4 alkyl/group that may be branched and that may be substituted with a helogen atom), a cyano group,

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),

a nitro group.

a carbamoyl group,

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C4 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and

a halogen atom; and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom, an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom),

a cvano group.

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-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom).

a nitro group, a carbamoyl group.

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C, to C, alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and a halogen atom;

and n is an integer from 1 to 12);

(xi) -(CH₂),-OR¹² (where R¹² is a group selected from the group consisting of:

(1) a hydrogen atom:

(2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom; (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₂ alkoxy group that may be branched and that may be substituted with a halogen atom. an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C₁ to C₄ alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and

a cvano group.

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that

may be substituted with a halogen atom),

that may be substituted with a halogen atom).

a nitro group.

a carbamoyl group,

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom: and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a C_1 to C_6 alkoys group that may be be tranched and that may be substituted with a halogen atom, an any group that may be substituted with a halogen atom, a C_1 to C_6 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $-NR^{50}R^{51}$ (where R^{50} and R^{51} are each independently a hydrogen atom or a C_1 to C_6 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoryl group, an $NN^{-1}(C_1)$ to C_6 alkyly/sarbamoryl group, an $NN^{-1}(C_1)$ to C_6 alkyly/sarbamoryl group, an $NN^{-1}(C_1)$ to C_6 alkyly group that may be branched and that may be substituted with a halogen atom).

a cysno group, $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom).

a nitro group,

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a mao group,

a carbamoyl group,

an N-(C₁ to C₄ alkyl)carbamoyl group,

an N.N-di(C₄ to C₄ alkyl)carbamovI group.

 -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom:

20 and n is an integer from 1 to 12);

(xii) -(CH₂)_n-S-R¹² (where R¹² is a group selected from the group consisting of:

(1) a hydrogen atom:

consisting of :

- (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
 (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group
- a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C₂ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group. AlR90²91 (where R9³⁰ and R9³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoly group, an N-ICC₅ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoly group, an N-ICC₅ to C₅ alkyl/parchamoly group, an N-ICC₅ for R9¹¹ is C₅ to C₅, alkyl group that may be branched and

that may be substituted with a halogen atom), a cvano group.

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group.

a carbamoyl group,

an N-(C, to C, alkyl)carbamoyl group,

an N.N-di(C, to C, alkyl)carbamovi group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and

a halogen atom; and

- (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:
- a C₁ to C₂ alkey group that may be branched and that may be substituted with a halogen atom, a C₁ to C₃ alkeys group that may be branched and that may be substituted with a halogen atom, an any group that may be substituted with a halogen atom, a C₁ to C₂ alkly group that may be branched and that may be substituted with a halogen atom, a Cyano group, $N^{3}N^{3}N^{3}$ (where R^{3} and R^{3} are each independently a Nydrogen atom or a C₁ to C₄ alkly group that may be substituted with a helogen atom), a nitro group, a carbamoyl group, an N^{1} C(C₁ to C₄ alkly)carbamoyl group, or N^{1} COR (where R^{3} is a C₁ to C₄ alkly group that may be branched and that may be substituted with a halogen atom).

a cyano group,

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-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C, to C, alkyl group that
                       may be substituted with a halogen atom).
                       a nitro group.
                       a carbamovi group.
                       an N-(C1 to C4 alkyl)carbamoyl group,
                       an N,N-di(C1 to C4 alkyl)carbamoyl group,
                       -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with
                       a halogen atom), and
                       a halogen atom;
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              and n is an integer from 1 to 12):
              (xiii) -(CH<sub>2</sub>)<sub>a</sub>-SO-R<sup>12</sup> (where R<sup>12</sup> is a group selected from the group consisting of:
                   a hydrogen atom;
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                   (2) a C<sub>1</sub> to C<sub>4</sub> alkyl group that may be branched and that may be substituted with a halogen atom;
                   (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group
                   consisting of:
                       a C<sub>4</sub> to C<sub>4</sub> alkyl group that may be branched and that may be substituted with a halogen atom,
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                       a C<sub>1</sub> to C<sub>2</sub> alkoxy group that may be branched and that may be substituted with a halogen atom.
                       an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched
                       and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are
                       each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen
                       atom), a nitro group, a carbamoyl group, an N-(C1 to C2 alkyl)carbamoyl group, an N,N-di(C1 to C2
                       alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and
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                       that may be substituted with a halogen atom),
                       a cyano group.
                       -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that
                       may be substituted with a halogen atom),
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                       a nitro group.
                       a carbamoyl group,
                       an N-(C, to C, alkyl)carbamovi group,
                       an N,N-di(C1 to C4 alkyl)carbamoyl group,
                       -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with
                       a halogen atom), and
                       a halogen atom; and
                   (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected
                   from the group consisting of:
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                       a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
                       a C<sub>1</sub> to C<sub>5</sub> alkoxy group that may be branched and that may be substituted with a halogen atom,
                       an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched
                       and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are
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                       each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen
                       atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4
                       alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and
                       that may be substituted with a halogen atom).
                       a cyano group.
                       -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that
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                       may be substituted with a halogen atom),
                       a nitro group.
                       a carbamovi group.
                       an N-(C, to C, alkyl)carbamoyl group,
                       an N,N-di(C1 to C4 alkyl)carbamoyl group,
                       -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with
                       a halogen atom), and
                       a halogen atom:
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and n is an integer from 1 to 12); and

(xiv) -(CH₂)_n-SO₂-R¹² (where R¹² is a group selected from the group consisting of:

- (1) a hydrogon atom
- (2) a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom; (3) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of
 - a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C₁ to C₂ alkoxy group that may be branched and that may be substituted with a halogen atom, an any group that may be substituted with a halogen atom, a C₁ to C₂, alkly group that may be branched and that may be substituted with a halogen atom, a cyano group, NHS³⁰P³¹ (where P³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₂ alkly group that may be substituted with a halogen atom, a cyano group, a carbannyl group, a nN-N-G(C₁ to C₂ alkly)carbannyl group, and N-M-G(C₁ to C₂ alkly)carbannyl group, and N-M-G(C₂ to C₃ alkly)carbannyl group, and N-M-G(C₂ to C₃ alkly)carbannyl group, and N-M-G(C₃ to C₄ alkly)carbannyl group, and N-M-G(C₃ to C₄ alkly)carbannyl group, and N-M-G(₃ to C₄ alkly)carbannyl group, and N-M-G(₄ to C₄ alkly)carbannyl group, and N-M
 - a cyano group, $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom),
- a nitro group.

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- a carbamoyl group,
- an N-(C₁ to C₂ alkyl)carbamovi group,
- an N,N-di(C1 to C4 alkyl)carbamoyl group,
- -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with
- a halogen atom), and
- a halogen atom; and
- (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:
- a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C₁ to C₂ alkoxy group that may be branched and that may be substituted with a helogen atom, an any group that may be substituted with a helogen atom, a C₁ to C₂, alkly group that may be branched and that may be substituted with a helogen atom, a cyano group, NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a lydrogen atom or a C₁ to C₂ alkly group that may be substituted with a helogen atom), a nitro group, a carbamony group, an Nr-ld(C₁ to C₂ alkly)carbamoly group, an Nr-ld(C₁ to C₂ alkly)carbamoly group, an Nr-ld(C₁ to C₃ alkly)carbamoly group, an Nr-ld(C₁ to C₄ alkly)carbamoly group, and a substituted with a halogen atom).
 - a cyano group,
 - -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),
 - a nitro group,
 - a carbamovl group.
 - an N-(C₁ to C₄ alkyl)carbamoyl group,
 - an N.N-di(C₄ to C₄ alkyl)carbamovI group.
 - -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with
 - a halogen atom), and
 - a halogen atom;
- and n is an integer from 1 to 12); or

R7 and R8 are taken together to form a divalent group selected from the group consisting of:

-(CH2)m- (where m is an integer from 2 to 8);

(wherein R²⁰, R²¹, R²², R²³, R²⁴, R²⁵, R²⁵, R²⁶, R²⁷, R²⁸, R²⁹, R⁴¹, and R⁴² are groups independently selected from the group consisting of:

a hydrogen atom;

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- a C₁ to C₈ alkyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen
- a C_2 to C_8 alkenyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen atom;
 - a C_2 to C_8 alkynyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen atom:
 - an anyl group, which may be substituted with a C_1 to C_2 alkyl group that may be substituted with a halogen atom, a C_1 to C_2 alkoy group that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, an anyl group, a halogen atom, a nitro group. NR²⁰R²¹ (where R^{20} and R^{21} are each independently a hydrogen atom or a C_1 to C_2 alkyl group that may be substituted with a halogen atom, or a cyclic armino group that is formed by a C_2 to C_3 alkylene group; a hetroaryl group, which has an anyl moiety that may be substituted with a C₁ to C_3 alkyl group that may be substituted with a C_3 to C_3 alkylene group; a halogen atom, a C_3 to C_3 alkylene group; a halogen atom, a first group, C_3 to C_3 alkylene group; a halogen atom, a nitro group, C_3 to C_3 alkylene group; a halogen atom is group, in the group of C_3 to C_3 alkylene group; a halogen atom is group, in that may be substituted with a halogen atom, or a C_3 to C_3 alkylene group; a halogen atom, or a C_3 to C_3 alkylene group; a halogen atom, or a C_3 to C_3 alkylene group;
 - an aralkyl group, which has an anyl molety that may be substituted with a C₁ to C₂ alkyl group that may be substituted with a halogen atom, a C₁ to C₃ alkoxy group that may be substituted with a halogen atom, a cyano group, a halogen atom, a nitro group, NR^{50RPI} (where R⁵⁰ and R⁵¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), or a cyclic amino group that is formed by a C₅ to C₄ alkylene group;
 - a hetroarallyl group, which has a hetroaryl molety that may be substituted with a C₁ to C₂ alklyl group that may be substituted with a halogen atom, a C₁ to C₂ alklyl group that may be substituted with a halogen atom, a cyano group, a halogen atom, a nitro group. NR³R³1 (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₂ alklyl group that may be substituted with a halogen atom), or a cyclic amino group that is formed by a C₂ to C₃ alklylene group;

a (C1 to C3 alkoxy)carbonyl group;

a carbamoyl group;

an N-(C1 to C4 alkyl)carbamoyl group; and

an N,N-di(C₁ to C₄ alkyl)carbamoyl group (where the C₁ to C₄ alkyl groups may be the same or different)); and

X⁻ is an anion selected from the group consisting of a halide anion, SCN⁻, HSO₄⁻, HF₂⁻, CF₃SO₃⁻, CH₃-Ph-SO₃⁻, and CH2SO2-

[0007] In one embodiment, each of R1, R1, R2, R2, R3, and R3 of the compound represented by the formula (I) is a C₁ to C₅ alkoxy group that may be branched or form a cyclic group and that may be substituted with a halogen atom. [0008] In one embodiment, each of R1, R1, R2, R2, R3, and R3 of the compound represented by the formula (I) is a

methoxy group, an ethoxy group, or a benzyloxy group.

[0009] In one embodiment, R4 and R4 of the compound represented by the formula (I) are groups independently selected from the group consisting of:

15 a hydrogen atom; and

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an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,

an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), a cvano group.

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom),

a nitro group.

a carbamoyl group,

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom.

[0010] In a further embodiment, each of R4 and R4 of the compound represented by the formula (I) is a 3,4,5-trifluorophenyl group or a 3,5-bis(trifluoromethyl)phenyl group. [0011] In one embodiment, R7 and R8 of the compound represented by the formula (I) are each independently a C-

to Can alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom. [0012] In a further embodiment, R7 and R8 of the compound represented by the formula (I) are both n-butyl groups,

[0013] The present invention also provides a method for producing the compound represented by the formula (I) described above, comprising:

a step of reacting a compound represented by the following formula (II):

(II)

with a secondary amine represented by the following formula (III):



in an organic solvent in the presence of an acid-scavenging agent;

10 wherein in the formula (II):

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R1, R1, R2, and R2 are each independently:

a hydrogen atom:

- a C₁ to C₅ alkyl group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group; or
- a C_1 to C_5 alkoxy group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group;

R3 and R3 are each independently:

- a halogen atom;
- a C₁ to C₅ alkyl group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group; or
- a C₁ to C₅ alkoxy group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group;

R4 and R4' are each independently:

- (i) a hydrogen atom;
- (ii) -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group than may
 - be substituted with a halogen atom);
 - (iii) a cvano group;
 - (iv) a nitro group;
 - (v) a carbamoyl group;
 - (vi) an N-(C₁ to C₄ alkyl)carbamoyl group;
 - (vii) an N,N-di(C, to C, alkyl)carbamoyl group;
 - (viii) -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom);
- (ix) a halogen atom;
- (x) a \mathbf{C}_1 to \mathbf{C}_6 alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:
 - (xi) a C_2 to C_6 alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;
 - (xii) a C₂ to C₈ alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;
 - (xill) an aralkyl group, wherein the aryl molety of the aralkyl group may be substituted with at least one group selected from the group consisting of:
 - a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an any group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyang oroup, NR^3R^3 (where R^3 and R^3 1 are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N-C_1$ to C_4 alkyl group that may be branched and that may be substituted with a halogen atom).
 - a cyano group.
 - -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may

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be substituted with a halogen atom),
a nitro group,
a nitro group,
an N-I-C<sub>1</sub> to C<sub>4</sub> alklyloarbamoyl group,
an N-I-C<sub>1</sub> to C<sub>4</sub> alklyloarbamoyl group,
-NHCOR<sup>9</sup> (where R<sup>9</sup> is a C<sub>1</sub> to C<sub>4</sub> alklyl group that may be branched and that may be substituted with a
halogen atom), and
a halogen atom;
(xiv) a heterorarikivi group having a heteroarvi molety, wherein the heteroarvi molety may be substituted with
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(xiv) a heteroaralkyl group having a heteroaryl moiety, wherein the heteroaryl moiety may be substituted with at least one group selected from the group consisting of:

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a C<sub>1</sub> to C<sub>2</sub> alkyl group that may be branched and that may be substituted with a halogen atom, a C<sub>1</sub> to C<sub>3</sub> alkxy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C<sub>2</sub> to C<sub>4</sub> alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group. NRS<sup>NST</sup> (where R<sup>SS</sup> and R<sup>ST</sup> are each independently a hydrogen atom or a C<sub>1</sub> to C<sub>4</sub> alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C<sub>1</sub> to C<sub>4</sub> alkyl)carbamoyl group, an N,N-d(C<sub>1</sub> to C<sub>4</sub> alkyl)carbamoyl group, an N,N-d(C<sub>1</sub> to C<sub>4</sub> alkyl)carbamoyl
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nitro group, a carbamoyl group, an N-IC $_1$ to \tilde{C}_4 alkyl)carbamoyl group, an N,N-dI(C_1 to C_4 alkyl)carbamoyl group, or -NHCOR 9 (where R 9 is a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom), a cyano group,

 $\sim N_1^{30} N_1^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom),

a nitro group, a carbamovi group.

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an N-(C₁ to C₄ alkyl)carbamoyl group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom:

(xv) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of:

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a C, to C, alkyl group that may be branched and that may be substituted with a halogen atom, a C, to C<sub>o</sub> alkoxy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C, to C<sub>o</sub> alkyl group that may be branched and that may be substituted with a halogen atom, a C, to C, alkyl group that may be substituted with a halogen atom, a cyano group. -NR<sup>2</sup>R<sup>2</sup>I (where R<sup>10</sup> and R<sup>21</sup> are each independently a hydrogen atom or C, to C, alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-I-C, to C<sub>o</sub> alkyl group that may be substituted with a halogen atom).
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a cyano group, -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halocen atom).

a nitro group,

a carbamoyl group,

an N-(C, to C, alkyl)carbamoyl group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom:

or may be substituted with -O-(CH_b)_p-O- (where p is 1 or 2) at positions 3 and 4 that are taken together;
 (xvi) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₂ alkoxy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C₁ to C₂ alklyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR-³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₂ alklyl group that may be substituted with a halogen atom, a mitto group, a catemonyl group, an NR-³⁰Cf₂ to C₂ alklyl group that may be substituted with a halogen atom, a C₁ to C₂ alklyl group that may be branched and that may be substituted with a halogen atom).

a cvano group.

 $-N\dot{R}^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom).

a nitro group.

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a carbamoyl group.

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a

halogen atom), and a halogen atom; and

(xvii) -S(O),-R (where n is 0, 1, or 2, and R is a C, to C, alkyl group that may be branched); and

Z is a halogen atom;

and in the formula (III):

R7 and R8 are groups independently selected from the group consisting of:

 (i) a C₁ to C₃₀ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;

(ii) a C₂ to C₁₂ alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:

(iii) a C₂ to C₁₂ alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:

(iv) an anyl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C. to Ce alkoxy group that may be branched and that may be substituted with a halogen atom.

an anyl group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a oyano group, -NR30P31 (where R^{30} and R^{31} are each independently a hydrogen atom or a C_4 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N- $\{C_1$ to C_4 alkyl carbamoyl group, an N, N-di(C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom).

a cyano group.

 $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom),

a nitro group.

a carbamoyl group,

an N-(C, to C, alkyl)carbamovi group,

an N.N-di(C, to C, alkyl)carbamovl group.

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a

halogen atom), and

a halogen atom;

(v) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,

an aryl group that may be substituted with a halogen atom, a C₁ to C₄ alkyl group that may be branched

and that may be substituted with a halogen atom, a cyano group, -NR 20 947 (where R^{20} and R^{21} are each independently a hydrogen atom or a C, to C, alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N- $(C_1$ to C, alkyl)carbamoyl group an N,N-d(C₁ to C, alkyl)carbamoyl group, or -NHCOR9 (where R^0 is a C_1 to C, alkyl group that may be branched and that may be substituted with a halogen atom).

a cyano group,

 $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom),

a nitro group,

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a carbamovi group,

an N-(C₁ to C₄ alkyl)carbamoyl group,

an N,N-di(C, to C, alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C_1 to C_4 alkyl group that may be branched and that may be substituted with a

halogen atom), and

a halogen atom:

- (vi) -(CH₂)_nOCONR¹⁰R¹¹ (where R¹⁰ and R¹¹ are groups independently selected from the group consisting of:
 - (1) a hydrogon atom:
 - (2) a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) a C₂ to C₆ alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;
 - (4) a C₂ to C₆ alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;
- (5) an aralkyl group, wherein the anyl moiety of the aralkyl group may be substituted with at least one group selected from the group consisting of:
 - a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an any group that may be substituted with a halogen atom, a C₁, to C₄ allyl group that may be branched and that may be substituted with a halogen atom, a cyanon group, .1879847 (where R^{20} and R^{21} ere each independently a hydrogen atom or a C₁ to C₄ allyl group that may be substituted with a halogen atom), a nitro group, a carbamnoyl group, an N-C₁ to C₄ allyl/parbamnoyl group, a may be tranched and allyl/parbamnoyl group, or -N-N-C00PR (where R^{11} is a C₁ to C₄ allyl group that may be branched and subylogarbamoyl group, or -N-N-C00PR (where R^{11} is a C₁ to C₄ allyl group that may be branched and

that may be substituted with a halogen atom),

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group.

a carbamovi group.

a cyano group.

an N-(C1 to C4 alkyl)carbamoyl group,

an N.N-di(C, to C, alkyl)carbamovl group,

that may be substituted with a halogen atom),

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and

a halogen atom:

(6) a heteroaralkyl group having a heteroaryl moiety, wherein the heteroaryl moiety may be substituted with at least one group selected from the group consisting of:

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C_1 to C_2 alkoy group that may be branched and that may be substituted with a halogen atom, an any Igroup that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $NR^{20}R^{21}$ (where R^{32} and R^{21} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom, a nitro group, a carbarnoyi group, an $N.C_1$ to C_4 alkyl/carbarnoyi group, an $N.C_1$ to $N.C_2$ alkyl group that may be branched and $N.C_3$ to $N.C_4$ alkyl group that may be branched and

a cyano group,

- -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a nitro group, a carbamoyl group, an N-C₁ to C₄ alkyl)carbamoyl group, an N-C₁ to C₄ alkyl)carbamoyl group, an N-C₁ to C₄ to C₇ to C₇ alkyl)carbamoyl group, an N-C₁ to C₇ to C₇ to C₇ alkyl group that may be branched and that may be substituted with
- a halogen atom;

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a halogen atom), and

- (7) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of:
 - a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₃ alkyl group that may be branched and that may be substituted with a halogen atom, an any group that may be substituted with a halogen atom, a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₄ alkyl group that may be substituted with a halogen atom in a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-C(1, to C₄ alkyl)carbamoyl group, and a C(1, to C₄ alkyl)carbamoyl grou
 - a cyano group, $-NR^{30}R^{31} \mbox{ (where } R^{30} \mbox{ and } R^{31} \mbox{ are each independently a hydrogen atom or a C_1 to C_4 alkyl group that C_2 is a substitution of the context of t$
- may be substituted with a halogen atom),
 a nitro group,
 a carbamoyl group,
 - an N-(C₁ to C₄ alkyl)carbamovi group,

that may be substituted with a halogen atom),

- an N,N-di(C₁ to C₄ alkyl)carbamoyl group,
 -NHCOR⁹ (where R⁹ is a C₄ to C₄ alkyl group that may be branched and that may be substituted with
 - a halogen atom), and a halogen atom; and
- (6) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:
 - a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a C_1 to C_6 alkoxy group that may be branched and that may be substituted with a halogen atom.
 - an aryl group that may be substituted with a halogen atom, a C $_1$ to C $_4$ allfyl group that may be branched and that may be substituted with a halogen atom, a cyang group, $_1$ NR0 $_2$ R3 $_1$ (where R3 $_2$ and R3 $_1$ are each independently a hydrogen atom or a C $_1$ to C $_4$ allfyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C $_1$ to C $_4$ allfyl)carbamoyl group, an N,N-di(C $_1$ to C $_4$ allfyl)carbamoyl group, and a N,N-di(C $_1$ to C $_4$ allfyl)carbamoyl group, and a N,N-di(C $_1$ to C $_4$ allfyl)carbamoyl group, and a N,N-di(C $_1$ to C $_4$ allfyl)carbamoyl group, and a N,N-di(C $_1$ to C $_4$ allfyl)carbamoyl group, and a N,N-di(C $_1$ to C $_4$ allfyl)carbamoyl group, and a N,N-di(C $_1$ to C $_4$ allfyl)carbamoyl group, and a N,N-di(C $_1$ to C $_4$ allfyl)carbamoyl group, and a N,N-di(C $_1$ to C $_4$ allfyl)carbamoyl group, and a N,N-di(C $_1$ to C $_4$ allfyl)carbamoyl group, and a N,N-di(C $_1$ to C $_2$ allfyl)carbamoyl group, and a N,N-di(C $_1$ to C $_2$ all
 - a cyano group,
 - NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that
 may be substituted with a halogen atom),
 - a nitro group,
 - a carbamoyl group,
 - an N-(C₁ to C₄ alkyl)carbamoyl group,
 - an N,N-di(C1 to C4 alkyl)carbamoyl group,
 - -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
 - a halogen atom; and n is an integer from 1 to 12);
- (vii) -(CH₂), CONR¹²R¹³ (where R¹² and R¹³ are groups independently selected from the group consisting of:
 - (1) a hydrogen atom;
 - (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;

(3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

- a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
- a C₄ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom.
- a cyano group.
- -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),
- a nitro group.

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- a carbamoyl group,
 - an N-(C1 to C4 alkyl)carbamoyl group,
- an N,N-di(C1 to C4 alkyl)carbamoyl group,
- -NHCOR[®] (where R[®] is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
- a halogen atom; and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

- a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
- a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,
- that may be substituted with a halogen atom),
- a cyano group, $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom).
- a nitro group.
- a carbamoyl group,
- an N-(C1 to C4 alkyl)carbamoyl group,
- an N,N-di(C1 to C4 alkyl)carbamoyl group,
- -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
- a halogen atom:
- and n is an integer from 1 to 12):
 - (viii) -(CH₂)_aNR¹²COR¹³ (where R¹² and R¹³ are groups independently selected from the group consisting of:
 - (1) a hydrogen atom;
 - (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:
 - a C. to C. alkyl group that may be branched and that may be substituted with a halogen atom.
 - a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₆ alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an anyl group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $-NR^{20}[3^{21}]$ (where R^{20} and R^{21} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $-NC_1$ to C_2 alkylografeamoyl group, an $-NC_3$ (10, 10)

alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom).

a cvano group.

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-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

may be substitution a nitro group.

a carbamoyl group,

an N-(C₄ to C₄ alkyl)carbamovi group.

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom; and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom,

an anyl group that may be substituted with a halogen atom, a C $_1$ to C $_4$ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $_1$ NR0 $_2$ R0 $_1$ (where R0 $_2$ and R0 $_3$ are each independently a hydrogen atom or a C $_1$ to C $_2$ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C $_1$ to C $_4$ alkyl carbamoyl group, an N-N-CIOR (where R0 $_3$ is a C $_1$ to C $_4$ alkyl group that may be branched and that may be substituted with a halogen atom).

a cyano group,

 $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom),

a nitro group.

a carbamoyl group,

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and a halogen atom;

and n is an integer from 1 to 12);

(ix) -(CH₂)_nNR¹²R¹³ (where R¹² and R¹³ are groups independently selected from the group consisting of :

(1) a hydrogen atom;

(2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;

(3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C_1 to C_2 alkowy group that may be branched and that may be substituted with a halogen atom, an any figure that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $-NR^{50}$ [31] (where R^{50} and R^{51} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbramoyl group, an $N-(C_1$ to C_4 alkyl group that may be group, an $N-(C_1$ to C_4 alkyl group that may be branched and silly/jacrbramoyl group, or $-NN^{12}COR^{12}$ (where R^{11} is a C_1 to C_4 alkyl group that may be branched and

a cyano group.

NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that
may be substituted with a halogen atom),

a nitro group.

a carbamoyl group,

an N-(C₁ to C₄ alkyl)carbamoyl group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

that may be substituted with a halogen atom),

- -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
- a halogen atom; and
- (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:
 - a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom.
 - a C_1 to C_5 alkoys group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, and that may be substituted with a halogen atom, a cyano group, $N_5^{\rm 200}$ pcf (where R^0 and R^{11} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom, a nitro group, a carbamoyl group, an $N_5^{\rm 100}$ (C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N_5^{\rm 100}$ (C_1 to C_4 alkyl group that may be branched and substituted by the C_1 to C_2 alkyl group that may be branched and C_3 to C_4 alkyl group that may be branched and
 - that may be substituted with a halogen atom),
 - a cyano group,
 - -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).
 - a nitro group,
 - a carbamovi group.
 - an N-(C, to C, alkyl)carbamoyl group,
 - an N,N-di(C1 to C4 alkyl)carbamoyl group,
 - -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
- a halogen atom:

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and n is an integer from 1 to 12);

(x) -(CH₂)_NY-OR12 (where Y is a C₁ to C₄ divalent saturated hydrocarbon group that may be branched and that may be substituted with a halogen atom, and R12 is a group selected from the group consisting of:

(1) a hydrogen atom;

consisting of:

- (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
 (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group
- a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C_1 to C_2 allowy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $N_1^{N_2 \cap N_2^2}$ and R^{N_1} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom, a nitro group, a carbamnyl group, an $N_1^{N_1}$ - C_4 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamnyl group, an $N_1^{N_1}$ - $C_4^{N_1}$ to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamnyl group, an $N_1^{N_1}$ - $C_4^{N_1}$ to $C_4^{N_1}$ as $C_4^{N_2}$ and $C_4^{N_1}$ and $C_4^{N_2}$ are group and $C_4^{N_1}$ and $C_4^{N_2}$ and $C_4^{N_1}$ and $C_4^{N_2}$ and $C_4^{N_2}$ and $C_4^{N_1}$ and $C_4^{N_2}$ are group and $C_4^{N_1}$ and $C_4^{N_2}$ and $C_4^{N_2$
 - that may be substituted with a halogen atom), a cvano group.
 - -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that
 - may be substituted with a halogen atom), a nitro group.
 - a carbamovi group.
 - an N-(C, to C, alkyl)carbamoyl group,
 - an N,N-di(C₁ to C₄ alkyl)carbamoyl group,
 - -NHCOR 9 (where R 9 is a C $_1$ to C $_4$ alkyl group that may be branched and that may be substituted with
 - a halogen atom), and
 - a halogen atom; and
- (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:
 - a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C_1 to C_2 alkwy group that may be branched and that may be substituted with a halogen atom, an any group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $NR^{20}R^{21}$ (where R^{10} and R^{11} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom, a nitro group, a carbannyl group, a $R^{10}R^{10}$ group, a carbannyl group, and $R^{10}R^{10}$ and $R^{10}R^{10}$ and $R^{10}R^{10}$ alkyl group that may be branched and that may be substituted with a halogen atom).

a cvano group.

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-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that
may be substituted with a halogen atom).

a nitro group,

a carbamoyl group,

an N-(C, to C, alkyl)carbamovi group.

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and a halogen atom;

and n is an integer from 1 to 12);

(xi) -(CH₂)_a-OR¹² (where R¹² is a group selected from the group consisting of:

(1) a hydrogen atom:

(2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;

(3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom),

a cyano group,

-NR 30 R 31 (where R 30 and R 31 are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom),

a nitro group,

a carbamoyl group,

an N-(C₄ to C₄ alkyl)carbamovi group.

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C4 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and

a halogen atom; and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom,

an anyl group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, \cdot NR^{op}R^{of} (where R^{op} and R^{off} are each independently a hydrogen atom or a C_1 to C_2 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyi group, an $N\cdot C_1$ to C_4 alkyl carbamoyi group, an $N\cdot N\cdot C_1$ (or C_4 alkyl group that may be branched and that may be substituted with a halogen atom).

a cvano group

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that

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may be substituted with a halogen atom).
                        a nitro group,
                       a carbamovi group.
                        an N-(C<sub>1</sub> to C<sub>4</sub> alkyl)carbamoyl group,
                        an N.N-di(C<sub>1</sub> to C<sub>2</sub> alkyl)carbamovl group,
                        -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with
                        a halogen atom), and
                       a halogen atom:
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               and n is an integer from 1 to 12);
               (xii) -(CH<sub>a</sub>)_-S-R<sup>12</sup> (where R<sup>12</sup> is a group selected from the group consisting of:
                   (1) a hydrogen atom:
                   (2) a C<sub>1</sub> to C<sub>4</sub> alkyl group that may be branched;
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                   (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group
                   consisting of:
                        a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
                        a C<sub>1</sub> to C<sub>5</sub> alkoxy group that may be branched and that may be substituted with a halogen atom,
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                        an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched
                        and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are
                        each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen
                        atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4
                        alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and
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                       that may be substituted with a halogen atom).
                        a cyano group,
                        -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C4 to C4 alkyl group that
                        may be substituted with a halogen atom), a nitro group,
                        a carbamoyl group,
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                        an N-(C, to C, alkyl)carbamovi group.
                        an N,N-di(C, to C, alkyl)carbamoyl group,
                        -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with
                        a halogen atom), and
                        a halogen atom; and
                   (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected
                   from the group consisting of:
                        a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
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                        a C<sub>1</sub> to C<sub>6</sub> alkoxy group that may be branched and that may be substituted with a halogen atom.
                        an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched
                        and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are
                        each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen
                        atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4
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                       alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and
                       that may be substituted with a halogen atom),
                        a cyano group,
                        NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C4 to C4 alkyl group that
                        may be substituted with a halogen atom),
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                        a nitro group.
                        a carbamoyl group,
                        an N-(C<sub>1</sub> to C<sub>4</sub> alkyl)carbamovi group.
                        an N.N-di(C, to C, alky/)carbamovl group.
                        -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with
                        a halogen atom), and
                        a halogen atom;
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and n is an integer from 1 to 12);

(xiii) -(CH₂)_-SO-R¹² (where R¹² is a group selected from the group consisting of:

(1) a hydrogen atom:

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- (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
- (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:
 - a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C_1 to C_5 alkoxy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C_1 to C_2 alkyl group that may be branched

and that may be substituted with a halogen atom, a cyano group, ${}^{1}NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_2 ality group that may be substituted with a halogen atom, a nitro group, a carbarmy group, an $N_1-M(C_1$ to C_2 ality) are an $N_1-M(C_1$ to C_2 ality) carbarmoyl group, or $N_1-M(C_1)$ to C_2 ality) carbarmoyl group, or $N_1-M(C_1)$ to C_2 ality) group that may be branched and

that may be substituted with a halogen atom),

a cyano group,

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group,

a carbamovi group.

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

 -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a helogen atom), and

a halogen atom; and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

- a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
- a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom, an aryl group that may be substituted with a halogen atom, a C₁ to C₂ alkyl group that may be branched
- and that may be substituted with a halogen atom, a cyano group, $NR^{20}R^{21}$ (where R^{21} and R^{21} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-IC-Q to C_4 alkyl group that may be branched and that may be substituted with a halogen atom).

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-NR³⁰R3¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group,

a carbamovi group,

an N-(C1 to C2 alkyl)carbamovi group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and a halogen atom;

and n is an integer from 1 to 12); and

(xiv) -(CH₂),-SO₂-R¹² (where R¹² is a group selected from the group consisting of:

- (1) a hydrogen atom;
- (2) a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom:
- (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:
 - a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C_1 to C_5 alkoxy group that may be branched and that may be substituted with a halogen atom,

a cyano group,

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),

a nitro group.

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a carbamovi group.

an N-(C, to C, alkyl)carbamovi group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and

a halogen atom; and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom.

an any group that may be substituted with a halogen atom, a C_1 to C_2 allfyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $-NR^{20}R^{21}$ (where R^{20} and R^{21} are each independently a hydrogen atom or a C_1 to C_2 allfyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N\cdot C_1$ to C_2 allfyl group that may be branched and that may be substituted with a halogen atom).

a cyano group,

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a $\rm C_1$ to $\rm C_4$ alkyl group that

may be substituted with a halogen atom),

a nitro group,

a carbamoyl group,

an N-(C₁ to C₄ alkyl)carbamoyl group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom:

40 and n is an integer from 1 to 12); or

R7 and R8 are taken together to form a divalent group selected from the group consisting of:

-(CHo)m- (where m is an integer from 2 to 8):

(wherein R²⁰, R²¹, R²², R²³, R²⁴, R²⁵, R²⁶, R²⁷, R²⁸, R²⁹, R⁴¹, and R⁴² are groups independently selected from the group consisting of:

a hydrogen atom;

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- a C_1 to C_8 alkyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen atom:
- a C_2 to C_8 alkenyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen atom;
- a $\rm C_2$ to $\rm C_8$ alkynyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen atom;
- an anyl group, which may be substituted with a C_1 to C_2 alkyl group that may be substituted with a halogen atom, a C_1 to C_3 alkoyz group that may be substituted with a halogen atom, an anyl group that may be substituted with a C_1 to C_3 alkyl group that may be substituted with a halogen atom, a cyano group, a halogen atom, a nitro group, -NR²⁰R²¹ (where R²⁰ and R²¹ are each independently a hydrogen atom or a C_1 to C_3 alkyl group that may be substituted with a halogen atom), or a cyclic amino group that is formed by a C_3 to C_3 alkyl group that may be substituted with a halogen atom), or a cyclic amino group that is formed by a C_3 to C_3 alkyl group that may be substituted with a halogen atom).
- a hetroaryl group, which may be substituted with a C₁ to C₂ alkly group that may be substituted with a halogen atom, a C₁ to C₃ alkxoy group that may be substituted with a halogen atom, a cyano group, a halogen atom, a nitro group. ANS²⁰R3²⁰ (where R⁵⁰ and R⁵¹ are each independently a hydrogen atom or a C₁ to C₂ alklyl group that may be substituted with a halogen atom), or a cyclic amino group that is formed by a C₃ to C₄ alklylene croup:
- an aralky group, which has an anyl molety that may be substituted with a C₁ to C₄ alkyd group that may be substituted with a halogen atom, a C₁ to C₃ alkyoy group that may be substituted with a halogen atom, a cyano group. Alpanal 10 (where 10 0 and 10 1 are each independently a hydrogen atom or a C₁ to C₄ alkyly group that may be substituted with a halogen atom), or a cyclic amino group that is formed by a C₅ to C₆ alkylene group.
- a hetroaralityl group, which has a hetroaryl molety that may be substituted with a C₁ to C₂ alkyl group that may be substituted with a halogen atom, a C₁ to C₃ alkyny group that may be substituted with a halogen atom, a cyano group, a halogen atom, a nitro group, -NR⁵⁰R⁵⁰ (where R⁵⁰ and R⁵⁰ are each independently a hydrogen atom or a C₁ to C₂ alkyl group that may be substituted with a halogen atom), or a cyclic amino group that is formed by a C₅ to C₆ alkylven group.
- a (C1 to C2 alkoxy)carbonyl group;
- a carbamoyl group;
- an N-(C1 to C4 alkyl)carbamoyl group; and
 - an N,N-di(C₁ to C₄ alkyl)carbamoyl group (where the C₁ to C₄ alkyl groups may be the same or different)).
- [0014] In one embodiment, each of R1, R1, R2, R2, R3, and R3 of the compound represented by the formula (II) is a

 C_1 to C_5 alkoxy group that may be branched or form a cyclic group and that may be substituted with a halogen atom. [0015] In one embodiment, each of \mathbb{R}^1 , \mathbb{N}^1 , \mathbb{R}^2 , \mathbb{R}^2 , \mathbb{R}^3 , and \mathbb{R}^3 of the compound represented by the formula (ii) is a methoxy group, an ethoxy group, or a benzylor group.

[0016] In one embodiment, R4 and R4 of the compound represented by the formula (II) are groups independently selected from the group consisting of:

a hydrogen atom; and

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an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,

an anyl group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $-NR^{\rm NR}N^{\rm NR}$ (where $R^{\rm NR}$ and $R^{\rm NR}$ are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N- C_1 to C_4 alkyl)carbamoyl group, an N- C_1 to C_4 alkyl)carbamo

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),

a nitro group.

a carbamoyl group,

an N-(C₁ to C₄ alkyl)carbamoyl group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom.

[0017] In a further embodiment, each of R⁴ and R⁴ of the compound represented by the formula (II) is a 3,4,5-trifluorophenyl group or a 3,5-bis(trifluoromethyl)phenyl group.

[0018] In one embodiment, R? and R 2 of the compound represented by the formula (III) are each independently a C_{1} to C_{20} alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom. [0019] In a further embodiment, R? and R 2 of the compound represented by the formula (III) are both n-butlyl groups. [0020]

The present invention also provides a method for stereoselectively producing a compound represented by the formula (VI):

$$R^{14} = N + R^{16} O - R^{17}$$
 $R^{15} = N + R^{16} O - R^{17}$
 $R^{16} = N + R^{16} O - R^{17}$
 $R^{16} = N + R^{16} O - R^{17}$
 $R^{16} = N + R^{16} O - R^{17}$

comprising:

a step of alkylating a compound represented by the formula (IV):

$$R^{14}$$
 R^{16} R^{16} R^{15} H R^{15} H H R^{16} H

with a compound of the formula (V):

R18-W (V)

using a compound represented by the formula (I) that is pure with respect to its axial asymmetry as a phase-transfer catalyst:

in a medium in the presence of an inorganic base, wherein in the formula (I),

R1, R1, R2, and R2 are each independently:

a hydrogen atom;

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- a halogen atom:
- a $\rm C_1$ to $\rm C_5$ alkyl group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group; or
- a $\rm C_{1}$ to $\rm C_{5}$ alkoxy group that may be substituted with a halogen atom and/or an anyl group, and/or that may be branched or form a cyclic group;
- R3 and R3 are each independently:
 - a halogen atom;
 - a C₁ to C₅ alkyl group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group; or
 - a C₁ to C₅ alkoxy group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group;

R4 and R4 are each independently a group selected from the group consisting of:

- (i) a hydrogen atom;
 - (ii) -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group than may
 - be substituted with a halogen atom);
 - (iii) a cyano group;
 - (iv) a nitro group:
 - (v) a carbamoyl group;
 - (vi) an N-(C₁ to C₄ alkyl)carbamoyl group;
 - (vii) an N,N-di(C, to C, alkyl)carbamoyl group;
 - (viii) -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom):
 - (ix) a halogen atom;
 - (x) a C_1 to C_6 alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen
- (xi) a ${\bf C}_2$ to ${\bf C}_6$ alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:
 - (xii) a C_2 to C_6 alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;
 - (xiii) an aralkyl group, wherein the aryl moiety of the aralkyl group may be substituted with at least one group

selected from the group consisting of:

- a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom.
- a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C₁ to C₄ alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom),
 - a cyano group. -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).
- a nitro group.

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- a carbamovi group.
- an N-(C1 to C4 alkyl)carbamoyl group,
- an N,N-di(C, to C, alkyl)carbamoyl group,
- -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and
- 20 a halogen atom:

(xiv) a heterogralkyl group having a heterogryl moiety, wherein the heterogryl moiety may be substituted with at least one group selected from the group consisting of:

- a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
- a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom, an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR90R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₂ alkyl group that may be substituted with a halogen atom), a
 - nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom).
- -NR30R331 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom).
- a cvano group. a nitro group,
 - a carbamovi group.
 - an N-(C4 to C4 alkyi)carbamovi group.
- an N,N-di(C₁ to C₄ alkyl)carbamoyl group,
- -NHCOR9 (where R9 is a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom), and
 - a halogen atom;

(xv) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

- a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
- a C. to C. alkoxy group that may be branched and that may be substituted with a halogen atom.
- an anyl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamovI group, an N-(C₁ to C₄ alkyI)carbamovI group, an N,N-di(C₁ to C₄ alkyI)carbamovI group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom),
- a cyano moun
 - -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),
 - a nitro group.

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a carbamovi group.
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an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom:

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or may be substituted with -O-(CH2)n-O- (where p is 1 or 2) at positions 3 and 4 that are taken together;

(xvi) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₂ alkoxy group that may be branched and that may be substituted with a halogen atom.

an anyl group that may be substituted with a halogen atom, a C, to C, alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C₁ to C₂ alkyl)carbamoyl group, an N,N-di(C₁ to C₂ alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom),

a cyano group.

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom),

a nitro group.

a carbamoyl group,

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom; and

(xvii) -S(O)_n-R (where n is 0, 1, or 2, and R is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom):

R7 and R8 are each independently a monovalent organic group or are taken together to form a divalent organic group; and

X- is a halide anion:

in the formula (IV) and formula (VI),

R14 and R15 are each independently a group selected from the group consisting of:

(i) a hydrogen atom; or

(ii) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom; a C₁ to C₂ alkoxy group that may be branched and that may be substituted with a halogen atom:

an anyl group that may be substituted with a halogen atom, a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C, to C, alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C₁ to C₄ alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom);

a cvano group;

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom);

a nitro group:

a carbamoyl group;

an N-(C1 to C4 alkyl)carbamoyl group;

an N,N-di(C1 to C4 alkyl)carbamoyl group;

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom); and a halogen atom: with the proviso that a case where both R14 and R15 are hydrogen atoms is excluded,

R16 is a group selected from the group consisting of:

(i) a hydrogen atom:

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(ii) a C₁ to C₁₀ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom, wherein the alkyl group may be substituted with at least one group selected from the group

a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom,

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom),

a nitro group.

a carbamoyl group,

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom),

a halogen atom.

-COR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen

-CO₂R9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom);

(iii) a Co to Co alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;

(iv) a C2 to C6 alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:

(v) an aralkyl group, wherein the aryl moiety of the aralkyl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom.

an anyl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C₁ to C₄ alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom).

a cyano group.

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C2 alkyl group that may be substituted with a halogen atom),

a nitro group,

a carbamovi group.

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom:

(vi) a heteroaralkyl group having a heteroaryl moiety, wherein the heteroaryl moiety may be substituted with at least one group selected from the group consisting of:

a C. to C. alkyl group that may be branched and that may be substituted with a halogen atom.

a C₁ to C₅ alkovy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C₁ to C₆ alkly group that may be branched and that may be substituted with a halogen atom, a cyano group. -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₆ alkly group that may be substituted with a halogen atom), a nitro group, a cartemony group, an N-(C₁ to C₆ alkly)carbemoyl group, an C₁ (C₁ to C₆ alkly)carbemoyl group, an C₁ (C₂ to C₆ alkly)carbemoyl group, an N-(C₁ to C₆ alkly)carbemoyl group, an N-(C₁ to C₆ alkly)carbemoyl group, and C₁ (C₂ to C₆ alkly)carbemoyl group, and C₁ (C₂ to C₆ alkly)carbemoyl group, and C₂ (C₁ to C₆ alkly)carbemoyl group, and C₂ (C₁ to C₆ alkly)carbemoyl group atom and C₂ (C₁ to C₁ to C₁

a cyano group.

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 $-N\dot{R}^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom).

a nitro group.

a carbamoyl group.

an N-(C, to C, alkyl)carbamoyl group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a

halogen atom), and

a halogen atom;

(vii) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C, to C_c alkoy group that may be branched and that may be substituted with a halogen atom, an any! group that may be substituted with a halogen atom, a C₁ to C_c alkly! group that may be branched and that may be substituted with a halogen atom, a cyano group, NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C_c alkly! group that may be substituted with a halogen atom; a charged and the group, and N/-10 (c) to C_c alkly!groutpmay group, an N/-10 to C_c alkly!groutpmay group, an N/-10 to C_c alkly!groutpmay group.

group, or -NHCOR 9 (where R 9 is a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom),

a cyano group, -NR 30 R 31 (where R 30 and R 31 are each independently a hydrogen atom or a C_1 to C_2 alkyl group that may

be substituted with a halogen atom),

a nitro group,

a carbamoyl group,

an N-(C, to C, alkvi)carbamovi group,

an N,N-di(C, to C, alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a

halogen atom), and

a halogen atom; and

(viii) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C_1 to C_2 allows group that may be branched and that may be substituted with a halogen atom, an any group that may be substituted with a halogen atom, a C_1 to C_2 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $NR^{30}R^{31}$ (where R^{32} and R^{31} are each independently a hydrogen atom or a C_1 to C_2 alkyl group that may be substituted with a halogen atom), a nitrior group, a carbramoyl group, an $N_1N^{-1}(C_1$ to C_2 alkyl)carbramoyl group, an $N_1N^{-1}(C_1)$ to C_3 alkyl)carbramoyl group, an $N_1N^{-1}(C_1)$ to C_4 alkyl)carbramoyl group, and that may be substituted with a halogen atom, and $N_1N^{-1}(C_1)$ to $N_1N^{-1}($

with a halogen atom), a cvano group,

-NR3⁰R3¹ (where R3⁰ and R3¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),

a nitro group,

a carbamoyl group,

an N-(C1 to C4 alkyl)carbamovi group.

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR 9 (where R 9 is a C $_1$ to C $_4$ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom:

5 R17 is a C₁ to C₈ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:

in the formula (V) and formula (VI),

R18 is a group selected from the group consisting of:

(i) a C₁ to C₁₀ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom, wherein the alkyl group may be substituted with at least one group selected from the group consisting of:

a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom,

a cyano group,

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group.

a carbamoyl group,

an N-(C₁ to C₄ alkyl)carbamoyl group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom).

a halogen atom,

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-COR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

 $-\text{CO}_2$ R 9 (where R 9 is a C $_1$ to C $_4$ alkyl group that may be branched and that may be substituted with a halogen atom);

(ii) a C₃ to C₉ allyl group or substituted allyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;

(iii) a C_2 to C_6 alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;

(iv) \bar{a} C_2 to C_6 alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;

(v) an aralkyl group, wherein the aryl moiety of the aralkyl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C, to C₂ alkoy group that may be branched and that may be substituted with a helogen atom, an anyl group that may be substituted with a halogen atom, a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group. $NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C₁ to C₂ alkyl group that may be substituted with a halogen atom), a nitro group, a carbramy group, an N₁N-C(1₁ to C₂ alkyl)(carbramoy) group, an N₁N-C(0) (where R^{31} is a C₁ to C₂ alkyl) group that may be substituted with a halogen atom).

with a halogen atom), a cyano group,

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),

a nitro group.

a carbamoyl group,

an N-(C₁ to C₄ alkvi)carbamovi group.

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom;

(vi) a heteroaralkyl group having a heteroaryl moiety, wherein the heteroaryl moiety may be substituted with at

least one group selected from the group consisting of:

- a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom.
- a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom, an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C₁ to C₄ alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom).
 - a cvano group. -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).
 - a nitro group,
- 15 a carbamovi group.

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- an N-(C1 to C4 alkyl)carbamoyl group,
- an N,N-di(C, to C, alkyl)carbamovi group,
- -NHCOR9 (where R9 is a C1 to C2 alkyl group that may be branched and that may be substituted with a halogen atom), and
- 20 a halogen atom; and
 - (vii) a Co to Co propargyl group or substituted propargyl group that may be branched and that may be substituted with a halogen atom; and
 - in the formula (V),

atom;

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- 25 W is a functional group having a leaving ability, and
 - in the formula (VI).
 - * shows a newly produced asymmetric center.
- [0021] In one embodiment, R7 and R8 of the compound represented by the formula (i) are groups independently selected from the group consisting of:
 - (i) a C₁ to C₂₀ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom; (ii) a C2 to C12 alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen
 - (iii) a C2 to C42 alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen
 - (iv) an aryl group, wherein the gryl group may be substituted with at least one group selected from the group consisting of:
- 40 a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom.
 - a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an aryl group that may be substituted with a halogen atom, a C1 to C2 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C₁ to C₄ alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), a cyano group.
 - -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C, to C, alkyl group that may be substituted with a halogen atom),
 - a nitro group.
 - a carbamoyl group,
 - an N-(C1 to C4 alkyl)carbamovi group,
 - an N.N-di(C₄ to C₄ alkyl)carbamovi group.
- -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and
 - a halogen atom;
 - (v) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the

group consisting of:

- a C4 to C4 alkyl group that may be branched and that may be substituted with a halogen atom.
- a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,
- an ary group that may be substituted with a halogen atom, a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group. NRF9F91 (where R90 and R91 are each independently a hydrogen atom or C₁ to C₂ alkyl group that may be substituted with a halogen atom), a ritor group, a carbamoyl group, an N-(C₁ to C₄ alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group, and an N,N-di(C₁ to C₄ alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group, and an N,N-di(C₁ to C₄
 - -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),
 - a nitro group.

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- a carbamoyl group,
- an N-(C₁ to C₄ alkyl)carbamoyl group,
 - an N,N-di(C1 to C4 alkyl)carbamoyl group,
 - -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
 - a halogen atom;
 - $\text{(vi) -(CH}_2)_n \text{OCONR}^{10} \text{R}^{11} \text{ (where } \text{R}^{10} \text{ and } \text{R}^{11} \text{ are groups independently selected from the group consisting of: } \\$
 - a hydrogen atom;
 - (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) a C₂ to C₆ alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;
 - (4) a C₂ to C₆ alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:
 - (5) an aralkyl group, wherein the aryl moiety of the aralkyl group may be substituted with at least one group selected from the group consisting of:
 - a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom.
 - a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an any group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a oyano group, NR30p31 (where R30 and R31 are each independently a hydrogen atom or C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N- $(C_1$ to C_4 alkyl group that may be branched and that may be substituted with a halogen atom).
 - a cvano group.
 - $-N\dot{R}^{30}R^{3T}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom).
 - a nitro group.
 - a carbamoyl group,
 - an N-(C1 to C4 alkyl)carbamoyl group,
 - an N,N-di(C, to C, alkyl)carbamoyl group,
 - -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
 - a halogen atom;
 - (6) a heteroaralkyl group having a heteroaryl moiety, wherein the heteroaryl moiety may be substituted with at least one group selected from the group consisting of:
 - a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an anyl group that may be substituted with a halogen atom, a C_1 to C_2 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $-NR^{20}R^{21}$ (where R^{20} and R^{21} are each independently a hydrogen atom or a C_1 to C_2 alkyl group that may be substituted with a halogen atom), a

nitro group, a carbamoyl group, an N-(C_1 to C_4 alkyl)carbamoyl group, an N,N-di(C_1 to C_4 alkyl)carbamoyl group, an N,N-di(C_1 to C_4 alkyl)carbamoyl group, or -NHCOR9 (where R^0 is a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halocen atom).

a cvano group.

 \cdot NR 30 R 31 (where R 30 and R 31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halocen atom).

a nitro group,

a carbamoyl group,

an N-(C₁ to C₄ alkyl)carbamoyl group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom:

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(7) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C_1 to C_2 alkoxy group that may be branched and that may be substituted with a halogen atom, an any if group that may be substituted with a halogen atom, a C_1 to C_2 alky group that may be branched and that may be substituted with a halogen atom, a cyano group. $NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_2 alky | group that may be substituted with a halogen atom). and intro group, a carbamonyl group, an $N_1 N_1 C_1$ to C_2 alky | group that may be branched and that may be substituted with a halogen atom). a

a cyano group, -1.018^{20} (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom),

be substituted t

a nitro group,

a carbamoyl group,

an N-(C, to C, alkyl)carbamoyl group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

 -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom; and

(8) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom,

a C_1 to C_2 alkoy group that may be branched and that may be substituted with a halogen atom, an any group that may be substituted with a halogen atom, a C_1 to C_2 alky group that may be branched and that may be substituted with a halogen atom, a cyano group. $NN^{50}N^{31}$ (where R^{50} and R^{51} are each independently a hydrogen atom or a C_1 to C_4 alky group that may be substituted with a halogen atom), a nitro group, a carbanony group, an $NN^{50}(C_1$ to C_4 alky)/carbanony group, a $NN^{50}(C_1$ to C_4 alky)/carbanony group, an $NN^{50}(C_1$ to C_4 alky)/carbanony group, an $NN^{50}(C_1$ to C_4 alky) group that may be substituted with a halogen atom.

with a halogen atom), a cvano group.

 $\sim NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halooen atom).

a nitro group,

a carbamovi group.

an N-(C₁ to C₄ alkyl)carbamoyl group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom;

and n is an integer from 1 to 12):

(vii) -(CH₂)_nCONR¹²R¹³ (where R¹² and R¹³ are groups independently selected from the group consisting of:

- (4) bushes to a
- (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;

(3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

- a C, to C, alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C, to C_E alkoxy group that may be branched and that may be substituted with a halogen atom,

an any igroup that may be substituted with a halogen atom, a C_1 to C_0 alkly igroup that may be branched and that may be substituted with a halogen atom, a cyano group, $NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_2 alkly group that may be substituted with a halogen atom), a nitro group, a carbamoryl group, an N_1N^{-1} (if C_1 to C_4 alkly)carbamoyl group, an N_1N^{-1} (if C_1 to C_4 alkly)carbamoyl group, an C_1N^{-1} (if C_1 to C_4 alkly)carbamoyl group, an C_1N^{-1} (if C_1 to C_4 alkly)carbamoyl group, and C_1N^{-1} (if C_1 to C_4 alkly)carbamoyl group, and C_1N^{-1} (if C_1N^{-1} is a C_1N^{-1}) and C_1N^{-1} (if C_1N^{-1}) are an interpretable and C_1N^{-1} (if C_1N^{-1}) and C_1N^{-1} (if C_1N^{-1}) are a constant and C_1N^{-1} (if C_1N^{-1}) and C_1N^{-1}

a cyano group,

 $-N\hat{H}^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom),

a nitro group.

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- a carbamoyl group,
- an N-(C1 to C4 alkyl)carbamoyl group,
- an N,N-di(C, to C, alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom; and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

- a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
- a C_1 to C_2 alkoys group that may be branched and that may be substituted with a halogen atom, an any group that may be substituted with a halogen atom, a C_1 to C_2 alkly group that may be branched and that may be substituted with a halogen atom, a cyano group, $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_2 alkly group that may be substituted with a halogen atom), a not representative of the carbonny group, an N/C_1 to C_2 alkly(pactbenny) group, an $N/-R^{-1}(C_1$ to C_2 alkly(pactbenny) group, an $N/-R^{-1}(C_1$ to C_2 alkly(pactbenny) group, and $N/-R^{-1}(C_1)$ to C_2 alkly(proup that may be branched and that may be substituted with a halogen atom).

a cyano group,

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),

a nitro group.

a carbamovi group.

an N-(C₁ to C₄ alkyl)carbamoyl group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR 9 (where R 9 is a C $_1$ to C $_4$ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom.

- and n is an integer from 1 to 12);
 - (viii) -(CH₂)_nNR¹²COR¹³ (where R¹² and R¹³ are groups independently selected from the group consisting of:
 - a hydrogen atom
 - (2) a C1 to C2 alkyl group that may be branched and that may be substituted with a halogen atom;
- (3) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of:
 - a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C_1 to C_2 allowy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C_1 to C_2 alkly group that may be branched and that may be substituted with a halogen atom, a cyano group, $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_2 alkly group that may be substituted with a halogen atom, a noting group, an $NN-d(C_1$ to C_4 alkly)charbmoryl group, an $NN-d(C_1$ to C_4 alkly)charbmoryl group, an $NN-d(C_1$ to C_4 alkly) group that may be branched and that may be substituted with a halogen atom).

a cvano group.

 $NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom).

a nitro group.

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a carbamoyl group.

an N-(C, to C, alkyl)carbamoyl group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a

halogen atom), and

a halogen atom; and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C, to C, alkoxy group that may be branched and that may be substituted with a halogen atom,

an any group that may be substituted with a halogen atom, a C_1 to C_2 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $-NR^{20}R^{21}$ (where R^{20} and R^{21} are each independently a hydrogen atom or $a C_1$ to C_2 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N\cdot (C_1$ to C_4 alkyl group that may be branched and that may be substituted with a halogen atom).

a cyano group.

 $-NR^{30}R^{31} \ (\text{where } R^{30} \ \text{and } R^{31} \ \text{are each independently a hydrogen atom or a } C_1 \ \text{to } C_4 \ \text{alkyl group that may}$

be substituted with a halogen atom),

a nitro group,

a carbamoyl group,

an N-(C₁ to C₄ alkyi)carbamoyi group,

an N,N-di(C, to C, alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom;

and n is an integer from 1 to 12);

(ix) -(CH₂)_NR¹²R¹³ (where R¹² and R¹³ are groups independently selected from the group consisting of:

- (1) a hydrogen atom;
- (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom:
- (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:
 - a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom,

an any group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyang group, NR 30 Rp3' (where R9' and R9') are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N- $(C_1$ to C_4 alkyl)carbamoyl group, an N- $(C_1$ to $(C_4$ alkyl)carbamoyl group, and N- $(C_1$ to $(C_4$ alkyl)carbam

a cyano group

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from

be substituted with a halogen atom).

a nitro group, a carbamoyl group, an N-(C₁ to C₄ alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

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halogen atom), and a halogen atom; and

the group consisting of:

a halogen atom; and

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a C. to C. alkyl group that may be branched and that may be substituted with a halogen atom.
                   a C<sub>1</sub> to C<sub>5</sub> alkoxy group that may be branched and that may be substituted with a halogen atom,
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                   an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched
                   and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each
                   independently a hydrogen atom or a C<sub>1</sub> to C<sub>4</sub>' alkyl group that may be substituted with a halogen atom), a
                   nitro group, a carbamoyl group, an N-(C<sub>1</sub> to C<sub>4</sub> alkyl)carbamoyl group, an N,N-di(C<sub>1</sub> to C<sub>4</sub> alkyl)carbamoyl
                   group, or -NHCOR9 (where R9 is a C, to C, alkyl group that may be branched and that may be substituted
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                   with a halogen atom).
                   a cyano group,
                   -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may
                   be substituted with a halogen atom),
                   a nitro group,
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                   a carbamovi group.
                   an N-(C, to C, alkyi)carbamoyi group,
                   an N,N-di(C1 to C4 alkyl)carbamoyl group,
                   -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a
                   halogen atom), and
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                   a halogen atom:
          and n is an integer from 1 to 12):
          (x) -(CH₂)<sub>n</sub>Y-OR¹² (where Y is a C₁ to C₄ divalent saturated hydrocarbon group that may be branched and that may
          be substituted with a halogen atom, and R12 is a group selected from the group consisting of:
               (1) a hydrogen atom;
               (2) a C<sub>1</sub> to C<sub>4</sub> alkyl group that may be branched and that may be substituted with a halogen atom;
               (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group
               consisting of:
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                   a C<sub>1</sub> to C<sub>4</sub> alkyl group that may be branched and that may be substituted with a halogen atom,
                   a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom.
                   an anyl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched
                   and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each
                   independently a hydrogen atom or a C<sub>1</sub> to C<sub>4</sub> alkyl group that may be substituted with a halogen atom), a
                   nitro group, a carbamoyl group, an N-(C₁ to C₄ alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl
                   group, or -NHCOR9 (where R9 is a C, to C, alkyl group that may be branched and that may be substituted
                   with a halogen atom).
                   a cyano group.
                   -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may
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                   be substituted with a halogen atom),
                   a nitro group.
                   a carbamovi group.
                   an N-(C₁ to C₄ alkyl)carbamoyl group,
                   an N,N-di(C1 to C4 alkyl)carbamoyl group,
                   -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a
                   halogen atom), and
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(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C_1 to C_2 alkoy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C_1 to C_2 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $HR^{20}R^{21}$ (where R^{22} and R^{23} are each independently a hydrogen atom or a C_1 to C_2 alkyl group that may be substituted with a halogen atom, a nitro group, a catemonyl group, an HC_1 to C_2 alkyl group that may be branched and that may be substituted with a halogen atom, is

a cyano group,

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-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),

a nitro group.

a carbamoyl group,

an N-(C, to C, alkyl)carbamovi group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C2 alkyl group that may be branched and that may be substituted with a

halogen atom), and a halogen atom;

and n is an integer from 1 to 12);

(xi) -(CH₂)_n-OR¹² (where R¹² is a group selected from the group consisting of:

(1) a hydrogen atom;

(2) a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom;

(3) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C, to C_c alkoyr group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C, to C_c alkly group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C_c alkly group that may be substituted with a halogen atom, a orthogroup, a cathemoly group, an NN-dIC to C_c alkly/grouptemory group, an NN-dIC to C_c alkly/grouptemory group, and NN-dIC to C_c alkly/group that may be branched and that may be substituted with a halogen atom).

a cyano group,

 $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom),

a nitro group.

a carbamoyl group.

an N-(C, to C, alkyl)carbamovi group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a

halogen atom), and

a halogen atom; and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a C_1 to C_5 alkoxy group that may be branched and that may be substituted with a halogen atom,

an anyl group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N-C_1$ to C_2 alkylycarbamoyl group an $N,N-d(C_1$ to C_2 alkylycarbamoyl group, an $N-C_1$ to C_2 alkylycarbamoyl group, an $N-C_1$ to C_3 alkylycarbamoyl group, an $N-C_1$ to C_4 alkylycarbamoyl group.

with a halogen atom), a cvano group.

a nitro group, a carbamoyl group, an N-(C₁ to C₄ alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

halogen atom), and a halogen atom,

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be substituted with a halogen atom),

group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may

-NHCOR9 (where R9 is a C, to C, alkyl group that may be branched and that may be substituted with a

and n is an integer from 1 to 12); 15 (xii) -(CH_o), -S-R¹² (where R¹² is a group selected from the group consisting of: (1) a hydrogen atom; (2) a C, to C, alkyl group that may be branched and that may be substituted with a halogen atom; (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group 20 consisting of: a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C, to C, alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, NR30R31 (where R30 and R31 are each 25 independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), a cyano group. -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom). a nitro group, a carbamoyl group, an N-(C, to C, alkyl)carbamovi group, an N,N-di(C, to C, alkyl)carbamoyl group, -NHCOR9 (where R9 is a C1 to C2 alkyl group that may be branched and that may be substituted with a halogen atom), and a halogen atom: and 40 (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of: a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, 45 a C. to C. alkoxy group that may be branched and that may be substituted with a halogen atom. an anyl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C. to C. alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C₁ to C₂ alkyl)carbamoyl group, an N,N-di(C₁ to C₂ alkyl)carbamoyl 50 group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group. a carbamoyl group, an N-(C1 to C4 alkyl)carbamovi group. an N,N-di(C1 to C4 alkyl)carbamoyl group,

- -NHCOR 9 (where R 9 is a C $_1$ to C $_4$ alkyl group that may be branched and that may be substituted with a halogen atom), and
- a halogen atom.
- 5 and n is an integer from 1 to 12);
 - (xiii) -(CH₂)_-SO-R¹² (where R¹² is a group selected from the group consisting of:
 - (1) a hydrogen atom
 - (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
- (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:
 - a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom.
 - a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an aryl group that may be substituted with a halogen atom, a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, NRS^{0,6,3} (where R^{0,0} and R^{0,1} are each independently a hydrogen atom or a C₁ to C₂ alkyl group that may be substituted with a halogen atom), a nitro group, a carbarnoyl group, an N₁-C₁ to C₂ alkyl/parbarnoyl group, an N₁-C₁ to C₂ alkyl/parbarnoyl group, an N₂-C₁ C₃ to C₂ alkyl/parbarnoyl group, an N₃-C₁ C₃ to C₃ alkyl/parbarnoyl group, an N₃-C₁ C₃ to C₃ alkyl/parbarnoyl group, and with CoR⁰ where R⁰ is a C₃ to C₄ alkyl group that may be branched and that may be substituted
 - with a halogen atom),
 - a cyano group, $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halooen atom).
 - a nitro group,

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- a carbamoyl group,
 - an N-(C1 to C4 alkyl)carbamoyl group,
 - an N,N-di(C1 to C4 alkyl)carbamoyl group,
 - NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
 - a halogen atom; and
 - (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:
 - a C, to C, alkyl group that may be branched and that may be substituted with a halogen atom.
 - a C, to C, alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an any group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $..NR^{20}R^{21}$ (where R^{20} and R^{21} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N\cdot (C_1$ to C_4 alkyl group that may be branched and that may be substituted with a halogen atom).
 - a cvano group.
 - -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).
 - a nitro group,
 - a carbamoyl group,
 - an N-(C, to C, alkyl)carbamoyl group,
 - an N,N-di(C₁ to C₄ alkyl)carbamoyl group,
 - -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
 - a halogen atom:
 - and n is an integer from 1 to 12); and
 - (xiv) -(CH₂)_n-SO₂-R¹² (where R¹² is a group selected from the group consisting of:
 - a hydrogen aton
 - (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;

(3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₂ alkoxy group that may be branched and that may be substituted with a halogen atom,

an any group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $NR30R3^3$ (where R30 and $R3^3$ are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N-C_1$ to C_4 alkyl)carbamoyl group, an $N-C_1$ (C_1 to C_4 alkyl)carbamoyl group, an C_1 (C_1 to C_4 alkyl)carbamoyl group, an anothed and that may be substituted with a halogen atom).

a cyano group,

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-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),

a nitro group.

a carbamoyl group,

an N-(C, to C, alkyl)carbamoyl group,

an N,N-di(C, to C, alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C, to C, alkyl group that may be branched and that may be substituted with a

halogen atom), and

a halogen atom; and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom,

an any group that may be substituted with a halogen atom, a C₁ to C₂ alkly group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30 $^{\rm RO}$ 1 where R30 and R31 are each independently a hydrogen atom or a C₁ to C₂ alkly group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C₁ to C₄ alkly)carbamoyl group, an N,N-di(C₁ to C₄ alkly)carbamoyl group, and N-(C₁ t

a cyano group,

 $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom),

a nitro group.

a carbamovi group.

an N-(C, to C, alkyl)carbamoyl group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom:

45 and n is an integer from 1 to 12); or

R7 and R8 are taken together to form a divalent group selected from the group consisting of:

-(CH2)m- (where m is an integer from 2 to 8);

(where R²⁰, R²¹, R²², R²³, R²⁴, R²⁵, R²⁶, R²⁷, R²⁸, R²⁹, R⁴¹, and R⁴² are groups independently selected from the group consisting of:

a hydrogen atom:

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a C_1 to C_8 alkyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen atom:

a C_2 to C_8 alkenyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen atom;

a $\rm C_2$ to $\rm C_8$ alkynyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen atom;

an any group, which may be substituted with a C_1 to C_2 alkyl group that may be substituted with a halogen atom, a C_1 to C_2 alkyl group that may be substituted with a Log and anom, an any group that may be substituted with a halogen atom, an any group that may be substituted with a C_1 to C_2 alkyl group that may be substituted with a halogen atom, a cyano group, a halogen atom, a nitro group. $ARO PAP^2$ (where R^{20} and P^{21} are each independently a hydrogen atom or a C_1 to C_2 alkyl group that may be substituted with a halogen atom, a C_1 to C_2 alkyl group that may be substituted with a halogen atom, a C_1 to C_2 alkyl group. A halogen atom, a C_1 to C_2 alkyl group that may be substituted with a halogen atom, a C_1 to C_2 alkyl group. A halogen atom, a cyanogen a

a hetroaralkyl group, which has a hetroaryl molely that may be substituted with a C_1 to C_2 alkyl group that may be substituted with a halogen atom, a C_1 to C_2 alkyloxy group that may be substituted with a halogen atom, a cyano group, a habogen atom, a nitro group. 143 PR37 (where R23 and R31 are each independently a hydrogen atom or a C_1 to C_2 alkylyen group that may be substituted with a habogen atom), or a cyclic amino group that is formed by a C_2 by C_3 alkylene group.

a (C₁ to C₃ alkoxy)carbonyl group;

a carbamoyl group;

an N-(C₄ to C₄ alkyl)carbamoyl group; and

an N,N-di(C₁ to C₄ alkyl)carbamoyl group (where the C₁ to C₄ alkyl groups may be the same or different)).

[0022] In a further embodiment, each of R^1 , R^2 , R^2 , R^2 , R^3 , and R^3 of the compound represented by the formula (I) is a C_1 to C_2 allowing group that may be branched or form a cyclic group and that may be substituted with a hadigen atom. 00231 In another embodiment, each of R^1 , R^1 , R^2 , R^3 , R^3 , and R^3 of the compound represented by the formula (II) is a methoxy group, an ethoxy group, or a benzyloxy group.

[0024] In a further embodiment, R4 and R4 of the compound represented by the formula (I) are groups independently selected from the group consisting of:

a hydrogen atom; and

an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of:

a C. to C. alkyl group that may be branched and that may be substituted with a halogen atom.

a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom,

an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₂ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C₁ to C₄ alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom),

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C2 alkyl group that may be

substituted with a halogen atom),

a nitro group.

a carbamoyl group,

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C1 to C4 alkyl)carbamovl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom.

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[0025] In a still further embodiment, each of R4 and R4 of the compound represented by the formula (I) is a 3,4,5trifluorophenyl group or a 3,5-bis(trifluoromethyl)phenyl group.

[0026] In a further embodiment, R7 and R8 of the compound represented by the formula (I) are each independently a C1 to C2n alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom.

[0027] In a still further embodiment, R7 and R8 of the compound represented by the formula (I) are both n-butyl groups.

[0028] In one embodiment, the inorganic base is used in the form of an aqueous inorganic-base solution.

[0029] In a further embodiment, the inorganic base in the aqueous inorganic-base solution is used in a ratio of at least 0.5 equivalents up to 280 equivalents per 1 equivalent of the compound represented by the formula (IV).

[0030] In a still further embodiment, a concentration of the aqueous inorganic-base solution is from 10 w/w% to 70 w/w%.

[00311 In a still further embodiment, the compound represented by the formula (I) is used in a ratio of 0.0001 mol% to 10 mol% per 1 mol of the compound represented by the formula (IV).

[0032] In a still further embodiment, a volume ratio between the medium and the aqueous inorganic-base solution is 7:1 to 1:5.

[0033] The present invention also provides a method for producing an optically active α-amino acid. comprising: [0034] a step of hydrolyzing an imino group (R14R15C=N-) of the compound represented by the formula (VI) that is obtained by any one of the above-described method under acidic conditions:

(wherein R14, R15, R16, R17, and R18 are the same groups as defined above); and

a step of hydrolyzing an ester group (-CO₂R¹⁷) of the acid-hydrolysis product under acidic or basic conditions. [0035] The present invention also provides a method for producing an optically active α-amino acid, comprising:

a step of hydrolyzing an ester group (-CO₂R17) of the compound represented by the formula (VI) that is obtained by any one of the above-described method under basic conditions:

$$R_{15}^{14} = N + R_{16}^{16} = 0$$
 $R_{18}^{16} = 0$
 $R_{18}^{17} = 0$
 $R_{18}^{17} = 0$
 $R_{18}^{17} = 0$
 $R_{18}^{17} = 0$

(wherein R¹⁴, R¹⁵, R¹⁶, R¹⁷, and R¹⁸ are the same groups as defined above); and a step of hydrolyzing an imino group (R¹⁴R¹⁵C=N-) of the basw-hydrolysis product under acidic conditions.

[0036] The present invention provides a chiral phase-transfer catalyst that has a more simplified structure. This phase-transfer catalyst can be produced in a fewer steps than conventional ones. Thus, the phase-transfer catalyst of the present invention that can be provided more easily can be used, for example, in the synthesis of a-allyl-α-amino acid derivatives and α.α-dialkyl-α-amino acid.

Best Mode for Carrying Out the Invention

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[0037] Hereinafter, the terms used in the present invention will be defined.

[0038] The phrase "C₁ to C_n alkyl group that may be branched or form a cyclic group" (where n is an integer) includes any linear alkyl group having 3 to n carbon atoms, and any cyclic alkyl group having 3 to n carbon atoms. Examples of linear alkyl groups having 1 to 6 carbon atoms include methyl, ethyl, n-propyl, n-butyl, n-pertyl, and n-heayl. Examples of branched alkyl groups having 3 to 6 carbon atoms include elsopropyl, isobulyl, tert-butyl, and sopentyl. Examples of cyclicalkyl groups having 3 to 6 carbon atoms include slopropyl, sobulyl, tert-butyl, and sopentyl. Examples of cyclicalkyl groups having 3 to 6 carbon atoms include cyclobutyl, cyclopentyl, and cyclohexyl. Furthermore, when "C₁ to C₂ alkyl group that may be branched or form a cyclic group and/or may be substituted with a halogen atom 1 is referred to, any linear alkyl group having 1 to 12 carbon atoms, any branched alkyl group having 3 to 12 carbon atoms are included, and a hydrogen atom at any position of these alkyl groups may be substituted with a halogen atom. Examples of alkyl groups include with a halogen atom. Examples of alkyl groups include with a halogen atom. Examples of alkyl groups include with a halogen atom.

30 [0039] In N-(C₁ to C₄ alkyl) carbamoyl groups and N,N-di(C₁ to C₄ alkyl) carbamoyl groups, "C₁ to C₄ alkyl" means a C₁ to C₄ linear alkyl group or a C₂ to C₄ branched alkyl group.

[Odd] The phrase 'C₂ to C₃ alkenty group hat may be branched or form a cyclic group" (where n is an integer) includes any linear alkenyl group having 3 to n carbon atoms, any branched alkenyl group having 3 to n carbon atoms, and any cyclic alkenyl group having 3 to n carbon atoms, and any cyclic alkenyl group having 3 to n carbon atoms, and any cyclic alkenyl group having 3 to n carbon atoms lincule ethenyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 1-pentenyl, 3-pentenyl, 4-pentenyl, and 1-havenyl. Examples of branched alkenyl group having 3 to 6 carbon atoms include isopropenyl, 1-methyl-1-propenyl, 1-methyl-1-propenyl, 1-methyl-1-propenyl, 1-methyl-1-propenyl, 1-methyl-1-propenyl, 1-methyl-1-propenyl, 2-methyl-2-propenyl, and 1-methyl-2-butenyl. Examples of cyclic alkenyl group having 3 to 8 carbon atoms include cyclobutenyl, cyclopentenyl, and cyclohexenyl. Furthermore, when 'C₂ to C_{1/2} alkenyl group that may be branched or form a cyclic group and/or may be substituted with a halogen atom 'is reterred to, any linear alkenyl group having 2 to 12 carbon atoms, and branched alkenyl group having 3 to 12 carbon atoms, and any cyclic alkenyl group having 3 to 12 carbon atoms are included, and a hydrogen atom at any position of these alkenyl groups having 3 to 12 carbon atoms. Examples of such alkenyl groups include 1-heptenyl, 2-heptenyl, 1-octenyl, 1-decenvil, and 1-dodecenvil.

[0041] The phrase "C₂ to C_n alkynyl group that may be branched or form a cyclic group" (where n is an integer) includes any linear alkynyl group having 2 to n carbon atoms, and any cyclic alkynyl group having 3 to n carbon atoms, and any cyclic alkynyl group having 3 to n carbon atoms, and any cyclic alkynyl group having 3 to n carbon atoms include ethynyl, 1-propynyl, 2-propynyl, 1-butynyl, 2-butynyl, 1-propynyl, 2-propynyl, 2-pr

[0042] The phrase "C₁ to C_n alkoxy group that may be branched" (where n is an integer) includes alkoxy groups having 1 on carbon atoms and alkoxy groups having any branched alkyl groups having 1 to nearbon atoms. Examples thereof include methyloxy, ethyloxy, n-propyloxy, isopropyloxy, and tert-butyloxy.

[0043] Examples of "aralkyl group" in the present invention include benzyl, phenethyl, and naphthylmethyl.

[0044] Examples of "heteroaralkyl group" in the present invention include pyridylmethyl, indolylmethyl, furylmethyl,

thienvimethyl, and pyrrolylmethyl.

[0045] Examples of "aryl group" in the present invention include phenyl, naphthyl, anthracenyl and phenanthryl.

[0046] Examples of "heteroaryl group" in the present invention include pyridyl, pyrrolyl, imidazolyl, furyl, indolyl, benzothiophen-2-yl, thienyl, oxazolyl, thiazolyl, 3,4-methylenedioxyphenyl, 3,4-ethylenedioxyphenyl and tetrazolyl.

[0047] Examples of "halogen atom" in the present invention include a chlorine atom, a bromine atom, an iodine atom, and a fluorine atom. In the present invention, the term "halide anion" refers to halogen ions and examples thereof include a chloride ion, a bromide ion, an iodide ion and a fluoride ion.

[0048] The phrase "C₃ to C_n allyl group or substituted allyl group that may be branched or form a cyclic group" (where n is an integer refers to allyl groups or any substituted allyl groups having a substituent(s) at position 1 and/or 2 and/or 3 and havind 4 to n carbon atoms in total, and for example, includes 2-butnen!. I -evolocentenwiethy!, and 3-methy!-2

buttenyl.

[0049] The phrase "C₃ to C_n propargyl group or substituted propargyl group that may be branched" (where n is an interest steps to propargyl groups or any substituted propargyl groups beginn a substituted to be propared groups or any substituted propared groups beginn a substituted to be propared groups.

integer) refer to propargy i groups or any substituted propargy i group having a substituent(s) at positivent (s) and having 4 to n carbon atoms in total, and for example, includes 2-butyryl, and 3-trimethylsilyl-2-propynyl.

5 [0050] In the present invention, the term "functional group having a leaving ability" means an atom or a group of atoms that leaves from a substrate in a substitution reaction or an elimination reaction, that is, a leaving group, and for example, includes a halogen atom, and a sulfonylory group.

[0051] In the present specification, the term "group (Q)" is used to simplify the description, for convenience, and is referred to the group consisting of:

a C1 to C4 alkyl group that may be branched.

a C1 to C5 alkoxy group that may be branched.

an aryl group that may be substituted with a halogen atom, a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a

hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-C₁ to C₄ alkyl)carbamoyl group, or -NHCOR® (where R® is a C₁ to C₄ alkyl)carbamoyl group, or -NHCOR® (where R® is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom).

a cyano group,

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-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),

a nitro group.

a carbamoyl group,

an N-(C1 to C4 alkyl)carbamoyl group,

an N.N-di(C, to C, alkyl)carbamovl group.

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom.

40 [0052] Hereinafter, the present invention will be described more specifically.

<Quaternary Ammonium Salt>

[0053] A quaternary ammonium salt of the present invention is pure with respect to its axial asymmetry, and is a compound represented by the following formula (I):

(where R1, R1', R2, and R2' are each independently:

a hydrogen atom;

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- a halogen atom;
- a C_1 to C_5 alkyl group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group; or
 - a C₁ to C₅ alkoxy group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group;

(T)

25 R³ and R^{3'} are each independently:

- a halogen atom;
- a C_1 to C_5 alkyl group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group; or
- a C₁ to C₅ alkoxy group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group;

R4 and R4 are each independently a group selected from the group consisting of:

- (i) a hydrogen atom:
 - (ii) -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom);
 - (iii) a cvano group:
- (iv) a nitro group;
- (v) a carbamovi group:
 - (vi) an N-(C₁ to C₄ alkyl)carbamoyl group;
 - (vii) an N,N-di(C₁ to C₄ alkyf)carbamovl group;
 - (viii) -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom):
- 45 (ix) a halogen atom:
 - (x) a C_1 to C_6 alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom; (xi) a C_2 to C_6 alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:
 - (xii) a $\rm C_2$ to $\rm C_8$ alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;
 - (xiii) an aralkyl group, wherein the aryl moiety of the aralkyl group may be substituted with at least one group selected from the group (Q) consisting of:
 - a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an aryl group that may be substituted with a halogen atom, a C₁ to C₂ alklyl group that may be branched and that may be substituted with a halogen atom, a cyano group, NR²⁰0R²¹ (where R²⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₂ alklyl group that may be substituted with a halogen atom), a nitro group, a

carbamoyi group, an N-(C₁ to C₄ alkyl) carbamoyi group, an N,N-di(C₁ to C₄ alkyl) carbamoyi group, or -NHCOR 9 (where R^{9} is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), a oxeno croup.

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group,

a carbamoyl group,

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom). and

a halogen atom;

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(xiv) a heteroaralkyl group having a heteroaryl moiety, wherein the heteroaryl moiety may be substituted with at least one group selected from the group (Q):

(xv) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group (Q) or may be substituted with -O-(CH₂)₀-O- (where p is 1 or 2) at positions 3 and 4 that are taken together;

(xvl) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group (Q); and

(xviii) -S(O)_n-R (where n is 0, 1, or 2, and R is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom);

Pl and R⁸ are each independently a monovalent organic group or taken together to form a divalent organic group, and X- is a hallde anion, SCN*, HSO₄*, HF₂*, CF₂SO₃*, CH₂Ph-SO₃*, or CH₂SO₃*). The compound represented by the formula (i) may have a configuration of either (S) or (R).

[0054] The compound represented by the formula (I) functions usefully as a phase-transfer catalyst for producing, for example, an optically active came acid or derivative thereof, and in particular, an α_i , and falkly-t-camino acid or derivative thereof as described later. More specifically, when the compound represented by the formula (I) is used as a phase-transfer catalyst in order to produce an optically active α_i -amino acid or derivative thereof represented by the formula (V) by alkylating a compound represented by the formula (V), the ammonibum molely constituting a cation of this compound:

contributes to the reactivity in the alkylation, and the biphenyl moiety:

$$R^2$$
 R^4
 R^3
 R^7
 R^7
 R^9

contributes to the stereoselectivity of the alkylation reaction. Therefore, in one embodiment, R7 and R8 in the compound

represented by the formula (f) are groups that can retain the catalytic activity and selectivity arising from the ammonium moiety and the bipheny micely of the cation, respectively (or inhibit neither catalytic activity nor selectivity). For example, they can be monovalent organic groups of divisions groups that are inactive compared to the ammonium moiety and the biphenyl moiety. In other words, it is not necessary for RY and RP to be groups which themselves (or itself) have excellent reactivity, and rather, it is sufficient for them not to adversely affect the reactions in the production of the amino acids or derivatives thereof as described later. Alternatively, if the compound represented by the formula (f) is used as a phase-transfer catalyst for producing an optically active α-amino acid or a derivative thereof as described later, AT and RP in the formula (f) are each independently a monovalent group or a monovalent organic group selected from the group consisting of:

(i) a C_1 to C_{30} alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom; (ii) a C_2 to C_{12} alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen

(iii) a C2 to C12 alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen

(iv) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group (Q); (v) a heteroanyl group, wherein the heteroanyl group may be substituted with at least one group selected from the group (Q):

(vi) -(CH₂)₀CCONR¹⁰R¹¹ (where R¹⁰ and R¹¹ are groups independently selected from the group consisting of:

(1) a hydrogen atom;

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- (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
- (3) a ${\bf C}_2$ to ${\bf C}_6$ alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;
- 25 (4) a C₂ to C₆ alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;
 - (5) an aralkyl group, wherein the aryl molety of the aralkyl group may be substituted with at least one group selected from the group (Q):
 - (6) a heteroaralkyl group having a heteroaryl molety, wherein the heteroaryl molety may be substituted with at least one group selected from the group (Q);
 - (7) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group
 - (6) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group (Q), and n is an integer from 1 to 12);
 - (vii) -(CH₂)_nCONR¹²R¹³ (where R¹² and R¹³ are groups independently selected from the group consisting of:
 - (1) a hudragen ater
 - (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom:
 - (3) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group (Q): and
 - (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group (Q), and n is an integer from 1 to 12):
- 45 (viii) -(CH_a)_NR¹²COR¹³ (where R¹² and R¹³ are groups independently selected from the group consisting of:
 - a hydrogen atom;
 - (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group (Q); and
 - (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group (Q), and n is an integer from 1 to 12):
 - (ix) -(CH₂)_NR12R13 (where R12 and R13 are groups independently selected from the group consisting of:
 - a hydrogen atom;
 - (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group

- (Q): and
- (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group (Q), and n is an integer from 1 to 12):
- 5 (x) -(CH₂)_nY-OR¹² (where Y is a C₁ to C₄ divalent saturated hydrocarbon group that may be substituted with a halogen atom, and R¹² is a group selected from the group consisting of:
 - (1) a hydrogen atom:
 - (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
- (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group
 - (Q) : and
 - (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group (Q), and n is an integer from 1 to 12);
- 15 (xi) -(CH₂),-OR¹² (where R¹² is a group selected from the group consisting of :
 - (1) a hydrogen atom;
 - (2) a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom:
 - (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group (Q); and
 - (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group (Q), and n is an integer from 1 to 12):
 - (xii) -(CH₂)_n-S-R¹² (where R¹² is a group selected from the group consisting of:
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- a hydrogen atom;
- (2) a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom;
- (3) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group (Q); and
 - (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group (Q), and n is an integer from 1 to 12);
- (xiii) -(CH_a)_a-SO-R¹² (where R¹² is a group selected from the group consisting of:
 - (1) a hydrogen atom:
 - (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group
 - (Q); and
- (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group (Q), and n is an integer from 1 to 12); and
 - (xiv) -(CH₂)_a-SO₂-R¹² (where R¹² is a group selected from the group consisting of:
 - (1) a hydrogen atom;
 - (2) a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group
 - (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group (Q), and n is an integer from 1 to 12); or
 - R7 and R8 are taken together to form a (divalent organic) group selected from the group consisting of:
 - -(CH_o)_- (where m is an integer from 2 to 8):

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(where R²⁰, R²¹, R²², R²³, R²⁴, R²⁵, R²⁵, R²⁶, R²⁷, R²⁸, R²⁹, R⁴¹, and R⁴² are groups independently selected from the group consisting of:

a hydrogen atom:

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- a C₁ to C₈ alkyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen
- a C₂ to C₈ alkenyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen atom;
 - a C_2 to C_8 alkynyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen atom:
 - an anyl group, which may be substituted with a C_1 to C_2 alkyl group that may be substituted with a halogen atom, a C_1 to C_2 alkoy group that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, an anyl group, a halogen atom, a nitro group. ANRPRF3 (where R^{20} and R^{21} are each independently a hydrogen atom or a C_1 to C_2 alkyl group that may be substituted with a halogen atom, a cycle is formed by a C_2 to C_3 alkylene group; a hardward group, which may be substituted with a C_3 to C_4 alkylene group; a hardward group, which may be substituted with a C_3 to C_4 alkylene group; a hardward group, which may be substituted with a C_3 to C_4 alkylene group; a hardward group, which were C_4 and C_4 are each independently a hydrogen atom or a C_4 to C_4 alkylene group; an arrankylene group, which has an anyl molecy that may be substituted with a halogen atom, a cycle C_4 alkylene group; an arrankyl group, which has an anyl molecy that may be substituted with a C_4 to C_4 alkylene group; and C_4 are C_4 alkylene group; and C_4 are C_4 and C_4 and C_4 are C_4 and C_4 and C_4 are C_4 and C_4 are C_4 and C_4 and C_4 are C_4 and C_4 and C_4 and C_4 are C_4 and C_4 and C_4 are C_4 and C_4 and C_4 are C_4 and C_4 and C_4 and C_4 are C_4 and C_4 and C_4 are C_4 and C_4 are C_4 and C_4 and
 - a hetroaralkyl group, which has a hetroaryl molety that may be substituted with a C_1 to C_4 alk/oy group that may be substituted with a halogen atom, a C_1 to C_3 alk/oxy group that may be substituted with a halogen atom, a cyano group, a halogen atom, a nitro group. 1 NR³Pl³I (where R³ and R³ are each independently a hydrogen atom or a C_1 to C_4 alk/group that may be substituted with a halogen atom), or a cyclic amino group that is formed by a C_2 to C_3 alk/ylene group.
 - a (C1 to C3 alkoxy) carbonyl group;

- a carbamovi group:
- an N-(C1 to C4 alkyl)carbamovi group; and
- an N,N-di(C₁ to C₄ alkyl)carbamoyl group (where the C₁ to C₄ alkyl groups may be the same or different)).
- 5 (0055) In the present invention, all of R1, R1', R2', R2', R3' and R3' of the compound represented by the formula (I) are preferably C₁ to C_n alkoxy groups that may be branched or form a cyclic group, and that may be substituted with a halogen atom. In consideration of the availability of the below-mentioned starting material, it is preferable that all of R1', R1', R2', R3', R3 and R3' of the compound represented by the formula (I) are a methoxy group, an ethoxy group, or a benzyloxy group.
- 10 [0056] Alternatively, in the present invention, it is also preferable that R4 and R4 of the compound represented by the formula (f) are each independently selected from the group consisting of:
 - a hydrogen atom, and

- an aryl group, wherein the aryl group may be substituted with at least one group selected from the group (Q). In particular, it is preferable that \mathbb{R}^4 and \mathbb{R}^4 of the compound represented by the formula (I) are a 3.4.5-trifluorophenyl group or a 5.5-bic(trifluoromethyl)phenyl group. This is because if the compound represented by the formula (I) having such a substituent group is used as a phase-transfer catalyst for producing an optically active α -amino acid and derivatives thereof, and in particular α -dialkyt-camino acids or derivates thereof, and in particular α -dialkyt-camino acids or derivatives thereof, and in particular α -dialkyt-camino acids or derivatives thereof, and in particular α -dialkyt-camino acids or derivatives thereof, and in particular α -dialkyt-camino acids or derivatives thereof, and in particular α -dialkyt-camino acids or derivatives thereof, and in particular α -dialkyt-camino acids or derivatives thereof, and in particular α -dialkyt-camino acids or derivatives thereof, and in particular α -dialkyt-camino acids or derivatives thereof, and in particular α -dialkyt-camino acids or derivatives thereof, and in particular α -dialkyt-camino acids or derivatives thereof, and in particular α -dialkyt-camino acids or derivatives thereof, and in particular α -dialkyt-camino acids or derivatives thereof, and in particular α -dialkyt-camino acids or derivatives thereof, and in particular α -dialkyt-camino acids or derivatives thereof, and in the particular α -dialkyt-camino acids or derivatives thereof, and in the particular α -dialkyt-camino acids or derivatives thereof.
- [0057] Alternatively, in the present invention, R⁷ and R⁸ of the compound represented by the formula (i) are preferably each independently a C₁ to C₂₀ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom. In this specification, R⁷ and R⁸ are preferably, each independently, a C₁ to C₂₀ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom, for a C₁₀ to C₂₀ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom, for this specification, R⁷ and R⁸ are preferably, each independently, an althyl group that may be pranched or form a cyclic group and that may be substituted with a halogen atom, this specification, R⁷ and R⁸ are preferably, each independently, an altyl group that may be substituted with a halogen atom, the second of the cyclic group and that may be substituted with a halogen atom, and more preferably the number of carbons in the alkyl group can be selected from a range in which the lower limit is at least 1, at least 3, at least 15, at least 17, or at least 18, and the upper limit is not more than 30, not more than 21, not more than 21, not more than 20, not more than 12 and the upper limit is to the compound are both n-buyl groups. This is because if the compound represented by the formula (1) having such a substituent group is used as a phase-transfer catalyst for producing an optically active, camino acid and derivatives thereof, and in particular a, as a phase-transfer catalyst for producing an optically active, camino acid and derivatives thereof, and in particular a,
 - cr-dialkyl-cr-amino acids or derivates thereof, then the amino acid or derivatives thereof can be produced with excellent yield and optical purity. [0056] Alternatively, in the present invention, it is preferable that R¹ and R¹ of the compound represented by the formula (i) are the same.
 - [0059] Alternatively, in the present invention, it is preferable that R² and R^{2'} of the compound represented by the formula (i) are the same.
- 40 [0060] Alternatively, in the present invention, it is preferable that R³ and R³ of the compound represented by the formula (I) are the same.
 - [0061] Alternatively, in the present invention, it is preferable that R4 and R4 of the compound represented by the formula (i) are the same.
- [0062] Alternatively, in the present invention, it is preferable that R⁷ and R⁸ of the compound represented by the formula (I) are the same.
 - <Method of Producing the Quaternary Ammonium Salt>
- [0063] The quaternary ammonlum salt represented by the formula (I) can be produced by reacting a compound represented by the following formula (II):

$$R^{2}$$
 R^{3}
 R^{3}
 R^{2}
 R^{2}
 R^{4}
 R^{4}
 R^{2}
 R^{4}
 R^{4}
 R^{1}
 R^{1}
 R^{1}
 R^{1}
 R^{1}

with a secondary amine represented by the following formula (III):

in an organic solvent in the presence of an acid-scavenging agent.

[0064] Here, in the formula (II),

R1, R1, R2, and R2 are each independently:

a hydrogen atom; a halogen atom;

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- a C1 to C5 alkyl group that may be substituted with a halogen atom and/or an anyl group, and/or that may be branched or form a cyclic group; or
- a C1 to C5 alkoxy group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group;

R3 and R3 are each independently:

- a halogen atom:
- a C1 to C5 alkyl group that may be substituted with a halogen atom and/or an anyl group, and/or that may be branched 40 or form a cyclic group; or
 - a C, to C₅ alkoxy group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group;

R4 and R4 are groups independently selected from the group consisting of: 45

- (i) a hydrogen atom;
 - (ii) -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group than may be substituted with a halogen atom):
 - (iii) a cyano group;
 - (iv) a nitro group:

 - (v) a carbamoyl group;
 - (vi) an N-(C₁ to C₂ alkyl)carbamoyl group;
 - (vii) an N,N-di(C1 to C4 alkyl)carbamoyl group; (viii) -NHCOR9 (where R9 is a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom):
 - (ix) a halogen atom;
 - (x) a C₁ to C₆ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;
 - (xi) a Co to Co alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen

atom

- (xii) a C_2 to C_6 alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen
- (xiii) an aralkyl group, wherein the aryl moiety of the aralkyl group may be substituted with at least one group selected from the group (Q):
 - (xiv) a heteroaralkyl group having a heteroaryl moiety, wherein the heteroaryl moiety may be substituted with at least one group selected from the group (Q);
- (xv) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group (Q) or may be substituted with -O-(CH₂)p-O- (where p is 1 or 2) at positions 3 and 4 that are taken together, and (xv) a heteroanyl group, wherein the heteroanyl group may be substituted with at least one group selected from the
- group (Q); and (where n is 0, 1, or 2, and R is a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halooen atom); and
- 15 Z is a halogen atom.

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- [0065] On the other hand, in the formula (III).
- R7 and R8 are groups independently selected from the group consisting of:
- (i) a C₁ to C₃₀ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom; (ii) a C₂ to C₁₂ alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen
- atom;
 (iii) a C₂ to C₁₂ alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen
- atom;
 (iv) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group (Q);
- (v) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group (Q);
 - (vi) -(CH₂)_nOCONR¹⁰R¹¹ (where R¹⁰ and R¹¹ are groups independently selected from the group consisting of:
 - (1) a hydrogen atom;
- 30 (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) a C₂ to C₆ alkenyl group that may be branched or form a cyclic group and that may be substituted with a
 - (4) a C₂ to C₆ alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;
 - (5) an aralkyl group, wherein the aryl molety of the aralkyl group may be substituted with at least one group selected from the group (Q);
 - (6) a heteroaralkyl group having a heteroaryl molety, wherein the heteroaryl molety may be substituted with at least one group selected from the group (Q);
 - (7) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group(Q); and
 - (8) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group (Q), and n is an integer from 1 to 12);
 - (vii) -(CH₂)_nCONR¹²R¹³ (where R¹² and R¹³ are groups independently selected from the group consisting of:
 - a hydrogen atom;
 - (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group
 - (Q); and
 - (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group (Q), and n is an integer from 1 to 12);
 - (viii) -(CH₂)_nNR¹²COR¹³ (where R¹² and R¹³ are groups independently selected from the group consisting of:
- 6 (1) a hydrogen atom:
 - (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group
 - (Q); and

- (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group (Q), and n is an integer from 1 to 12);
- (ix) -(CH₂)_nNR12R13 (where R12 and R13 are groups independently selected from the group consisting of:
- (1) a hydrogen atom:
 - (2) a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group (Q); and
- (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group (O), and n is an integer from 1 to 12):
- the group (a), and it is an integer from 1 to 12),
- (x) -(CH₂)_nY-OR¹² (where Y is a C₁ to C₄ divalent saturated hydrocarbon group that may be branched and that may be substituted with a halogen atom, and R¹² is a group selected from the group consisting of:
- (1) a hydrogen atom;

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- (2) a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom;
- (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group
- (Q); and
- (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group (Q), and n is an integer from 1 to 12);
 - (xi) -(CH₂)_a-O-R¹² (where R¹² is a group selected from the group consisting of:
- 25 (1) a hydrogen atom:
 - (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group
 - (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from
 - (xii) -(CH₂)_a-S-R¹² (where R¹² is a group selected from the group consisting of:

the group (Q), and n is an integer from 1 to 12);

- (1) a hydrogen atom;
- (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group (Q); and
- (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group (O), and n is an integer from 1 to 12):
- (xiii) -(CH_a)_-SO-R¹² (where R¹² is a group selected from the group consisting of:
 - (1) a hydrogen atom;
 - (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
- 45 (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group (O): and
 - (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group (Q), and n is an integer from 1 to 12); and
- 50 (xiv) -(CH₂)_a-SO₂-R¹² (where R¹² is a group selected from the group consisting of:
 - (1) a hydrogen atom;
 - (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group
 - (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group (Q), and n is an integer from 1 to 12); or

R7 and R8 are taken together to form a (divalent organic) group selected from the group consisting of:

-(CH₂)m- (where m is an integer from 2 to 8):

(wherein R²⁰, R²¹, R²², R²³, R²⁴, R²⁵, R²⁶, R²⁷, R²⁸, R²⁹, R⁴¹, and R⁴² are groups independently selected from the group consisting of:

a hydrogen atom;

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- a C_1 to C_8 alkyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen atom; a C_2 to C_8 alkenyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen atom:
 - a C₂ to C₈ alkynyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen atom:
 - an anyl group, which may be substituted with a C₁ to C₂ alkyl group that may be substituted with a halogen atom, a C₁ to C₂ alkoy; group that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, an eyano group, a halogen atom, a nitro group, -NR³⁰P3³ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₂ alkyl group that may be substituted with a halogen atom, or a cyclic arnino group that is formed by a C₁ to C₂ alkyleng round.
- a hetroary i group, which may be substituted with a C₁ to C₄ alky i group that may be substituted with a halogen atom, a C₁ to C₅ alkowy group that may be substituted with a halogen atom, a oyano group, a halogen atom, a nitro group, -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), or a oxolic amino group that is formed by a C₅ to C₅ alkylene group;
 - an aralyl group, which has an aryl molety that may be substituted with a C_1 to C_4 alkly group that may be substituted with a halogen atom, a C_1 to C_2 alkoy group that may be substituted with a halogen atom, a cyano group, a halogen atom, a niver group. NRS^{0,18} (where RS⁹ and RS¹ are each independently a hydrogen atom or a C_1 to C_2 alklyl group that may be substituted with a halogen atom), or a cyclic amino group that is formed by a C_2 to C_3 alklylene group; a hetrorariskyl group, which has a hetroaryl molety that may be substituted with a C_1 to C_2 alklyl group that may be substituted with a halogen atom, a C_1 to C_3 alkly group that may be substituted with a halogen atom, a C_1 to C_2 alkly group that may be substituted with a halogen atom, a C_1 to C_2 alkly group that may be substituted with a halogen atom, a C_1 to C_2 alkly group that may be

group, a halogen atom, a nitro group, -NR 30 R 31 (where R 30 and R 31 are each independently a hydrogen atom or a C₁ to C₂ alklyl group that may be substituted with a halogen atom), or a cyclic amino group that is formed by a C₂ to C₂ alklylen cryoub:

a (C₁ to C₃ alkoxy)carbonyl group;

a carbamovi group;

an N-(C, to C, alkyl)carbamoyl group; and

an N,N-di(C₁ to C₄ alkyl)carbamoyl group (where the C₁ to C₄ alkyl groups may be the same or different)).

[0066] In the present invention, all of R¹, R¹, R², R², R³, and R³ of the compound represented by the formula (ii) are preferably C₁ to C₂ alkoxy groups that may be branched or form a cyclic group and that may be substituted with a halogen in consideration of the availability and ease of preparation, it is preferable that all of R¹, R¹, R², R², R³, and R³ of the compound represented by the formula (ii) are a methoxy group, a ethoxy group, or a benzyloxy group.

[0067] Alternatively, in the present invention, it is also preferable that R⁴ and R^{4'} of the compound represented by the formula (II) are each independently selected from the group consisting of:

a hydrogen atom, and

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an anyl group, wherein the anyl group may be substituted with at least one group selected from the group (Q). In particular, it is preferable that R* and R* of the compound represented by the formula (II) are a 3,4,5-trifluorophenyl group or a 3,5-bis(irflluoromethy)phenyl group.

[0068] Alternatively, in the present invention, R⁷ and R⁸ of the compound represented by the formula (ii) are preferably each independently a C, to C₇₂ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom. In particular, it is preferable that R⁷ and R⁸ of the compound represented by the formula (ii) are both n-butly groups.

25 [0069] Alternatively, in the present invention, it is preferable that R¹ and R¹' of the compound represented by the formula (III) are the same.

[0070] Alternatively, in the present invention, it is preferable that R² and R^{2'} of the compound represented by the formula (II) are the same.

[0071] Alternatively, in the present invention, it is preferable that R³ and R^{3'} of the compound represented by the formula (II) are the same.

formula (II) are the same.

[0072] Alternatively, in the present invention, it is preferable that R⁴ and R^{4'} of the compound represented by the

formula (II) are the same. [0073] Alternatively, in the present invention, it is preferable that ${\sf R}^7$ and ${\sf R}^8$ of the compound represented by the formula (II) set the same.

[0074] The compound of the formula (II) that is used in the present invention can be synthesized using, for example, a first method, a second method, or a third method described below.

[0075] As a first method, the compound represented by the formula (VII) below:

(where R1, R2, and R3 are each independently the same group as defined above) is first dissolved in an organic solvent (such as acetonitrile or chloroform), and then N-bromosuccinimide (NBS) or bromine, for example, is added to this solution and heated under reflux. Thus, the compound of the formula (VIII) that has been bromated at position 2 can be obtained. It should be noted that a specific example of the compound of the formula (VIII) is 3.4.5-trimethoxy benzoic acid. This is commercially available from Addrich, for example.

[0076] The compound of the formula (VIII) obtained above is next converted to an acid chloride by reacting it with (i) SOCl₂, for example, and then reacted with (ii) (S)- or (R)-1,1-bi-2-naphthol in a solvent such as THF to give the compound of the formula (X). It should be noted that in this reaction, by using either (S)-1,1-bi-2-naphthol or (R)-1,1-bi-2-naphthol or

it is possible to easily establish the absolute configuration (S-form or R-form) of the compound of the formula (II) according to the procedures discussed later.

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[0077] While an example was described above in which one compound represented by the formula (VIII) was used, but it should be noted that the present invention is not limited thereto. By using a compound of the formula (VIII) and a different compound also represented by the formula (VIII) that is, a compound that is represented by the formula (VIII) and that has $R^T(a,R^T)$ instead of R^T , $R^C(a,R^T)$ instead of R^C , and/or $R^C(a,R^T)$ instead of R^C , the compound represented by the following formula (R^T):

(where R^1 , R^2 , R^2 , R^3 , and R^3 are each independently the same as the groups defined above) also can be produced easily.

[0078] Then, the compound of the formula (IX) obtained above is heated under reflux in the presence of an activated copper powder suspended in an organic solvent (such as DMF), so that it can be converted to the compound represented by the formula (X), an intermolecularly coupled product. The compound represented by the formula (X) is added to a THF suspension containing lithium aluminum hydride, and the mixture was stirred for a given time to obtain the biphenyldimethanol compound represented by the formula (XI) below.

[0079] By reacting the biphenyldimethanol compound represented by the formula (XI) with a halogenating agent, such as phosphorus tribromide (PBr₃), it is possible to obtain the compound represented by the formula (XII) (that is, a compound within the scope of the formula (II), which both Rf and Rf are hydrogen atoms).

$$\begin{array}{c} R^1 \\ R^3 \\ R^3 \\ R^2 \\ R^1 \\ (XI) \end{array} \qquad \begin{array}{c} R^2 \\ Halogenating agent} \\ R^3 \\ R^2 \\ R^1 \\ (XII) \end{array} \qquad \begin{array}{c} R^1 \\ CH_2Z \\ R^2 \\ R^1 \\ (XII) \end{array}$$

(where Z is a halogen atom)

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[0080] In the present invention, if it is desirable to obtain a compound represented by the formula ((I) in which both R⁴ and R⁴ are hydrogen atoms, then the compound of the formula (XII) can be used as is. On the other hand, if it is desirable to obtain a compound represented by the formula (II) in which R⁴ and R⁴ are groups other than a hydrogen atom, then it is possible to produce such a compound of the formula (II) according to the Reaction Scheme 1 shown below, it should be noted that for the sake of simplicity, a case in which R⁴ and R⁴ are the same is described.

<Reaction Scheme 1>

[0081] Referring to the above Reaction Scheme 1, the obtained compound represented by the formula (XII) is dehalogenated by means used ordinarily in the art to give the compound of the formula (XIII). Then, the compound represented by the formula (XIII) is dissolved in an organic solvent, such as pyridine, and then bromine is added thereto to access to the compound represented by the formula (XIV) that is brominated at positions 3 and 3".

[0082] The compound of the formula (XIV) is then subjected to the Suzuki-Miyaura coupling reaction with at least one type of boronic acid derivative represented by R⁴-B(OH)₂ or R⁴-B(OH)₂ (where R⁴ and R^{4'} are each independently the

same group as defined above) in an organic solvent, such as THF, and in the presence of a palladium catalyst. A specific example of the boronic acid derivative is 3,4,5-trifluorophenylboronic acid. Thus, the compound of the formula (XV), in which the bromine atoms at oostions 3 and 3 are substituted with an R4 group or an R4 group. is produced.

[0083] The compound of the formula (XV) thus obtained is finally halogenated by means used ordinarily in the art, so that it is possible to produce the compound represented by the formula (II), in which R⁴ (and/or R⁴) is a group other than a hydrogen atom.

[0084] Next, a second method for synthesizing the compound of the formula (II) used in the present invention is described.

[0085] The second method uses commercially available ellagic acid as a starting material, it is also possible to obtain an optically active form of the dicarboxylic acid compound represented by the following formula (XVI):

$$R^{2}$$
 R^{3}
 $CO_{2}H$
 R^{3}
 $CO_{2}H$
 R^{2}
 R^{1}
 (XVI)

(where R1, R2 and R3 are the same groups as defined above)

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by the method of O. T. Schmidt et al. (O. T. Schmidt, K. Demmler, Justus Liebigs (1952). Ann. Chem. 576:85) using the starting material. Alternatively, it is also possible to obtain the compound represented by the formula (XVI) by treating the compound represented by the formula (XVI) and (XVI) the proposition of the produce selectively either S or R form of the compound represented by the formula (XVI). The compound of the formula (III) is then produced according to the Reaction Scheme 2 as shown below. It should be noted that for the sake of simplicity, a case in which R*I and R*I are the same is described.

< Reaction Scheme 2>

[0086] Reffering to the above Reaction Scheme 2, the dicarboxylic acid moiety of the obtained compound of the formula (XVI) is converted to dimethanol (the compound represented by the formula (XVII)) using BH₂:Me₂S in an organic

solvent such as THF. Next, the compound of the formula (XVIII) is reacted with bromine in an organic solvent, such as pyridine, to produce the compound of the formula (XVIII) that is brominated at positions 3 and 3'.

[0087] The compound of the formula (XVIII) is then subjected to the Suzuki-Myaura coupling reaction with at least one type of boronic acid derivative represented by R⁴B(OH)₂ or R⁴-B(OH)₂ (where R⁴ and R⁴ are each independently the same group as defined above) in an organic solvent, such as THF, and in the presence of a palladium catalyst. A specific example of this boronic acid derivative is 3,4,5-trifluorophenylboronic acid. Thus, the compound of the formula (XIX) in which the boronine atoms at positions 3 and 3' are substitlated with the R⁹ group or the R⁹ group is produced.

[0088] The compound of the formula (XIX) thus obtained is finally reacted with a halogenating agent such as phosphorus tribromide (PBr₂), so that it is possible to convert this compound to the compound represented by the formula (II), in which R⁹ (and R⁹ R) is a group other than a hydrogen atom.

[0089] Next, a third method for synthesizing the compound of the formula (II) used in the present invention is described. [0090] The third method, like the second method, uses the optically active form of the dicarboxylic acid compound represented by the following formula:

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$$R^{2}$$
 R^{3}
 $CO_{2}H$
 R^{3}
 $CO_{2}H$
 R^{2}
 R^{1}
 (XVI)

(where R¹, R² and R³ are the same groups as defined above) as a starting material. The compound of the formula (II) is produced according to the Reaction Scheme 3 as shown below. It should be noted that for the sake of simplicity, a case in which R⁴ and R⁶ are the same is described.

< Reaction Scheme 3>

[0091] Reffering to the above Reaction Scheme 3, the dicarboxylic acid moiety of the compound of the formula (XVI) thus obtained is converted to an ester (the compound represented by the formula (XXXI) by the reaction with an alkyl halide in an organic solvent, such as acatone, and in the presence of an inorganic hose, as obselbe to convert the dicarboxylic acid moiety of the obtained compound of the formula (XVII) to an acid chloride by treating with thionyl chloride, which is then converted to an ester (the compound represented by the formula (XXXI) by treating with alcohol in the presence of an organic base, such as oviding.

[0092] Next, the compound of the formula (XXX) is reacted with bromine in an organic solvent, such as acetonitrile, to produce the compound of the formula (XXXI) that is brominated at positions 3 and 3'.

[0033] The compound of the formula (XXXI) is then subjected to the Suzuki-Miyaura coupling reaction with at least one type of bronic acid derivative represented by Pt-B(OH)₂ (where Pt and Pf are each independently the same groups as defined above) in an organic solvent such as DME and in the presence of a palladium catalyst. A specific example of this boronic acid derivative is 3,4.5-trifluorophenylboronic acid. Thus, the compound of the formula (XXXIII), in which the bronine atoms at positions 3 and 3 have been substituted with an R4 group or an R4 group, is

5 [0094] The ester moleties of the compound of the formula (XXXII) thus obtained are converted to dimethanols (the compound represented by the formula (XIXI) by reduction with lithium aluminum hydride (LAH) in an organic solvent, such as evolonenty methyl ether (CPME).

[0095] The compound of the formula (XIX) thus obtained is finally reacted with a halogenating agent, such as phosphorus tribromide (PBr₃), so that it is possible to obtain the compound represented by the formula (II), in which R⁴ (and/or R⁴) is a cround other than a hydrogen atom.

[0096] Thus, the compound of the formula (II) used in the present invention can be efficiently produced using the first method, the second method, or the third method.

[0097] On the other hand, in the method for producing the compounds represented by the formula (I) of the present invertion, a large number of the secondary amines of the formula (III) are commercially available and can be obtained easily, which allows facilic selection of the appropriate ones.

[0098] Examples of the organic solvents used in the reaction process for producing the compound of the formula (I) of the present invention include nitrile solvents (e.g., acotonitrile, propionitrile), either solvents (e.g., dioxane, terahydroturan, isopropyl either, diethyl ether, dimethoxyethane, 2-methoxyethyl either), alcohol solvents (methane), etherolon, propanol, isopropianol, n-butanol, tert-butanol), ester solvents (eithyl acetate, isopropyl acetate), and amilde solvents (N,

39 N-dimethylformamide, N.N-dimethylacotamide), in the present invention, acotonitrile is particularly preferable. Examples of acid-scavenging agents include inorganic bases, such as potassium carbonate, sodium carbonate, potassium hydrogenearbonate, and aodium hydrogenearbonate.

(0099) In the reaction, the secondary amine of the formula (III) is used preferably in 0.5 to 10 equivalents, and more preferably in 0.8 to 2 equivalents, with respect to the compound of the formula (III). The accidence years agent is preferably in 0.8 to 10 equivalents, and more preferably in 0.8 to 5 equivalents, with respect to the compound of the formula (III). The reaction between the compound of the formula (III) and the secondary amine of the formula (III) is carried out in an appropriate organic solvent in the presence of the acid-excending agent with string. The reaction temperature is preferably from room temperature to the boiling point of the organic solvent used, and more preferably the reaction is performed while heating under reflux. The reaction time is preferably 15 minutes to 24 hours, and more preferably 50 minutes to 12 hours, and more preferably 51 and intensity of the organic solvent is preferably used 51 to 50 times, and more preferably 51 of solvines are amount of the compound of the formula (III) at a volume (nL)/weight (g) ratio with respect to the compound of the formula (III) at a volume (nL)/weight (g) ratio with respect to the compound of the formula (III) at a volume (nL)/weight (g) ratio with respect to the compound of the formula (III). After the reaction is complete, the reaction mixture is extracted with dichlorometrane, dichloroometrane, chloroform, or ethyl accetate, and isolation and purification by silica gel column chromatography afforded the compound of the formula (II) can be obtained. Atternatively, the spert reaction mixture may be excepted as it is as a phase-transfer.

[0100] The compound of the formula (i) thus obtained in which X is a halide anion is in a pure form with respect to axid a symmetry, and can be used as a phase-transfer catalyst. Here, "pure with respect to axid a symmetry" means that of the sterosisomers based on the axid a symmetry one specific isomer is more abundant than the other. Preferably, the abundance ratio of the one specific isomer is 90% or more, more preferably 95% or more, and even more preferably 98% or more.

catalyst in the method for producing an \u03c3-amino acid derivative, which will be described in detail later.

[0101] Furthermore, the compound of the formula (I) in which X is a halide anion can beconverted to a compound in which the halide anion is replaced by SCN, HSO₄, HF₂, CF₃SO₃, CH₃-Ph-SO₃, or CH₃SO₃, for example, according to the following processes.

[0102] First, a method for producing the compound of the formula (l) in which X is SCN or HSO₄ will be described. [0103] The compound of the formula (l) obtained above in which X is a halide anion is dissolved in, for example, a suitable second organic solvent according to the method described in Japanese Laid-Open Patent Publication No. 2002-173492 and the solution is mixed with a saturated aqueous solution of an alkali metal salt of thiocyanic acid so that the halide anion of X is converted to SCN.

[0104] Examples of the second organic solvent that can be used in this conversion include dichloromethane, chloroform, dichloroethane, tetralydrofuran, methyl t-butyl ether, discopropyl ether, and ethyl acetate. Examples of alkali metal salts of thicovanic acid include obtassium thicovanate and sodium thicovanate.

[0165] For example, by allowing the compound of the formula (I) in which X is a halide anion to come into cortact with an alkali metal said of thiosyanic acid in a solution under relatively mild conditions such as at froom temperature through mixing, the reaction can proceed easily, and the reaction product (that is, the compound of the formula (I) in which X is SCN) can be obtained in a quantifiative yield.

[0106] Furthermore, by reacting the compound of the formula (I) in which X' is SCN with a concentrated sulfuric acid solution, X' can be easily converted from SCN' to HSO₄.

[0107] The compound of the formula (f) thus obtained, in which X is HSO₄, can then be further reacted with an alkali metal fluoride (e.g., potassium fluoride, sodium fluoride or lithium fluoride) to obtain a compound represented by formula (lat):

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30 (where R1, R1, R2, R2, R3, R4, R4, R7, R4, R7, and R8 are each independently the same as defined in the formula (I)), which can be used as a catalyst, for example, in a reaction of a sily enol ether with a carbonyl compound (aidof reaction). (0108) An example of the silyl enol ethers used in the aidof neaction is a triality/silyl enol ether. Triality/silyl enol ethers can be prepared in advance by reacting a chlorosilane, such as trimethy/silyl chloride and triety/silyl chloride, with carbonyl compounds (such as ketonic carbonyl delivatives such as 2-butanone, 4-perten2-cone, diethyl keton, editor).

[0109] In addition to the above-mentioned carbonyl compounds (the above-described ketonic carbonyl derivatives), which serve as precursors of the silyl enot eithers, examples of the carbonyl compounds that can be used to prepare silyl enot eithers for the aldol reaction include aldelyde compounds such as acetyladelyde, perpoint addehyde, bytaldelyde, isobutylaidelyde, isobutylaidelyde

[0110] With resepect to such a silyl enol ether and such a carbonyl compound, the compound represented by formula (Ia) is used as a catalyst in the aldol reaction to control the stereoselectivity of the reaction.

45 [0111] Next, a method for producing the compound of the formula (I) in which X- is HF₂, CF₃SO₃, CH₃-Ph-SO₃, or CH₃SO₃, will be described.

[0112] The compound of the formula (I) obtained in the above-described manner in which X is a halide anion is brought in contact with an ion-exchange resin to produce a first intermediate.

[0113] The ion-exchange resin can be freely selected by those skilled in the art. Specific examples of the ion-exchange resin that can be used include Ambertyst A26 (OH) (manufactured by ORGANO CORPORATION).

[0114] The compound of the formula (i) in which X- is a halide anion and the ion-exchange resin can be brought in contact by dissolving the compound of the formula (i) in which X is a halide anion in a suitable third solvent and passing this solution through a column filled with the ion-exchange resin. An alcohol solvent is preferable as the third solvent that can be used for such a contact. Specific examples of alcohol solvents include methyl alcohol, ethyl alcohol, isopropyl alcohol, and normal proof alcohol, although not limited thereto.

[0115] There are no particular limitations regarding the amount of the compound of the formula (I) in which X is a halide anion and the amount of the third solvent used for such contact, and they can be appropriately set by those skilled in the art.

[0116] Thus, the first intermediate is produced.

[0117] Next, the first intermediate thus obtained is treated with an acid solution (such as a hydrogen fluoride aqueous solution, a methanesulfonic acid solution, a toluenesulfonic acid solution, or a trifluoromethanesulfonic acid solution) preferably without removing the solvent.

5 [0118] There are no particular limitations regarding the amount of the acid solution used in the present invention. In view of increasing the productivity, it is preferable that the amount is chosen so that an equal or greater amount of hydrogen fluoride or sulfonic acid is reacted with the compound of the formula (f) used above in which X is a halide anion. Thus, a compound represented by any of the formulae (ib) through (le):

R² R⁴ HF₂.

R² R⁴ R⁷ CH₂·Ph-SC

$$R^2$$
 R^3
 R^4
 R^7
 R^8
 R^8
 R^7
 R^8
 R^9
 R^9
 R^9
 R^9
 R^9

40 in which the quaternary ammonium molety is liberated from the first intermediate, and X- is further converted from a hailde anion to HF₂, CF₃SO₃, CH₃-Ph-SO₃, or CH₃SO₃-can be precipitated from the solution.
[01191] The compounds of these formulae (lib) through (le) can be easily isolated by removing the solvent using means

can also be utilized as a catalyst for producing a nitroalcohol diastereo- and enantioselectively.

employed ordinarily by those skilled in the art.

[0120] The obtained compounds of the formulae (ib) through (le), and particularly the compound of the formula (ib),

<Method for Producing α-Amino Acid Derivatives>

[0121] Next, a method for producing \(\alpha\)-emino acid derivatives using the quaternary ammonium compound of the present invention represented by the formula (f) as a phase-transfer catalyst will be described.
[0122] An \(\alpha\) examino acid derivative represented by the formula (VI):

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- 10 (where R14 and R15 are each independently
 - (i) a hydrogen atom; or

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- (ii) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:
 - a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom;
 - a C₁ to C₆ alkoxy group that may be branched and that may be substituted with a halogen atom;
- an anyl group, which may be substituted with a halogen atom, a C_1 to C_2 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $NROP_2^{\rm pq}(Nnee R^0$ and R91 are each independently a hydrogen atom or a C_1 to C_2 alkyl group that may be substituted with a halogen atom), a nitro group, a carbarnoyl group, an $N+C_1$ to C_2 alkyl group, an $N+C_1$ to C_3 alkyl group, an $N+C_1$ to C_4 alkyl group, an C_4 to C_4 and and that may be substituted with a halogen atom); (where R^0 is a C_1 to C_2 alkyl group that may be branched and that may be substituted with a halogen atom);
 - -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halocen atom):
 - a nitro group;
 - a carbamovi group;
 - an N-(C1 to C4 alkyl)carbamoyl group;
 - an N,N-di(C₁ to C₄ alkyl)carbamoyl group;
- -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen
- a halogen atom; with the proviso that the case where both R14 and R15 are hydrogen atoms is excluded.
- R16 is a group selected from the group consisting of:
 - (i) a hydrogen atom;
 - (ii) a C₁ to C₁₀ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom, wherein the alkyl group may be substituted with at least one group selected from the group consisting of:
- 40 a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom, a cyano group.
 - -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).
 - a nitro group,
 - a carbamoyl group,
 - an N-(C1 to C4 alkyl)carbamoyl group,
 - an N,N-di(C1 to C4 alkyl)carbamoyl group,
 - -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom).
- 50 a halogen atom.

- -COR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen
- -CO₂R⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom):
- (iii) a C_2 to C_6 alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:
 - (iv) a C_2 to C_6 alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen

atom:

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(v) an aralkyl group, wherein the aryl moiety of the aralkyl group may be substituted with at least one group selected from the group (Q) consisting of:

- a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an anyl group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $NRS_1^{\rm NR}O_4^{\rm NR}$ where $R^{\rm NR}$ are each independently a hydrogen atom or a C_4 to C_4 alkyl group that may be substituted with a halogen atom), a ninning group, a nitro group, a carbamoyl group, an $N_1N_2^{\rm rel}(C_1)$ to C_4 alkyl group that may be branched and that may be substituted with a halogen atom).
 - a cvano group.
- -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).
 - a nitro group,
 - a carbamovi group,
 - an N-(C1 to C4 alkyl)carbamovi group,
 - an N,N-di(C₁ to C₄ alkyl)carbamoyl group,
- -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
 - a halogen atom:
 - a naiogon aton
 - (vi) a heteroaralkyl group having a heteroaryl moiety, wherein the heteroaryl moiety may be substituted with at least one group selected from the group (Q);
 - (vil) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group (Q); and (vill) a hetercaryl group, wherein the hetercaryl group may be substituted with at least one group selected from the group (Q);
- 30 R17 is a C₁ to C₈ alkyl group that may be branched or form a cyclic group, and that may be substituted with a halogen atom; R18 is a group selected from the group consisting of:
 - (i) a C₁ to C₁₀ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom, wherein the alkyl group may be substituted with at least one group selected from the group consisting of:
 - a $\mathrm{C_1}$ to $\mathrm{C_S}$ alkoxy group that may be branched and that may be substituted with a halogen atom, a ovano group,
 - -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),
- 40 a nitro group.
 - a carbamoyl group,
 - an N-(C4 to C4 alkyl)carbamovl group,
 - an N.N-di (C, to C, alkvi)carbamovi group.
 - -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom).
 - a halogen atom,

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- -COR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
- -CO₂R⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom);
- (ii) a C₃ to C₉ allyl group or substituted allyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;
- (iii) a C₂ to C₆ alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen
 - (iv) a C_2 to C_6 alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:
 - (v) an aralkyl group, wherein the aryl moiety of the aralkyl group may be substituted with at least one group selected

from the group (Q):

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(vi) a heteroaralkyl group having a heteroaryl moiety, wherein the heteroaryl moiety may be substituted with at least one group selected from the group (Q); and

(vii) a C₃ to C₉ propargyl group or substituted propargyl group that may be branched and that may be substituted with a halogen atom; and

* shows a newly created asymmetric center) can be built stereoselectively through the process of alkylating a compound represented by the formula (IV):

R¹⁴ R¹⁶ I C-CO-O-R¹⁷ R¹⁵ H (IV)

(where R^{14} , R^{15} , R^{16} and R^{17} are the same as those defined in the formula (VI); with a compound of the formula (VI):

R¹⁸-w (V)

(where R16 is the same as defined in the formula (VI), and W is a functional group having a leaving ability) using the compound represented by the formula (I) as a phase-transfer catalyst in a medium in the presence of an inorganic base.

[0123] Examples of the medium used in the aliyiation process include benzene, toluene, xylene, diethyl ether, discpropyl ether, tetrahydrofuran, dioxane, mesitylene, ethyl acetate, isopropyl acetate, cyclopentyl methyl ether, and methyl t-bulyl ether. Alternatively, the medium may also be a biphasic one containing water and a medium inmiscible with water. The medium can be used in amounts at a ratio of volume (mL)/weight (g) of the compound of the formula (iV), and the ratio is preferably 0.5 to 30, and more preferably 1 to 25.

[0124] Examples of the inorganic base used in the alkylation process include lithium hydroxide, sodium hydroxide, the protection hydroxide, the cestim hydroxide, the sestim hydroxide, the base can be used preferably in 0.5 to 100 equivalents, and more preferably in 0.8 to 400 equivalents, with respect to the compound of the formula (Vi).

[0125] In the alkylation process, an inorganic base may be used in the form of an aqueous inorganic-base solution, in a case where an inorganic base is used in the form of an aqueous inorganic-base solution, the upper limit of the inorganic base that can be contained in the aqueous inorganic-base solution is preferably 280 equivalents or iess, more preferably 150 equivalents or less, and even more preferably 56 equivalents or less, with respect to the compound of the formula (IV). The lower limit of the inorganic base that can be contained in the aqueous inorganic-base solution is preferably 0.0 equivalents or more, more preferably 0.8 equivalents or more, and even more preferably 0.9 equivalents or more, with respect to the compound of the formula (IV). The aqueous inorganic-base solution may be used preferably in 5 two% to 70 w/w%, and more preferably in 10 w/w% to 80 w/w% to 10 w/w%.

[0126] The volume ratio between the medium and the aqueous inorganic-base solution is preferably a medium volume (mL)/inorganic base aqueous medium (mL) ratio of 7/1 to 1/5, more preferably 5/1 to 1/3, and even more preferably 4/1 to 1/3.

10127] In the alitylation process, the compound of the formula (V) is used preferably in 0.5 to 10 equivalents, more preferably in 0.7 to 8 equivalents, and even more preferably in 0.9 to 5 equivalents, with respect to the compound of the formula (i) is used as a phase-transfer catalyst preferably in amounts at a lower limit not less than 0.0001 mol% and more preferably not less than 0.0005 mol%, and at an upper limit of preferably not more than 10 mol%, even more preferably not more than 1 mol%, and yet even more preferably not more than 0.5 mol%, even more preferably not more than 1 mol %, and yet even more preferably not more than 1 mol %, and yet even more preferably not more than 0.5 mol%, relative to 1 mol of the compound of the formula (iV). Thus, the phase-transfer catalyst used in the present invention has externey high activity, and therefore by using the catalyst only in a small amount relative to 1 mol of the compound of the formula (iV), desired optically active α-amino acids and derivatives thereof can be obtained.

[0128] In the present invention, in addition to the asymmetrical phase-transfer catalyst represented by the formula (i), an achiral quaternary ammonium salt, such as tetrabutyl ammonium bromide (TBAB), can be also used simultaneously.

For example, TBA5 functions as a cocatalyst in the reaction system of the present invention to improve the yield of camino acids and derivatives thereof, and also allows the amount of the asymmetrical phase-transfer catalyst represented by the formula (i) that is used in the present invention to be further reduced. The amount of TBAB that can be used in the present invention is preferably 0.005 mo% to 1 mol% to 1 mol%, and more preferably 0.01 mol% to 0.8 mol% relative to 1 mol of the compound of the formula (IV).

[0129] The alkylation process is performed at suitable temperatures between -70°C and room temperature, preferably between -20°C and 20°C, in air, under a nitrogen atmosphere, or under an argon atmosphere. This process can be performed with stirring for a suitable period until the alkylation reaction has sufficiently proceeded. The reaction time is preferably 30 min to 48 hours, and more preferably 1 hour to 24 hours.

10 [0130] When the aqueous inorganic-base solution is used in the alkylation process, it is, for example, possible to split the process into multiple operations, as described below.

[0331] In other words, a first, the compound of the formula (IV), the phase-transfer catalyst of the formula (I), and the compound of the formula (V) are each added to the medium to prepare a mixture. At this time, it is preferable to sufficiently sit the mixture with cooling using, for example, on lee or lee-self. To the cooled mixture is then added the aqueous inorganic-base solution to alkylate the compound of the formula (IV). The temperatures set to cool the mixture are preferably between -15°C and 15°C, and 15°C, and 16°C, and 4°C more preferably between -15°C and 15°C, and 16°C and 16°C and 16°C may be tween 16°C and 16°C and 16°C and 16°C and 16°C may be tween 16°C and 16°C and 16°C and 16°C and 16°C may be tween 16°C and 1

[0132] According to the method of the present invention using the compound of the formula (i) of the present invention as described above, the optically active compound of the formula (VI) can be obtained in a high yield and high optical purity. Here, high optical purity refers to preferably at least 80% ee, more preferably at least 85% ee, yet more preferably at least 95% ee.

<Method for Producing α-Amino Acid>

-10°C and 10°C.

25 [0133] In another aspect of the present invention, a method for producing optically active α-amino acids is provided. [0134] In the present invention, an optically active α-amino acid can be produced by performing, for example, either one of the following procedures, using the optically active compounds of the formula (VI) (optically active α-amino acid derivatives) that are obtained by the method described above.

[0135] In the first method, first, the imino group (R¹⁴R¹⁵C₂N-) moiety of the optically active compound of the formula (VI) (optically active α-amino acid derivative) that is obtained by the above-described method is first hydrolyzed under acidic conditions (imine acidic-hydrolysis process). Examples of the acid used in the imine acidic-hydrolysis process include inorganic acids (such as hydrochloric acid or phosphoric acid) and organic acids including tribasic acids (such as acide: acid, citric acid, or p-foluenesulfinois acid). More specifically, the imine acidic-hydrolysis process proceed by treating the compound of the formula (VI) in a suitable medium (e.g., tetrahydrofuran or toluene) at a suitable temperature (e.g., room temperature) using an aqueous solution of the acid. As a result, an ester derivative of amino acid in which the terminal amino group is liberated can be obtained as an innie acidic-hydrolysis product.

[0136] Next, if necessary, the seter derivative of amino acid (acidic-hydrolysis product) obtained above is subjected to hydrolysis reaction more acidic than the inine acidic-hydrolysis or that under basic conditions. Thus, a desired amino acid in which the terminal of the acid-hydrolysis product (i.e., the ester group (-CO₂R¹⁷) in the limine acidic-hydrolysis product) has become a carboxinic acid can be obtained.

[0137] Alternatively, in the second method, a process of the opposite order relative to that of the method described above is adopted. That is to say, the ester group (CO₂H¹) in the opticially active α-amino acid derivative) obtained by the alkylation reaction described above is first hydrolyzed under basic conditions (seter basic-hydrolysis process). An aqueous alkall solution, such as aqueous solution himself and this ester basic-hydrolysis. By such hydrolysis, and seter basic-hydrolysis product in which the terminal of the compound of the formula (VI) (that is, the ester group (-CO₂R¹⁷) in the compound of the formula (VI)) becomes a carboxvite acid can be obtained.

[0138] Next, the limino group (IRMS*C=AN-) molety of the above-obtained basic-hydrolysis product is hydrolyzed under acidic conditions (finine acidi-chydrolysis process). Examples of the acid used in the limine acidic-hydrolysis process include inorganic acids (e.g., hydrochloric acid, phosphoric acid, suffuric acid) and organic acids including tribasic acids (e.g., acetic acid, clitic acid). More specifically, the limine acidic-hydrolysis process proceeds by treating the ester basic-hydrolysis product in a suitable medium (e.g., strentyrioriuran or tolucene) at a suitable temperature (e.g., prom temperature) using an aqueous solution of the acid described above. As a result, a desired amino acid in which the terminal amin orgroup is liberated can be obtained.

[0139] In the present invention, in the case where an amino acid is produced from the compound of the formula (VI), either by the first method or the second method may be used, either by the selected arbitrarily by those skilled in the art according to the specific structure of the amino acid to be actually produced and other relevant production conditions.

[0140] Thus, it is possible to produce a desired optically active α-amino acid, efficiently and optionally, without limitations on its structure.

Examples

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[0141] Hereinafter, the present invention will be specifically described by way of examples, but is not limited thereto. [0142] In the following examples, unless described otherwise, the measurement was carried out under the following conditions: The 1H NMR spectrum was recorded on a JEOL JNM-FX400 (400 MHz) spectrometer and a JMTC-400/54/SS (400 MHz) spectrometer. The optical purity of a reaction product was measured by high-performance liquid chromatography (HPLC) with a Shimadru 10 instrument or a Waters 2800 instrument using 4.6 mm x 25 cm Dacie Chiraicel OD, OD-H, AD or AD-H. The progress of the reaction was monitored using a Merck precoated TLC plate (silica gel 60 GF254, 025 mm) for this layer of promotography (TLC).

<Reference Example 1: Synthesis of starting material (compound 2a) for synthesizing quaternary ammonium salts</p>

[0143]

[0144] N-Bromosuccinimide (NBS) (21.36 g, 120 mmol) was added to a solution of 3,4,5-trimethoxy benzolo acid (compound 1a) (21.22 g, 100 mmol) in CHG, (200 ml.). This solution was heated under reflux for 8 hours. Then, the CHGl₃ was removed under reduced pressure, and the residue was dissolved in a 1 N NaOH solution (150 ml.). After washing with CHG₃ (16 ml.) three times, this alkaline solution was acidited with concentrated hydrochioris acid. The resulting precipitates were filtered off, washed with 1 N HCl, and dried to give the title compound 2a (2-bromo-3,4-5-trimethoxybenzolo acid) (23.3 g, 80 mmol/ yield: 80%). The NMR spectrum of the obtained compound 2a is shown in Table 1.

Table 1

NMR spectrum of compound 2a 400 MHz ¹H NMR (CDCl₃) 87.40 (1H, s, Ar-H), 3.97 (3H, s, OCH₃), 3.91 (3H, s, OCH₃), 3.90 (3H, s, OCH₃)

<Reference Example 2: Synthesis of starting material (compound 3a) for synthesizing quaternary ammonium salt>

[0145]

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MeO OMe i: SOCI₂

Br COOH ii: BINOL OMe
Quantitative quantitative

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(2a)

73

(3a)

[0146] Under an argon atmosphere, the compound 2a obtained in Reference Example 1 (2.33 g, 8.0 mmol) and thionyl chloride SCO¹₂ (8 mL) were placed in a two-necked flask. This reaction mixture was then heated under reflux for 4 hours. Then, the excess thionyl chloride was evaporated under refluxed pressure. THF (15 mL), pyridine (1.5 mL), and (5)-1,1*bl-2-naphthol (1.14 g, 4.0 mmol) were added to the residue. After reflux for 3 hours, 1 N NaOH followed by 1 N HCI were added to the reaction mixture and extracted with either. The separated organic layer was dried over Na₂SO₄ and concentrated. The residue was purified by silica gel chromatography (ether/fixexare = 1/1 as eluent) to give the title compound 3a (5)-22*bis(2-bromo-3.4,5-trimethoxybenzoyl)-1,1*binaphthalene (3.33 g, 4.0 mmol) in a quantitative vield. The NMR spectrum of the obtained compound 3a is shown in Table 2.

Table 2

NMR spectrum of compound 3a

400 MHz ¹H NMR (ODCl₃) ³ 8.04 (2H, d, J = 8.8 Hz, Nap-H), 7.94 (2H, d, J = 8.4 Hz, Nap-H), 7.63 (2H, d, J = 8.8 Hz, Nap-H), 7.48 (2H, m, Nap-H), 7.37 (4H, m, Nap-H), 6.31 (2H, s, Ar-H), 3.83 (6H, s, OCH₃), 3.73 (6H, s, OCH₃), 3.83 (6H, s, OCH₃).

<Reference Example 3: Synthesis of starting material (compound 5a) for synthesizing guaternary ammonium salt>

20 [0147]

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50% (with respect to conpound 3a)

[0148] A suspension of activated Cu powder (11.8 g, 184 mmb) in DMF (60 mL) was heated to a gentie reflux with vigorously stirring. Then, a solution of the compound 3a (3.33 g, 4.0 mot) obtained in Reference Example 2 in DMF (50 mL) was added to this mixture over seven hours under an argon atmosphere. After heating at reflux for 12 hours, the reaction mixture was filtered and DMF was removed under reduced pressure. The recidue was partially purified by silica gel column chromatography (havane/ethyl acetate: 2/1 as eluently by lear mixture of an internolecular coupling product (compound 4a) and a debrominated byproduct. This was used as is in the following reduction process without further purification.

[0149] The compound 4a obtained above (partially purified product) was added dropwise to a suspension of LiAIH₄ (0.760 g. 16 mmol) in THIF (15 m.L) at 0°C. The reaction mixture was stirred at room temperature for 4 hours, carefully readed with 1 kool HCl, and ethyl acotate was added thereto. The ethyl acotate was added thereto. The ethyl acotate was added mather solvent was everporated under reduced pressure. The residue was purified by silica gel column chromatography (ethyl acetate as eluent) to give the title compound 5a (6)-4.5,4.5,6.4.5 (6-haxamethoxylophenyl-2,2-dimethanol) (0.790 g, 2.0 mmol/vield; 50%). The NMR secture or the obtained compound 5a is down in Table 3.

Table 3

NMR spectrum of compound 5a

400 MHz 1 H NMR (CDCl₃) δ 6.89 (2H, s, Ar-H), 4.19 (4H, s, ArCH₂O), 3.94 (6H, s, OCH₃), 3.89 (6H, s, OCH₃), 3.68 (6H, s, OCH₃), 2.91 (2H, s, OH).

[0150] The enantiomeric excess was measured by HPLC analysis (Daicel Chiralcel CD, hexane/2-propanol = 8:1, flow rate 0.5 ml/min, retention time: (R)-form = 23.1 min, (S)-form = 95.2 min). The absolute configuration was determined by comparison of the retention times with that of the sample synthesized independently by the known method (J. Org. Chem. (2003) 68:9633).

< Reference Example 4: Synthesis of starting material (compound 7a) for synthesizing a guaternary ammonium salt>

[0151]

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(94% with respect to compound 5a)

[0152] Phosphorus tribromide (0.380 mL, 4.0 mmol) was added to a solution of compound 5a obtained in Reference Example 3 (0.790 g, 2.0 mmol) in CH₂Cl₂ (5 mL) at 0°C. The reaction mixture was stirred at room temperature for one hour. Then, water was added thereto, and extracted with ether. The organic layer was washed with saline, dried over Na₂SO₄, and concentrated to give a compound 6a ((S)-4,5,6,4',5',6'-hexamethoxybiphenyl-2,2'-dimethyl bromide). This was then used in the following reduction process without further purification.

[0153] The compound 6a obtained above was added to a suspension of LiAlH₄ (0.190 g, 4.0 mmol) in THF (15 mL) at 0°C. The reaction mixture was stirred at room temperature for 4 hours. After 1 N cold HC1 was added carefully, the mixture was extracted with ethyl acetate. The solvent was evaporated under reduced pressure, and the residue was purified by silica gel column chromatography (hexane/ethyl acetate = 3/1 as eluent) to give the title compound 7a ((S)-4,5,6,4',5',6'-hexamethoxybiphenyl-2,2'-dimethane) (0.690 g, 1.9 mmol/yield: 94%). The NMR spectrum of the obtained compound 7a is shown in Table 4.

Table 4

NMR spectrum of compound 7a

400 MHz ¹H NMR (CDCl₃) δ 6.60 (2H, s, Ar-H), 3.88 (6H, s, OCH₃), 3.87 (6H, s, OCH₃), 3.68 (6H, s, OCH₃), 1.95 (6H, s, ArCH₃)-

< Reference Example 5: Synthesis of starting material (compound 8a) for synthesizing quaternary ammonium salt>

[0154]

(7á)

[0155] To a solution of the compound 7a obtained in Reference Example 4 (0.690 g, 1.88 mmol) and pyridine (0.760 mL, 9.4 mmol) in CHCl₂ (5 mL), bromine (0.480 mL, 9.4 mmol) was added dropwise at 0°C. The reaction mixture was stirred for 30 minutes and then poured into a saturated aqueous Na₂SO₂ solution and extracted with ether. The combined organic layer was washed with 1 N HCI and then concentrated. The residue was purified by silica gel column chromatography (hexane/ethyl acetate = 3/1 as eluent) to give the title compound 8a ((S)-3.3'-dibromo-4.5,6.4',5',6'-hexamethoxybiphenyl-2,2'-dimethane) (0.980 g, 1.88 mmol) in a quantitative yield. The NMR spectrum of the obtained compound

8a is shown in Table 5.

Table 5

NMR spectrum of compound 8a
400 MHz ¹H NMR (CDCl₃) 83.96 (6H, s, OCH₃), 3.91 (6H, s, OCH₃), 3.65 (6H, s, OCH₃), 2.02 (6H, s, ArCH₃).

<Reference Example 6: Synthesis of starting material (compound 9a) for synthesizing quaternary ammonium salt>

[0156]

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[0157] A mixture of the compound 8a obtained in Reference Example 5 (0.621 g. 1.0 mmol), 34,5-trifluorophenylboronic acid (0.704 g. 4.0 mmol), pelladium acetate (0.0449 g. 0.20 mmol), trio-tolylphosphine (0.244 g. 0.80 mmol), potassium phosphate n-hydrate (1.89 g. 8.0 mmol), and THIF (10 mL) was heated to 75°C and stirred under an argon atmosphere. The disappearance of the starting material was confirmed by TLC, and then the suspension was filtered and the filtrate was concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexanetethy) acetate = 5°T as eluent) to give the title compound 9a ((\$)-3,3°-bis(3,4,5-trifluorophenyl)-4,5,6,4°,5',6'-hexamethoxybi-phenyl-22'-dimethane) (0.560 g. 0.90 mmol / yield: 90%). The NMR spectrum of the obtained compound 9a is shown in Table 6.

Table 6

NMR spectrum of compound 9a

 $400~\text{MHz}~^1\text{H}~\text{NMR}~\text{(CDCl}_3); \delta~6.88~\text{(4H, m, Ar-H), 3.92 (6H, s, OCH}_3), 3.74~\text{(6H, s, OCH}_3), 3.71~\text{(6H, s, OCH}_3), 1.67~\text{(6H, s, ArCH}_3).$

40 <Reference Example 7: Synthesis of starting material (compound 10a) for synthesizing quaternary ammonium salt>

[0158]

[0159] A solution of the compound 9a obtained in Reference Example 6 (0.560 g, 0.90 mmol), N-bromosuccinimide

(0.352 g, 1.98 mmol), and 2,2°-azobisisobutyronitrile (AIBN; 0.0148 g, 0.09 mmol) in benzene (5 ml.) was heated at 80°C for four hours. A saturated aqueous Na₆SO₃ solution was added thereto to quench the reaction, and the mixture was extracted with ether. The organic layer was dired over Na₅O₄, and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane/ethyl acetate = 5/1 as eluent) to give the title compound 10a ((5)-3,3°-bis(3,4,5-titllorophenyl)-2,6,6,4°,5°,6°-hexanethoxybiphenyl-2,2°-dimethyl bromide) (0.702 g, 0.9 mmol) in a quantitative vield. The NMS ascetum of the obtained compound 10a is show in Table 7.

Table 7

NMR spectrum of compound 10a

400 MHz ¹H NMR (CDCl₃) § 7.08 (2H, s, Ar-H), 7.00 (2H, s, Ar-H), 3.95 (10H, m, OCH₃, ArCH₂), 3.87 (6H, s, OCH₃), 3.73 (6H, s, OCH₃).

<Example 1: Synthesis of guaternary ammonium salt ((S)-11)>

[0160]

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[0161] To a suspension of the compound 10a (0.156.g. 0.20 mmol) obtained in Reference Example 7 and potassium carbonate (0.0553 g. 0.40 mmol) in acetonitrie (5 mL), dibutylamine (0.067 mL, 0.40 mmol) was added under an argon atmosphere. This reaction mixture was heated at 80°C for 10 hours. Then, this was poured into a 1 N HBr aqueous solution and extracted with CH₂Cb₂. The organic layer was dried over Na₂SO₂ and concentrated under reduced pressure. The residue was purified by silica gel column chromotography (methon/CH₂Cl₂ = 1/10 as eluent) to give the title optically active quaternary ammonium bromide (compound (5)-11) (in an optically active (5)-form) (0.148 g., 0.178 mmol /yield: 89%). The R-form can also be synthesized using the same procedure as described above. The NMR spectrum of the compound (5)-11 that was obtained in this example is shown in Table 8.

Table 8

NMR spectrum of compound (S)-11 obtained in Example 1

400 MH2 ¹H NMR (CDCG), δ 7.27 (2H, s, Ar-H), 7.08 (2H, s, Ar-H), 4.33 (2H, d, J = 12.8 Hz, ArCH₂), 4.04 (6H, s, OCH₃), 3.90 (8H, m, OCH₃, ArCH₂), 3.75 (6H, s, OCH₃), 2.97 (2H, m, NCH₂), 2.78 (2H, m, NCH₂), 1.86 (4H, m, CH₃), 1.09 (2H, m, CH₃), 0.77 (6H, dd, J = 7.2, 7.2 Hz, CH₃), 0.23 (2H, m, CH₂).

< Reference Example 8: Synthesis of starting material (compound 4b) for synthesizing quaternary ammonium salt>

[0162]

[0163] To a THF solution (4 mL) of B(OMe)₃ (2 mL) and the (6) 4.5,6.4',5.6' hexamethoxylophenyl-2.2'-dicarboxylic acid (2b) (0.422 g, 1.0 mmol) obtained using the method of 0.T. Schmidt et al. (0.T. Schmidt, K. Demmler, Justus Liebigs (1952), Ann. Chem. 576.85), a THF solution of BH₃Me₃S (4.0 mL, 1.0 M, 4.0 mmol) was added dropwise under an argon atmosphere at 0°C. Then, the reaction temperature was raised to room temperature where the stirring was continued for five hours. Methanol (1 mL) was addedd thereto slowly to quench the reaction. After removing the solvent by evaporation under reduced pressure, 1 NHCl was added to the residue, and extracted with ethyl acetate. The organic layer was dried over Na₂SO₄, and concentrated under reduced pressure. The residue was transferred to a solution of pyridine (0.57 mL, 7.0 mmol) in THF (5 mL). This mixture was cooled to -20°C and then bromine (0.36 mL, 7.0 mmol) was added thereto. Thereafter, the reaction temperature was raised to 0°C where the stirring was continued for one hour. The reaction mixture was poured into a saturated aqueous Na₂SO₃ solution, and then extracted with ethyl acetate. The organic layer was dried over Na₂SO₄, and concentrated under reduced pressure. The residue was purified by silica gel column chromatography, (hexanelethyl) acetate = 111 as elluent) to give the title compound 40 is 5(8)-33-dibrom-4,56,4°S el-hexamethoxyliphenyl-2.2'-dimethanol) (524 mg, 0.95 mmol / yield: 95%). The physical property data of the obtained compound 40 is shown in Table 9.

Table

30	Table 9
	Physical property data of compound 4b
	¹ H NMR (400 MHz, CDCl ₃) δ 4.56 (2H, d, <i>J</i> = 12.0 Hz, ArCH ₂), 4.18 (2H, d, <i>J</i> = 12.0 Hz, ArCH ₂), 3.98 (6H, s, OCH ₃), 3.94 (6H, s, OCH ₃), 3.66 (6H, s, OCH ₃), 3.34 (2H, s, OH);
35	¹³ C NMR (100 MHz, CDCl ₃) & 151.15, 150.32, 146.54, 134.10, 126.85, 115.65, 62.08, 60.99, 60.97, 60.62.
	IR (neat) 3292, 2939, 1458, 1388, 1313, 1088, 1005 cm ⁻¹ .
	HRMS (ESI-TOF) Calculated for C ₂₀ H ₂₄ Br ₂ O ₈ (Na+): 572.9730, Found: 572.9723.
40	[\alpha]_0^25 -7.17° (c 1.00, CHCl ₃).

< Reference Example 9: Synthesis of starting material (compound 5b) for synthesizing quaternary ammonium salt>

[0164]

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[0165] A mixture of the compound 4b obtained in Reference Example 8 (0.276, 0.6 mmol), 3.4,5-trilluorophenyliboronic acid (0.440, g. 2.5 mmol), pelladium acetate (0.0225 g. 0.10 mmol), tri-oblyphosphine (0.122 g. 0.40 mmol), potassium phosphate n-hydrate (1.056 g. 5.0 mmol), and THF (5 mL) was heatted at 88°C under an argon atmosphere. The disappearance of the starting material was confirmed by TLC, and then the suspension was filtered. The filtrate was concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane/ethyl acetate = 271 as eluent) to give the title compound 5b (iS)-3,3-8is(3,4,5-trilluorophenyl)-4,5,6,4',5,6' hexamethoxyliphenyl-2,2-dimethanol) (0.255 g. 0.39 mmol / yield: 78%). The physical property data of the obtained compound 5b is shown in Table 10.

	Table 10
	Physical property data of compound 5b
¹ H NMR (400 M s, OCH ₃), 3.19 (Hz, CDCl $_3$) δ 7.09 (4H, m, Ar-H), 3.92-4.02 (10H, m, OCH $_3$, ArCH $_2$), 3.76 (6H, s, OCH $_3$), 3.71 (6H, 2H, s, OH).
	$ Hz$, $CDC _3\rangle\delta$ 151.11, 150.74, 150.27 (ddd, J_{CF} = 250.6, 9.9, 4.1 Hz), 138.91 (dt, J_{CF} = 252.2, 15.7 .88 (dt, J_{CF} = 5.8, 8.2 Hz),130.26, 126.23, 114.71 (m), 61.03, 60.77, 60.72, 59.60.
IR (neat) 3219, 2	2943, 1530, 1458, 1404, 1308, 1041 cm ⁻¹ .
HRMS (ESI-TO	F) Calculated for C ₃₂ H ₂₆ F ₆ O ₈ (Na ⁺): 677.1581, Found: 677.1583.
[a] _D ²⁴ +43.79°(c	1.00, CHCեյ).

<Example 2: Synthesis of quaternary ammonium salt ((S)-11)>

[0166]

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[0167] Phosphorus tribromide (0.038 mL, 0.4 mmol) was added to a solution of compound 5b obtained in Reference Example 9 (0.131 g. 0.2 mmol) in CH₂Cl₂ (6 mL) at 0°C. The reaction mixture was stirred at room temperature for one hour. Then, the reaction was quenched with water and extracted with either. The organic layer was washed with sallne, dried over Na₂SO₂, and concentrated under reduced pressure to give a crude compound 6b.

[0168] Then, the crude compound 6b was transferred to a suspension of potassium carbonate (0.0553 g. 0.40 mmo)) and dibutylamine (0.067 ml., 0.40 mmo)) in acetonitrie (5 ml.) under an argon atmosphere. Then, this mûture was heated at 80°C for 10 hours. The reaction mixture was then pound into 1 N HBr to quench the reaction, and extracted with CH₂Cl₂. The organic layer was dried over Na₂SO₂ and concentrated under reduced pressure. The residue was purified by silice age loculum chromatography (methono/CH₂Cl₂ = 1/10 as eluently to give the title quaternay armonium bromide of the (compound (5)-11) in an optically active S-form (0.153 g, 0.184 mmol / yield: 92%). The R-form can be synthesized using the same procedure as described above. The physical property data of the compound (5)-11 obtained in this example is shown in Table 11.

Table 11

Physical property data of compound (S)-11 obtained in Example 2

¹H NMR (400 MHz, CDCl₃) 8 7.27 (2H, m, Ar-H), 7.08 (2H, m, Ar-H), 4.33 (2H, d, J= 12.8 Hz, ArCH₂), 4.04 (6H, s, OCH₃), 3.39 (8H, m, OCH₃), 3.75 (8H, s, OCH₃), 2.97 (2H, m, NCH₃), 2.78 (2H, m, NCH₃), 1.36 (4H, m, CH₃), 1.77 (6H, dd, J= 72, 7.2 Hz, CH₃), 0.23 (2H, m, CH₃).

 19 C NMR (100 MHz, CDCl₃) 3 152.12, 151.75, 150.88 (ddd, $J_{C,F}$ = 253.0, 10.7, 4.1 Hz), 139.28 (dt, $J_{C,F}$ = 255.5, 14.9 Hz), 130.21 (dt, $J_{C,F}$ = 49, 7.4 Hz), 129.80, 126.54, 119.96, 115.48 (m), 61.58, 61.14, 60.95, 57.69, 57.15, 24.30, 19.37, 13.28.

IR (neat) 2962, 2943, 1530, 1460, 1400, 1041(cm⁻¹).

HRMS (ESI-TOF) Calculated for [C40H44FeNOe]+: 748.3067, Found: 748.3088.

[α]_D24-121.78° (c 1.00, CHCl₂).

5 <Example 3: Confirmation of α-benzylation of glycine (1)>

[0169]

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[0170] A mixture of the compound (S)-11 obtained in Example 2 (1 mol's, phase-transfer catalyst) and benzy bromide (1.2 equivalents, 3d. L. 0.38 mmn) as the compound represented by 18-40 mit ne bove formula was added to a mixture of 50% KOH aqueous solution (1 m.), and a toluene solution (1.5 m.) of N-(biphenylmethylene)glycine tert-butyl ester (compound 20) (8.8 mg, 0.3 mmol), and this was stirred vigorously at 0° Cur Inder an argon atmosphere. Completion of the reaction was confirmed by 17.10, and then the reaction mixture was poured into water and extracted with ether. The organic extract was washed with saline and dried over Na₂SO₄. The solvent was evaporated under reduced pressure, and then the residual oil was purified by silicia gel column chromatography (with ether/hexane = 1/10 as the eluent) to give the corresponding compound 21 ((f)-sert-butyl N-(diphenylmethylene) phenylalanine) (11 o mg, 0.285 mmol/ yield: 95%). The optical purity of the compound 21 obtained in this example was analyzed by HPLC [Discolec Chiraicel OD), eluent: hexane/2-propanol = 100:1, flow rate 0.5 mL/min, retention time; (f)-form = 14.8 min, (S)-form = 28.2 min]. The oxical out of the compound 21 obtained in this example is shown in Table 12 below in 18 below the carries of the compound of the carries of the example in the solution in the compound of the compound of the compound of the carries of the compound of the carries of the carries of the compound of the carries of the carries of the carries of the compound of the carries of the car

<Example 4: Confirmation of α-benzylation of glycine (2)>

[6171] The corresponding compound 21 was obtained in the same manner as in Example 3, except that the reaction temperature was set to 25°C instead of 0°C, and the reaction time was set to 4.5 hours instead of 6 hours. The optical purity of the compound 21 obtained in this example was also analyzed in the same manner as in Example 3. The optical outfly of the compound 21 obtained in this example is shown in Table 12 below.

20 <Example 5: Confirmation of α-benzylation of glycine (3)>

[0172] The corresponding compound 21 was obtained in the same manner as in Example 3, except that the phasetransfer catalyst ((S)-11) was used in 0.1 mo% instead of 1 mo%, the reaction temperature was set to 25°C instead of 0°C, and the reaction time was set to 11 hours instead of 6 hours. The optical purity of the compound 21 obtained in this example was also enalyzed in the same manner as in Example 3. The optical purity of the compound 21 obtained in this example is shown in Table 12 below.

<Example 6: Confirmation of α-benzylation of glycine (4)>

To [173] The corresponding compound 21 was obtained in the same manner as in Example 3, except that the phase-transfer catalyst ((S)-11) was used in 0.05 mo/% instead of 1 mo/%, the reaction temperature was set to 25°C instead of 0°C, and the reaction time was set to 25 to hours instead of 6 hours. The optical purity of the compound 21 obtained in this example also was analyzed in the same manner as in Example 3. The optical purity of the compound 21 obtained in this example is shown in Table 12 below.

<Example 7: Confirmation of α-benzylation of glycine (5)>

[0174] The corresponding compound 21 was obtained in the same manner as in Example 3, except that the phasetransfer catalyst ((5)-11) was used in 0.01 mo% instead of 1 mo%, the reaction temperature was set to 25°C instead of 0°C, and the reaction time was set to 24 hours instead of 6 hours. The optical purity of the compound 21 obtained in this example also was analyzed in the same manner as in Example 3. The optical purity of the compound 21 obtained in this example is shown in Table 12 below.

<Example 8: Confirmation of α-benzylation of glycine (6)>

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[0175] The corresponding compound 21 was obtained in the same manner as in Example 3, except that the phasetransfer catalyst ((5)-11) was used in 0.5 mo% instead of 1 mo%, allyl bromide was used instead of benzyl bromide as the compound represented by Ri-Wu in the above formula in 1.2 equivalents with respect to compound 20, and the reaction time was set to 5 hours instead of 6 hours. The optical purity of the compound 21 obtained in this example also was analyzed in the same manner as in Example 3. The optical purity of the compound 21 obtained in this example is shown in Table 12 below.

<Example 9: Confirmation of α-benzylation of glycine (7)>

[0178] The corresponding compound 21 was obtained in the same manner as in Example 3, except that the phasetransfer catalyst (S)-11) was used in 0.1 mol% instead of 1 mol%, ethyl indied (a equivalents, used as the excess quantity) was used instead of benzyl bromide as the compound represented by RI^{III}. Win the above formula, the reaction temperature was set to 25°C instead of 0°C, and the reaction time was set to 38°C insur instead of 6 hour. The oxical purity of the compound 21 obtained in this example also was analyzed in the same manner as in Example 3. The optical purity of the compound 21 obtained in this example is shown in Table 12 below.

Table 12

				Table 12				
5		Amount of		Reaction condition		Product (Compound 21)		
		catalyst ((S)-11) used (mol%)	R ¹⁸ -W	Temperature (°C)	Time	Yield (%)	Optical purity	Absolute configuration
,					(hr)		(%ee)	
,	Example 3	1	PhCH ₂ Br	0	6	95	98	R-form
	Example 4	1	PhCH ₂ Br	25	4.5	97	97	R-form
	Example 5	0.1	PhCH ₂ Br	25	11	96	97	R-form
5	Example 6	0.05	PhCH ₂ Br	25	20	94	97	R-form
	Example 7	0.01	PhCH ₂ Br	25	24	95	96	R-form
	Example 8	0.5	CH ₂ =CHCH ₂ Br	0	5	99	96	R-form
o	Example 9	0.1	CH3CH2I	25	36	80	94	R-form

[0177] As shown in Table 12, it is found that it was possible to produce the corresponding compound 21 in high yield and excellent optical purity under the conditions of any one of Examples 3 through 9. Further, the results of Examples 6 and 7 show that even when the amount of catalyst used is reduced markedly, the yield and the optical purity of the corresponding compound 21 are not significantly different from those in the other examples. From this fact it is clear that use of the phase-transfer catalyst of the present invention (e.g. (5)-11) in a minute amount suffices the efficient production of α-amino acid derivatives. Moreover, with regard to the reaction temperature, as shown in Examples 4 through 7 and 9, it is not necessary to perform the reaction at relatively low temperatures as in Examples 3 and 8, and the reaction proceeds uneventfully at temperatures (such as room temperature) at which industrial production is easier without affecting the yield and the optical purity of the compound 21 so seriously. This demonstrates that the method of the present invention is also extremely beneficial in view of the industrial production.

<Example 10: Confirmation of α-benzylation of alanine>

6 [0178]

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[0179] A mixture of a bluene solution (1.5 mL) of tent-buly ester alutimine Schiff base (22) (80.3 mg, 0.3 mmol), the compound (S)-t1 obtained in Example 2 (1 moPis; phase-transfer catalyst), benzyl bromide (43 μL, 0.36 mmol) and CsChH-l₂C (282 mg, 1.5 mmol) was stirred vigorously at 0°C under an argon atmosphere. The progress of the reaction was followed by TLC. After the reaction was complete, the mixture was poured into water and extracted with OH₂C₂. The solvent was evaporated under reduced pressure, and the residue was dissolved in THF 6 mL). A 0.5 M citric acid (5 mL) was added thereto, and the resulting mixture was stirred for one hour at room temperature. THF was evaporated under reduced pressure, and the residue with hexane. Then, the aqueous layer was basified with solid Na₂CO₂ and the mixture was extracted with CH₂C₃. The organic extract was dried over Na₂SO₄. The solvent was evaporated under reduced pressure, and the residue was purified by silica gel column chromatography (ethyl acetate/hexane = 1/2 as eluent) to give the title alkylated compound 23 (37 mg, 0.159 mmol). Since a portion of the compound 23 obtained in this example was volatifized during purification where concentration under reduced pressure, the yield was 55%. The optical purity of the compound 23 obtained in this example was analyzed by HHC. [Clacific Al-H; eluent: hexane/2-propanel = 301, flow rate 0.5 mL/min; retention time: (R)-form = 12.6 min, (S)-form = 19.4 min). The optical purity of the compound 23 obtained in this example was 99%.

<Example 11: Alkylation of alanine ethyl ester using 48% potassium hydroxide aqueous solution>

[0180]

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[0181] A hydrochloride salt of L-alanine ethyl ester (compound L-24) (23.0 g, 150 mmol) was added to ethanol (140 mL), and then triethylamine (15.2 g, 150 mmol) was added thereto and stirred, p-Chlorobenzaldehyde (compound 25), which was melled in a bath heated to 60°C and allowed to cool until room temperature, was added dropwise to the ethanol solution of compound L-24. After stirring at room temperature for two hours, the ethanol was evaporated under reduced pressure. Helf-saturated saline (40 mL) was then added thereto, and then extracted with ethyl acetate (180 mL). X 1). The ethyl acetate layer was washed with saturated saline (20 mL) and filtered through filter paper covered with sodium sulfate. The filtrate was then concentrated under reduced pressure to give L-alanine ethyl ester-p-chlorobenzyl Schiff base (compound L-26) (83.75 g) in a quantitative vield.

[0182] Then, the Schiff base obtained above (compound L-26) (1.20 mg, 5.01 mmn), 4-ohorobenzy bromide (compound 27) (1.23 g, 5.99 mmn), and the compound (5)-11 obtained in Example 2 (0.1 mml%; phase-transfer catalyst) (4.2 mg, 5.1 µm0) were added to toluene (20 mL), and stirred vigorously (1000 pm) with icle-asic cooling. Once the internal temperature reached -5°C, a 46% potassium hydroxide aqueous solution (8.00 g (se the aqueous solution)) was added to the reaction mixture. This was then stirred for four hours while maintaining the internal temperature between -1°C and -5°C. The disappearance of the compound 30 due to degradation of the Schiff base (compound L-26) in the toluene layer was monitered by TLC (hexameletry) acetatefricterylamine -5%0.1 inhydrin color, R1 value of 0.1 for compound 30), and the end of the reaction was also confirmed by TLC under the same conditions. Then, water (20 mL) was added thered, and the toluene layer was removed by separation. The aqueous layer was extracted with toluene (20 mLx2). The combined toluene layer was dried over sodium sulfate and concentrated under reduced pressure to give the allykiated Schiff base (compound 28) as an oily residue.

[0183] To this residue, 1 N hydrochloric acid (10 mL) was added and stirred at nom temperature for two hours. The aqueous layer was washed with foluene (20 mL x 3), and sodium bicarbonate was added thereto carefully to avoid too vigorous bubbing until pH of the solution reached not lower than 11 (confirmed by universal pH test paper), and then the mixture was extracted with ethyl acottate (20 mL x 3). The ethyl acetate solution obtained was dried over sodium suitate and concentrated under reduced pressure to give the title compound 29 (flb-, emethyl-4-chlorophenylalanie ethyl ester) (0.80 g, yield: 50%). The optical purity of the compound 29 obtained in this example was analyzed by HPLC Disacel Chiracel AD (4.6 mm x - 55 cm); aluent havaner/socropoval abcohold/eithylarine - 99/10.11; thour set 0.5 mL/mir.]

temperature = room temperature; detector UV 267.5 nm; retention time: (R)-form = 24.7 min, (S)-form = 26.3 min). The optical purity of the compound 29 obtained in this example was 97% ee.

<Example 12: Hydrolysis of (R)-α-methyl-4-chlorophenylalanine ethyl ester>

[0184]

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[0185] To (R)-x:methyl-4-chlorophenylalanine ethyl ester (compound 29) (0.85 g. 1.4 mmol, optical purity 97% ep.). 5 N aqueuso potassium hydroxido solution (1 m.) was added, and stirred at room temperature for two hours until the solution became homogeneous. Then, 2 N hydrochloric acid was added thereto until pH of the mixture reached 6.0. White precipitate was observed, and the stirring was continued for 30 minutus with be e-cooling. The white precipitate was filtered off, dried at 50°C for three hours to give compound 31 ((R)-x-methyl-4-chlorophenylatianine) (0.22 g.) yield 72%). The optical purity of the compound 31 obtained in this example was analyzed by HPLC (Sumiks Sumichiral OA-500 (4.6 mmp. 4.5 cm); elsent: methanoliz mM aqueous copper suitles solution = 3070; 16 were 11.0 m.Chmiral; temperature = 37°C; 16 elsector UV 254 mm; retention time: (S)-form = 40.4 min, (R)-form = 57.2 min). The optical purity of the compound 31 obtained in this example was 99% e.e.

<Example 13: Synthesis of quaternary ammonium salt (compound (S)-40)>

[0186]

[0187] Dipropylamine (0.0137 m.l., 0.1 mmol) was added to a suspension of the compound 10s obtained in Reference Example 7 (15.6 mg, 0.02 mmol) and potassium carbonate (6.9 mg, 0.05 mmol) in acetonitrile (3 m.l.) under an argon atmosphere. This reaction mixture was heated at 80°C for 10 hours. Then, this was poured into a 1 N HBr aqueous solution and extracted with CH₂Cl₂. The organic layer was dried over Na₂SO₂ and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (methanol/CH₂Cl₂ = 1/10 as eluent) to give the title optically active quaternary ammonium bromide (compound (5)-40) (5-form) (14.6 mg, 0.018 mmol / yield; 91%). The R-form can also be synthesized using the same procedure as above. The NMR spectrum of the compound (5)-40 obtained in this example is shown in Table 13.

Table 13

NMR spectrum of compound (S)-40 obtained in Example 13

400 MHz ¹H NMR (CDCl₃) 8 7.28 (2H, s, Ar-H), 7.09 (2H, s, Ar-H), 4.31 (2H, d, J = 12.4 Hz, ArCH₂), 4.04 (6H, s, CCH₃), 3.89 (8H, m, COH₃), ACH₃), 3.77 (6H, s, CCH₃), 2.95 (2H, m, NCH₂), 2.75 (2H, m, NCH₂), 1.09 (2H, m, CH₃), 0.77 (6H, dd, J = 7.2, 7.2 Hz, CH₃), 0.25 (2H, m, CH₃).

<Example 14: Synthesis of quaternary ammonium salt (compound (S)-41)>

[0188]

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[0189] Dhexylamine (0,023 mL, 0,1 mmol) was added to a suspension of the compound 10a obtained in Reference Example 7 (15.6 mg, 0.02 mmol) and potassium carbonate (6.9 mg, 0.05 mmol) in acetonitifie (8 mL), under an argon atmosphere. This reaction mixture was heated at 80°C for 10 hours. Then, this was poured into a 1 N HBr aqueous solution and extracted with CH₂Cl₂. The organic layer was dried over Na₂SO₂ and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (methanol/CH₂Cl₂ = 1/20 as eluent) to give the title queternary armmonium bromide (compound (6)-41) in an optically active S-form (16 mg, 0.018 mmol / yield: 50%). The R-form can also be synthesized using the same procedure as above. The NMR spectrum of the compound (5)-41 obtained in this example is shown in Table 14.

Table 14

NMR spectrum of compound (S)-41 obtained in Example 14

400 MHz ¹H NMR (CDC4) ³ 7.26 (2H, s, Ar-H), 7.07 (2H, s, Ar-H), 4.31 (2H, d, J = 12.5 Hz, ArCH₂), 4.05 (6H, s, OCH₃), 3.92 (8H, m, OCH₃, ArCH₂), 3.75 (6H, s, OCH₃), 2.92 (2H, m, NCH₂), 2.74 (2H, m, NCH₂), 1.30-1.08(14H, m, CH₃), 0.74 (8H, dd, J= 7.1, 7.2 Hz, CH₃), 0.26 (2H, m, CH₃).

<Reference Example 10: Synthesis of starting material (compound (R)-43) for synthesizing quaternary ammonium sait>

[0190]

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[0191] Quinidine (16.22 g, 50 mmol) was added to an ethyl acetate solution (160 mL) of the 4,5,6.4°,5.6°-hexametioxydiphenic acid (43) (21.12 g, 50 mmol) obtained using the method of J. D. Relize et al. (J. D. Reltze, S. R. Przewloka, B. J. Shaarer (2001), Holzforschung 55:171) at norm temperature. The reaction mixture was heated at reflux for one hour and then slowly cooled to 0°.C°. The precipitated crystal was collected by filtration and washed with ethyl acetate and then dried to give a bisquindine salt of 4,5.4°,56°-hexamethoxydiphenic acid (15.34 g). Ethyl acetate (50 mL) and 1 N HCl aqueous solution (100 mL) were added, and stirred at room temperature for one hour. This was extracted with ethyl acetate and the extract was dried over Na₂SO₂ and concentrated to give the title compound (R)-43 ((R)-4,5.6.4°,56°-hexamethoxydiphenic acid) (5.97° a,1.1 mmol / vield;20%).

[0192] The filtrate from the above process was concentrated under reduced pressure, and 85% aqueous methanol (82 mL) and 1 N aqueous potassium hydroxide solution (35 mL) were added dropwise to this residue. To this was added quinidine (4.87 g., 15 mmol) at room temperature. The reaction mixture was heated at reflux for one hour, and then cooled slowly to 0°C. The pracipitated crystals were filtered off, washed with 85% aqueous methanol and dired to give the bisquinidine and of the 4.5,6.4°,5.6°. hexamethoxydiphenic acid (15.84 g). To this were added et by acetate (50 mL) and 1 N HCl aqueous solution (100 mL) were added to this, and stirred at room temperature for one hour. This was extracted with ethyl acetate and dried over Na₂SO₄ and concentrated to give the compound (S)-43 ((S)-4.5,6.4.5),8° hexamethoxydiphenic acid (14.92 g., 11.65 mmol /) vidic :23%).

[0193] The enantiomeric excess was measured by HPLC analysis (Dalcel Chiralcel AD-H, hexane/2-propano/ITFA = 33.70.1, flowrete (3.6.1mL/linit, retention time: (S)-form= 2.6.3min, (R)-form= 3.4.1 min). The optical purity of the compound (R)-43 was 99% e. 8. The absolute configuration was determined by comparison of the retention times with those of the samples synthesized independently by the known method (O. T. Schmidt. K. Derminel Justus Leibeig 1952). An . Chem. 576:858.

<Reference Example 11: Synthesis of starting material (compound (R)-44) for synthesizing quaternary ammonium sait>

[0194]

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[0195] Potassium carbonate (0.55 g, 8 mmol) and methyl iodide (1.25 mL, 20 mmol) were added to a solution of the compound (R)-43 obtained in Reference Example 10 (0.84 g, 2 mmol) in acetone (10 mL). This reaction mixture was heated under reflux for five hours, then saturated NaHCO₃ solution was added. The mixture was extracted with ethyl acetate. The organic layer was dried over Na₂SO₄ and concentrated under reduced pressure. The title compound (R)-

44 ((R)-4,5,6,4',5',6'-hexamethoxydiphenate dimethyl ester) (0.90 g, 2 mmol) was obtained in a quantitative yield. The NMR spectrum of the obtained compound (R)-44 is shown in Table 15.

Table 15

NMR spectrum of compound (R)-44

400 MHz ¹H NMR (CDCl₂) δ 7.97 (2H, s, Ar-H), 3.97 (12H, s, OCH₂), 3.94 (6H, s, OCH₃), 3.60 (6H, s, CO₂CH₃)-

<Reference Example 12: Synthesis of starting material (compound (R)-45) for synthesizing quaternary ammonium salt>

[0196]

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[0197] Bromine (0.51 mL, 10 mmol) was added dropwise to a solution of the compound (R)-44 obtained in Reference Example 11 (0.90 g, 2 mmol) in CH₂CN (10 mL) at 0°C. The reaction mixture was stirred for three hours and then pound into a saturated aqueueu Na₂O₅O, solution. The mixture was extracted with ethyl acetate. The combined organic layer was washed with saturated saline, and then concentrated under reduced pressure. The compound (R)-45 ((R)-3,3'-dibromo-4,5,5.4',5'6'-hexamethoxydiphenate dimethyl ester) (1.21 g, 2 mmol) was obtained in a quantitative yield. The NMR spectrum of the obtained comound (R)-45 is shown in Table 16.

Table 16

NMR spectrum of compound (R)-45 400 MHz ¹H NMR (CDCl₃) § 3.95 (12H, s, OCH₃), 3.79 (6H, s, OCH₃), 3.65 (6H, s, OCH₃).

<Reference Example 13: Synthesis of starting material (compound (R)-46) for synthesizing quaternary ammonium salt>

[0198]

[0199] A mixture of the compound (R)-45 obtained in Reference Example 12 (1.21 g, 2 mmol), 3.4,5-trifluorophenylboronic acid (1.06 g, 6 mmol), palladium acetate (90 mg, 0.4 mmol), tri-o-tolyphosphine (0.49 g, 1.6 mmol), sodium methoxide (0.32 g, 6 mmol), and DME (10 ml) was stirred with heating at 85°C under an argon atmosphere. The disappearance of the starting material was confirmed by TLC, and then the suspension was filtered and the filtrate was concentrated under reduced pressure. The recidue was purified by stilica gel column chromatography (hexane-tethyl acetate = 5'1 as eluent) to give the title compound (R)-46 ((R)-3,3'-bis(3,4,5-trifluorophenyl-4,5,5.4',5'6'-hexamethoxydiphenate dimethyl ester) (1.14 g, 1.60 mmol / yield: 80%). The NMR spectrum of the obtained compound (R)-46 is shown in Table 17.

Table 17

NMR spectrum of compound (R)-46

400 MHz 1 H NMR (CDCl₃) δ 6.92 (4H, m, Ar-H), 3.98 (6H, s, OCH₃), 3.85 (6H, s, OCH₃), 3.71 (6H, s, OCH₃), 3.27 (6H, s, CO₂CH₃).

< Reference Example 14: Synthesis of starting material (compound (R)-47) for synthesizing guaternary ammonium salt>

[0200]

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[0201] LIAH₄ (0.29 g, 4.64 mmol) was added to a solution of the compound (R)-46 obtained in Reference Example 13 (1.10 g, 1.55 mmol) in cyclopentylmetrylether (CPME) (11 mL) at -10°C. The reaction mixture was stirred at 0°C for four hours. After 1 No oil HCI was added carefully, the mixture was then extracted with eithyl acetate, and concentrated under reduced pressure. The title compound (R)-47 ((R)-3,3'-bis(3,4,5-trifluorophenyl)-4,5,6,4',5',6'-hexamethoxybiphenyl-2,2'-dimethanol) (1.02 g, 1.55 mmol) was obtained in a quantitative yield. The NMR spectrum of the obtained compound (R)-47 is shown in Table 1 s

Table 18

NMR spectrum of compound (R)-47

400 MHz 1 H NMR (CDCl₃) δ 7.09 (4H, m, Ar-H), 3.92-4.02 (10H, m, OCH₃, ArCH₂), 3.76 (6H, s, OCH₃), 3.71 (6H, s, OCH₃), 3.19 (2H, s, OH).

< Reference Example 15: Synthesis of starting material (compound (R)-48) for synthesizing quaternary ammonium salt>

[0202]

[0203] Phosphorus tribromide (0.44 ml., 4.65 mmol) was added to a solution of the compound (R)-47 obtained in Reference Example 14 (1.02 g. 1.55 mmol) in CPME (10 mL) at 0°C. The reaction mixture was stirred for two hours at 0°C, then a saturated acqueues Na+ICO₂ solution was added thereto. The mixture was extracted with eithyl acetate. The organic layer was dried over Na₂SO₄ and concentrated under reduced pressure. The title compound (R)-48 ((R)-3.3°-bis(3.45-fiffluorophenyl)-4.5.6.4°,5.6°-be-xamethoxybiphenyl-2.2°-dimethyl bromide) (1.21 g. 1.55 mmol) was obtained in a quantitative violed. The NMR soctrum of the obtained compound (R)-48 is shown in Table 19.

Table 19

NMR spectrum of compound (R)-48

400 MHz 1 H NMR (CDCl₃) δ 7.08 (2H, s, Ar-H), 7.00 (2H, s, Ar-H), 3.95 (10H, m, OCH₃, ArCH₂), 3.87 (6H, s, OCH₃), 3.73 (6H, s, OCH₃).

<Reference Example 16: Synthesis of starting material (compound 51) for synthesizing quaternary ammonium salt>

[0204]

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[0265] N-bromosuccinimide (NBS) (28.48 g. 160 mmol) was added to a solution of 3.4.5-triethoxy benzoic acid (compound 50) (25.43 g. 100 mmol) in CH₂CN (200 mL) at 0°C. This solution was stirred for three hours at 0°C and then a saturated aqueous Na₂SO₂ solution was added thereto. After the mixture was extracted with ethyl acetate, the organic layer was washed with saturated saline, dried over Na₂SO₄, and concentrated under reduced pressure. A mixture of the title compound 51 c-bromo-3.4.5-triethoxybenzoic acid) and the byproduct, succinimide, was obtained (47.19 g). The NMR spectrum of the compound 51 purified independently is shown in Table 20.

Table 20

NMR spectrum of compound 51

400 MHz ¹H NMR (CDCl₃) δ 7.35 (1H, s, Ar-H), 4.17 (2H, q, J = 7.0 Hz, OCH₂), 4.11 (4H, q, J = 7.0 Hz, OCH₂), 1.46 (6H, m, CH₃), 1.39 (3H, t, J = 7.0 Hz, CH₃).

<Reference Example 17: Synthesis of starting material (compound 52) for synthesizing quaternary ammonium salt>

[0206]

[0207] Potassium carbonate (20,73 q, 150 mmol) and methyl iodide (28,39 q, 200 mmol) were added to a solution of the mixture of the compound 51 and the byproduct, succinimide, obtained in Reference Example 16 (47.19 g., 100 mmol) in acetone (240 mL). This reaction mixture was heated under reflux for five hours, then a saturated aqueous NaHCO3 solution was added. The reaction mixture was extracted with ethyl acetate. The organic layer was dried over Na2SO. and concentrated under reduced pressure. The title compound 52 (2-bromo-3,4,5-triethoxybenzoate methyl ester) (34.86 g. 100 mmol) was obtained in a quantitative yield. The NMR spectrum of the obtained compound 52 is shown in Table 21.

Table 21

NMR spectrum of compound 52

400 MHz ¹H NMR (CDCl₂) δ 7.26 (1H, s, Ar-H), 4.16-4.05 (6H, m, OCH₂), 3.92 (3H, s, CO₂CH₂), 1.44 (6H, m, CH₂). 1.38 (3H. t. J = 7.1 Hz. CHa).

< Reference Example 18: Synthesis of starting material (compound 54) for synthesizing quaternary ammonium salt>

[0208]

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[0209] Under an argon atmosphere, activated Cu powder (25.42 g. 400 mmol) was added to a solution of the compound

52 obtained in Reference Example 17 (34.86 g, 100 mmol) in DMF (300 mL). After deaeration, this mixture was heated at a gentl reflux. After five hours of heated at reflux, the reaction mixture was filtered, 1 N HCl solution was added to the filtrate and the mixture was extracted with ethyl acetate. The organic layer was washed with saturated saline, dried over Na₂SO₄, and then concentrated under reduced pressure. A mixture of the coupling product (compound 53) and a debrominated byproduct was obtained. This was used as is in the following process without further purification. [0210] To a solution of the compound 53 obtained above in methanol (125 mL), 8 N KOH aqueous solution (37.5 mL) was added dropwise. The reaction mixture was heated at reflux for five hours and then the methanol was removed under

reduced pressure. To the residue were added methanol (20 mL) and water (100 mL) and then the mixture was acidified with concentrated hydrochloric acid. The precipitated crystals were filtered off, washed with water, and then dried. The title compound 54 (4.5.6.4'.5'.6'-hexaethoxydiphenic acid) was obtained (37.35 g. 37.35 mmol/yield; 75%). The NMR spectrum of the obtained compound 54 is shown in Table 22.

Table 22

NMR spectrum of compound 54

400 MHz ¹H NMR (CDCI₂) δ 7.36 (2H, s. Ar-H), 4.20-4.07 (12H, m. O CH₂), 1.48-1.37 (18H, m. CH₂),

<Reference Example 19: Synthesis of starting material (optically active compound 54) for synthesizing quaternary ammonium salt>

[0211]

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OEt OEt

$$CO_2H$$
 Quinidine CO_2H Quinidine CO_2H EtO CO_2H EtO CO_2H EtO CO_2H OEt OEt OEt

[0212] Quindinde (18.43 g. 56.8 mmol) was added to a 60% aqueous methanol (270 mL) of the compound 54 obtained in Reference Example 18 (14.49 g. 624 mmol). The inchiure was heated at reflux for one hour and then cooled slowly to 0°C. The precipitated crystals were collected by filtration and washed with 60% aqueous methanol, and dried to give the bisquindine sat of 4.5,6.4°,5.6° hexaethoxydiphenic acid (9.64 g.). This was recrystalized in 60% aqueous methanol (100 mL). The crystals were oldered by filtration, washed with 60% hydrous methanol and dried to give the bisquindine sat of 4.5,6.4°,5.6° hexaethoxydiphenic acid (5.20 g). Ethyl acetate (30 mL) and 1 N HCl aqueous solution (50 mL) were added theresto. The mixture was stirned at room imperature for one hour, extracted with eithyl acetate. The extract was dried over Na₅SO₄ and concentrated to give the title optically active compound 54 (optically active 4.5,6.4°,5.6° hexaethoxydiphenic acid) (2.40 g. 4.74 mmol / yield 17%).

[0213] The enantiomeric excess was measured by HPLC analysis (Daicel Chiralcel AD-H, hexane/2-propanol/TFA = 97:30.1, flow rate 0.4 ml/min, retention time: 53.7mln (major), 57.3 mln (minor)). The optical purity of the optically active compound 64 was 99% ee.

<Reference Example 20: Synthesis of starting material (optically active compound 53) for synthesizing quaternary ammonium salt>

[0214]

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Optically active compound 54 Optically active compound 53

[0215] Potassium carbonate (2.62 g, 19 mmol) and methyl bodide (4.04 g, 28.4 mmol) were added to a solution of the optically active compound 64 obtained in Reference Example 19 (2.40 g, 4.74 mmol) in actione (24 mm). This reaction mixture was heated under reflux for five hours, and then a saturated aqueous NaHCO₃ solution was added to the reaction mixture and extraction was performed with ethyl acetate. The organic layer was dried over Na₂SO₄ and concentrated under reduced pressure. The filte optically active compound 55 optically active 4.65, 4.56 thexaterboxydiphenate dimethyl ester) (1.55 g, 2.90 mmol /yield:61%) was obtained. The NMR spectrum of the obtained optically active compound 50 is shown in Table 23.

Table 23

NMR spectrum of optically active compound 53

400 MHz ¹H NMR (CDCl₃) δ 7.32 (2H, s, Ar-H), 4.17-4.11 (12H, m, OCH₂), 3.56 (6H, s, CO₂CH₃), 1.48 (6H, t, J = 7.0 Hz, CH₃), 1.37 (6H, t, J = 7.1 Hz, CH₃), 0.97 (6H, t, J = 7.0 Hz, CH₃).

<Reference Example 21: Synthesis of starting material (optically active compound 55) for synthesizing quaternary ammonium selt>

[0216]

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[0217] Bromine (0.71 mL, 14 mmol) was added dropwise to a solution of the optically active compound 53 obtained in Reference Example 20 (1.55 g, 2.90 mmol) in CH₂CN (15 mL) at 0°C. The reaction mixture was stirred for three hours and then poured into a saturated aqueous Na₂SO₂ outlion. The mixture was extracted with eithy lacetate. The combined organic layer was washed with saturated saline and concentrated under reduced pressure. The title compound 55 (optically active 3,3°-dibromo-4,5,6,4°,5',6°-hexaethoxydiphenate dimethyl ester) (2.05 g, 2.90 mmol) was obtained in a quantitative vield. The NMP spectrum of the obtained optically active compound 55 is shown in Table 24.

Table 24

NMR spectrum of optically active compound 55

400 MHz ¹H NMR (CDCl₃) δ 4.20-4.05 (12H, m, OCH₂), 3.63 (6H, s, CO₂CH₃), 1.45 (6H, t, J = 7.1 Hz, CH₃), 1.38 (6H, t, J = 7.1 Hz, CH₃), 1.05 (6H, t, J = 7.0 Hz, CH₃).

40 <Reference Example 22: Synthesis of starting material (optically active compound 56) for synthesizing quaternary ammonium sait>

[0218]

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[0219] A mixture of the optically active compound 55 obtained in Reference Example 21 (2.05 g. 2.90 mmol), 3.4.5-trifluorophenylboronic acid (1.53 g. 8.7 mmol), palladium acetate (0.13 g. 0.58 mmol), trio-tolylphosphine (0.71 g. 2.32 mmol), sodium methoxide (0.47 g. 8.7 mmol), and DME (17 mL) was stirred with heating at 85°C under an argon atmosphere. The disappearance of the starting material was confirmed by TLC, and then the suspension was filtered and the filtrate was concentrated under reduced pressure. The residue was purified by silica gel column chromatography (with hexane/ethyl acetate = 57 tas the eluen) to give the title optically active compound 56 (opticall active of 3.5-bis(3.4.5-trifluorophenyl)-4,56.4.(5.6'-haxaethoxydiphenate dimethyl seter) (1.83 g. 2.26 mmol / yield: 78%). The NMR spectrum of the obtained optically active compound 56 is shown in Table 2.5.

Table 25

NMR spectrum of optically active compound 56

400 MHz ¹H NMR (CDCl₃): δ 6.89 (4H, m, Ar-H), 4.27-3.89 (12H, m, OCH₂), 3.25 (6H, s, CO₂CH₃) 1.41 (6H, t, J = 7.1 Hz, CH₃), 1.11 (12H, m, CH₃).

<Reference Example 23: Synthesis of starting material (optically active compound 57) for synthesizing quaternary ammonium salt>

[0220]

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Optically active compound 56 Optically active compound 57

[0221] LiAIH₄ (0.43 g, 11.3 mmol) was added to a solution of the optically active compound 56 obtained in Reference Example 22 (1.83 g, 2.26 mmol) in CPME (18 mL) at -10°C. The reaction mixture was stirred at 0°C for four hours, and then 1 N cold HCI was added carefully. Ethyl acetate was added to the reaction mixture. After separation, and then the organic layer was dried over Na₂SO₂ and concentrated under reduced pressure. The title optically actively compound

57 (optically active 3,3'-bis(3,4,5-trifluorophenyl)-4,5,6,4',5',6'-hexaethoxybiphenyl-2,2'-dimethanol) (1.72 g, 2.26 mmol) was obtained in a quantitative yield. The NMR spectrum of the obtained optically active compound 57 is shown in Table 26.

Table 26

NMR spectrum of optically active compound 57

400 MHz $^{\rm I}$ H NMR (CDCl₃) δ 7.12 (4H, m, Ar-H), 4.17-3.73 (16H, m, OCH₂, ArCH₂), 3.28 (2H, s, OH), 1.40 (6H, t, J=7.1 Hz, CH₃), 1.10 (12H, m, CH₃).

<Reference Example 24: Synthesis of starting material (optically active compound 58) for synthesizing quaternary ammonium salt>

[0222]

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EtO OEt F ETO OE

Optically active compound 57

Optically active compound 58

[0233] Phosphorus tribromide (0.64 mL, 7.8 mmol) was added to a solution of the optically active compound 57 obtained in Reference Example 23 (1.72 g, 2.26 mmol) in CPME (18 mL) at 0°C. The reaction mixture was stirred at 0°C for two hours, then a saturated NaHCO₃ solution was added. The mixture was extracted with ethyl acettate. The organic layer was dried over Na₂SO₄ and concentrated under reduced pressure. The title optically active compound 58 (optically active compound 58 (optically active solutions) and 3.3°bis(3.45, Fiftheoropheny)-1.6, 8,4°,5°,6°-beartoxy(pilopheny-2-di-methyl bromide) (1.95 g, 2.26 mmol) was obtained in a quantitative yield. The NMR spectrum of the obtained optically active compound 58 is shown in Table 27.

Table 27

NMR spectrum of optically active compound 58

400 MHz 1 H NMR (CDCl₃) δ 7.02 (4H, m, Ar-H), 4.18-3.91 (16H, m, OCH₂, ArCH₂Br), 1.40 (6H, t, J = 7.1 Hz, CH₃), 1.10 (12H, m, CH₃).

<Example 15: Synthesis of quaternary ammonium salt (optically active compound 59)>

[0224]

Optically active compound 58

Optically active compound 59

[0225] To a suspension of potassium carbonate (0.375 g, 2.71 mmo) and the optically active compound 58 obtained in Reference Example 24 (1.95 g, 2.26 mmo) in accentrile (16 mL), dibutylamine (0.424 mL, 2.46 mmo) was added under a nitrogen atmosphere. This reaction mixture was heated at 80°C for three hours, and then poured into water. The mixture was extracted with ethyl accetate. The organization slaper was dried over Ntag-SQ, and concentrated under reduced pressure. The residue was purified by silica get column chromatography (with methanofoldune = 15 as the eluent) to give the title optically active ompound 59) (1.32 g, 1.45 mmol / yield: 64%). The NMR spectrum of the obtained optically active compound 59 is shown in Table 28.

Table 28

NMR spectrum of optically active compound 59 obtained in Example 15

400 MHz ¹H NMR (CDCL)₃ δ 7.26 (2H, m, Ar-H), 7.00 (2H, m, Ar-H), 4.36 (4H, m, OCH₂), 4.16-4.04 (8H, m, OCH₂), 3.95 (2H, d, J = 12.8 Hz, ArCH₂N), 3.76 (2H, d, J = 12.8 Hz, ArCH₂N), 3.04 (2H, m, NCH₂), 2.77 (2H, m, NCH₂), 1.45 (6H, t, J = 7.0 Hz, CH₂), 1.18-1.07 (18H, m, CH₂, CH₂), 7.76 (6H, m, CH₂), 0.23 (2H, m, CH₂).

< Reference Example 25: Synthesis of starting material (compound 61) for synthesizing quaternary ammonium salt>

[0226]

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[0227] Potassium carbonate (63.31 g, 400 mmol) and benzyl bromide (69.47 ml., 500 mmol) were added to a solution of 3,4.5-trihydroxybenzoate methyl ester (compound 60) (18.41 g, 100 mmol) in DMF (70 mL). This reaction mixture was stirred at 120°C for seven hours, and then poured into water. The mixture was extracted with ethyl acetate. The organic layer was dried over Na₂SO₄ and concentrated under reduced pressure. The title compound 61 (3,4.5-triben-zyloxybenzoate methyl ester) (47.19 g) was obtained in a quantitative yield. The NMR spectrum of compound 61 is shown in Table 29.

Table 29 NMR spectrum of compound 61

$\label{eq:double_equation} 400\,\text{MHz} \ ^1\text{H} \ \text{NMR} \ (\text{CDCl}_3) \ \delta \ 7.45-7.24 \ (17\text{H}, m, Ar-H), 5.14 \ (4\text{H}, s, ArCH}_2\text{O}), 5.11 \ (2\text{H}, s, ArCH}_2\text{O}), 3.89 \ (3\text{H}, s, CO}_2\text{CH}_3).$

< Reference Example 26: Synthesis of starting material (compound 62) for synthesizing guaternary ammonium salt>

[0228]

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[0228] Bromine (20.5 mL, 400 mmol) was added dropwise to a solution of the compound 61 that was obtained in Reference Example 25 (47.19 g, 100 mmol) in CH₂CN (300 mL) at -10°C. This solution was stirred at 0°C for five hours and then a saturated aqueous Na₂SO₂ solution was added thereto. After extraction with ethyl acetate, the organic layer was washed with saturated saline, dried over Na₂SO₄, and concentrated under reduced pressure. The title compound 62 (2-bromo-3,4,5-tribenzyloxybenzoate methyl setter) (83.5 g, 100 mmol) was obtained in a quantitative yield. The NMR sectrum of compound 62 is shown in Table 30.

<Reference Example 27: Synthesis of starting material (compound 64) for synthesizing quaternary ammonium salt>

[0230]

[0231] Under an argon atmosphere, activated Cupowder (12-71 g, 200 mmol) was added to a solution of the compound 62 obtained in Reference Example 26 (53.5 g, 100 mmol) in DMF (300 mL). After deaeration, this mixture was heated at a gentl reflux. After six hours of heating under reflux, the reaction mixture was filtered, 1 N HCl solution was added to the filtrate. The mixture was extracted with ethyl acetate. The organic layer was washed with saturated saline, dried over Na₂SO₃, and then concentrated under reduced pressure. A mixture of the coupling product (corpound 63) and a debrominated byproduct was obtained. This was used as is in the following process without further purification. [0232] To a solution of the compound 63 obtained above in methanol (300 mL) was added 8 N KGh solution (90 mL) dropwise. The reaction mixture was refluxed for five hours and then the methanol was removed under reduced pressure. To the residue were added methanol (100 mL) and water (200 mL). The mixture was then actifilled with concentrated hydrocholic acid. The precipitated crystals were filtered off, washed with water, and dried. The title compound 44 (4,56.4°,56°-hexabenzyloxydiphenic acid) was obtained (17.63 g, 20.05 mmol / yield: 40%). The NMR spectrum of the obtained compound 46 is shown in Table 31.

Table 31

NMR spectrum of compound 64

400 MHz 'IH NNH (CDCl₃) δ 7.63 (2H, s, Ar-H), 7.49 (4H, d, J = 6.9 Hz, Ar-H), 7.41-7.22 (18H, m, Ar-H), 7.05 (6H, m, Ar-H), 6.81 (2H, d, J = 6.4 Hz, Ar-H), 5.25 (2H, d, J = 11.4 Hz, ArCH₂O), 5.15 (2H, d, J = 11.3 Hz, ArCH₂O) 4.98-4.93 (6H, m, ArCH₂O) 4.74 (2H, d, J = 11.2 Hz, ArCH₂O)

<Reference Example 28: Synthesis of starting material (optically active compound 64) for synthesizing quaternary ammonium salt>

[0233]

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[0234] Quindine (12.56, g.38,7 mmo) was added to a solution of the compound 64 obtained in Reference Example 27 (17.0 g, g.18 mmo) in 92% aqueous methanol (370 mL). The reaction mixture was refluxed for one hour and then cooled slowly to 0°C. The precipitated crystals were collected by filtration and washed with the 92% aqueous methanol and then dried to give a bisquinidine salt of 4,5,6,4',5',6'-hexabenzy/loxylphenia codi (7.3,9). This was recrystalized from methanol (100 mL). The crystals were collected by filtration, washed with methanol, and dried to give the bissquindine salt of 4,5,6,4',5',6'-hexabenzy/loxydphenia codi (7.2 g). Ethyl acetate (30 mL) and 1 N HCl aqueous solution (60 mL) were added thereto, and the mixture was stirred at room temperature for one hour. The mixture was scredared with ethyl acetate and dried over Na₂SO, and concentrated under reduced pressure to give the title optically active compound \$4 (optically active 4,6,6,4',5',6'-hexabenzy/loxydphenia codi (2.0 g), 2.27 mmol / yield (11%).

[0235] The enantiomeric excess was analyzed by HPLC (Daicel Chiralcel AD-H, hexane/2-propano/TFA= 93:7:0.1, flow rate 0.6 ml./mln, retention time: 35.1 mln (major), 54.4 mln (minor)). The optical purity of the optically active compound 64 was 99% expressions.

<Reference Example 29: Synthesis of starting material (optically active compound 63) for synthesizing quaternary ammonium salt>

[0236]

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[0237] Potassium carbonate (2.82 g., 19 mmol) and methyl bodide (4.04 g., 28.4 mmol) were added to a solution of the optically active compound 64 obtained in Reference Example 28 (2.40 g., 4.74 mmol) in acetone (24 mL). This reaction mixture was heated under reflux for five hours, and then a saturated NaHCO₃ solution was added to the reaction mixture. The mixture was extracted with ethyl acetate. The organic layer was dried over Na₂SO₃ and concentrated under reduced pressure. The tile optically active compound 63 (optically active 4.6, 4.5°, 6.7°, 6.7°, 6.7°, 6.7°) (solved) (1.55 g., 2.90 mmol / yield:61%) was obtained. The NMR spectrum of the obtained optically active compound 63 is shown in Table 32.

Table 32

NMR spectrum of optically active compound 63

400 MHz ¹H NMR (CDCl₃) 8 7.54 (2H, s, Ar-H), 7.50 (4H, d, J = 6.7 Hz, Ar-H), 7.41-7.11 (22H, m, Ar-H), 6.86 (4H, d, J = 6.2 Hz, Ar-H), 5.20 (4H, d, J = 4.9 Hz, ArCH₂O), 5.15 (4H, d, J = 4.1 Hz, ArCH₂O), 4.89 (2H, d, J = 11.2 Hz, ArCH₂O), 4.80 (2H, d, J = 11.1 Hz, ArCH₂O), 6.58 (6H, s, CO₂CH₃).

<Reference Example 30: Synthesis of starting material (optically active compound 65) for synthesizing quaternary ammonium sait>

[0238]

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[0239] Bromine (1.40 mL, 27.2 mmol) was added dropwise to a solution of the optically active compound 63 obtained in Reference Example 29 (2.66, g. 2.27 mmol) in CH₂ON (56 mL) at -10°C. The reaction mixture was strired at 0°C for three bours and then poured into a saturated aqueous Na₂SO₃ solution. The mixture was extracted with ethyl acetate. The combined organic layer was washed with saturated satine and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (with hazanderity) acetate = 5°I as the sluenthy (6 yet the title optically active compound 65 (optically active 3.3-dibrom-4.5,6.4°); 6°-haxabenzyloxydiphenate dimethyl ester) (0.19 g.0.178 mmol / yeld; 7.9%). The NMR spectrum of the obtained optically active compound 65 is shown in Table 33.

Table 33

NMR spectrum of optically active compound 65

 $\begin{array}{l} 400\ \text{MHz}\ ^1\text{H NMR (CDCl}_3)\ \delta\ 7.52\ (4\text{H, d, }J=2.1\ \text{Hz, Ar-H), 7.50-7.15}\ (22\text{H, m, Ar-H), 6.94}\ (4\text{H, m, Ar-H), 5.12-4.85} \\ (12\text{H, m, Ar-H), 3.68}\ (6\text{H, s, CO}_2\text{CH}_3). \end{array}$

<Reference Example 31: Synthesis of starting material (optically active compound 66) for synthesizing quaternary ammonium salt>

[0240]

[0241] A mixture of the optically active compound 65 obtained in Reference Example 30 (0.19 g. 0.178 mmol), 3.4,5trifluorophenylboronic acid (94 mg, 0.53 mmol), palladium acetate (8.0 mg, 0.038 mmol), tri0-tolyphosphine (43 mg, 0.142 mmol), sodium methoxide (29 mg, 0.53 mmol), and DME (5 mt.) was stirred with heating at 85°C under an argon atmosphere. The disappearance of the starting material was confirmed by TLC. The suspension was filtered and the filtrate was concentrated under reduced pressurs. The residue was purified by silica golculum chromotography (hexane/ ethyl acetate = 5°1 as eluent) to give the title optically active compound 66 (optically active 3,3°-bis(3,4,5-trifluoropheny)-4,5,6.4°,5°-hexabenzy/oxydiphenate dimethyl ester) (0.15 g. 0.129 mmol / yield: 72%). The NMR spectrum of the obtained optically active compound 66 is shown in Table 34.

Table 34

NMR spectrum of optically active compound 66

400 MHz ¹H NMR (CDCl₃): 5 7.36-7.21 (22H, m, Ar-H), 7.05-6.96 (8H, m, Ar-H), 6.65 (4H, m, Ar-H), 5.21 (2H, d, J = 11.2 Hz, ArCH₂O), 5.10 (4H, dd, J = 10.8 Hz, J = 3.4 Hz, ArCH₂O), 4.96 (4H, dd, J = 10.7 Hz, J = 2.5 Hz, ArCH₂O), 4.84 (2H, d, J = 10.8 Hz, ArCH₂O), 3.27 (6H, s, C O₂OH₃).

<Reference Example 32: Synthesis of starting material (optically active compound 67) for synthesizing quaternary ammonium salt>

[0242]

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[0243] LIAIH, (36 mg, 0.9 mmol) was added to a solution of the optically active compound 66 obtained in Reference Example 31 (150 mg, 0.129 mmol) in CPME (5 mL) at -10°C. The reaction mixture was stirred at 0°C for three hours, and then 1 N cold HCI was added carefully. The mixture was extracted with ethyl acetate. The organic layer was dried over Na₂SO₄ and concentrated under reduced pressure. The title optically active compound 67 (optically active 3.3 bis (3.4.5-tffluoropheny)-4.5,6.4.5;6.4-bcxabenzyloxybiphenyl-2.2 dimethanol) (149 mg, 0.129 mmol) was obtained in a quantitative vield. The NMR sectum of the obtained obtained wide compound 67 is show in Table 35.

Table 35

NMR spectrum of optically active compound 67

400 MHz ¹H NMR (CDCl₂) : 87.37-7.24 (22H, m, Ar-H), 7.04-6.87 (10H, m, Ar-H), 6.77 (2H, m, Ar-H), 5.12-5.01 (8H, m, ArCH₂O), 4.86-4.81 (4H, dd, J = 11.0 Hz, J = 7.0 Hz, ArCH₂O), 4.07 (2H, d, J = 11.4 Hz, ArCH₂O), 3.97 (2H, d, J = 11.4 Hz, ArCH₂O)

<Reference Example 33: Synthesis of starting material (optically active compound 68) for synthesizing quaternary ammonium salt>

15 [0244]

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Optically active compound 67 Optically active compound 68

[0245] Phosphorus tribromide (0.034 m_, 0.54 mmol) was added to a solution of the optically active compound 67 obtained in Reference Example 32 (100 mg, 0.00 mmol) in CPME (3 mL) at 0°C. The reaction mixture was stirred at 0°C for four hours. A saturated aqueous NaH-CO₃ solution was then added, and the mixture was extracted with eithyl acetate. The organic layer was ofted over Na₂-SO₃ and concentrated under reduced pressure. The title optically active compound 68 (optically active 3.3-bis(3.45-fittioophenyl-4.56-4/6.5f-ehastemycoxipibenyl-22-d intently bro-mide) (69 mg, 0.056 mmol /yield: 62%) was obtained. The NMR spectrum of the obtained optically active compound 68 is shown in Table 36.

Table 36

NMR spectrum of optically active compound 68

400 MHz ¹H NMR (CDCl₃): 67.34-7.23 (22H, m, Ar-H), 6.98 (8H, d, *J* = 6.5 Hz, Ar-H), 6.82-6.71 (4H, m, Ar-H), 5.18 (2H, d, *J* = 11.9 Hz, ArCH₃), 5.07-5.00 (6H, m, ArCH₃), 4.93-4.86 (4H, m, ArCH₃), 3.96 (4H, m, ArCH₃).

<Example 16: Synthesis of quaternary ammonium salt (optically active compound 69)>

[0246]

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Optically active compound 68 Optically active compound 69

[0247] Dibutylamine (0.011 ml., 0.062 mmol) was added to a suspension of potassium carbonate (12 mg, 0.084 mmol) and the optically active compound 68 obtained in Reference Example 38 (69 mg, 0.065 mmol) in acetohithic (8 mJ) under a nitrogen atmosphere. This reaction mixture was heated at 80°C for three hours, and then poured into water. The mixture was extracted with ethyl acetate. The organic layer was dried over Na₂SO₂ and concentrated under reduced pressure. The reciduce was purified by sliftic age fourthm chromatography (methanol/tolene = 1/5 as eluent) to give the title optically active quaternary armonium bromide (optically active compound 69) (71 mg, 0.055 mmol / yield: 98%). The NMR spectrum of the optically active compound 69 obtained in this example is shown in Table 3 shown in T

Table 37

NMR spectrum of optically active compound 69 obtained in Example 16

400 MHz ¹H NMR (CDCl₃) 8 7.45-7.13 (28H, m, Ar-H), 6.96 (4H, m, Ar-H), 6.81 (2H, m, Ar-H), 5.34 (2H, d, J = 11.1 Hz, ArCH₂O), 4.98 (4H, dd, J = 4.2, 6.9 Hz, ArCH₂O), 5.11 (2H, d, J = 11.2 Hz, ArCH₂O), 4.98 (4H, dd, J = 4.2, 6.9 Hz, ArCH₂O), 3.98 (2H, dd, J = 13.5 Hz, ArCH₂O), 2.87 (2H, m, NCH₂), 2.61 (2H, m, NCH₂), 1.15-1.00 (6H, m, CH₂), 0.75 (6H, t, J = 7.0 Hz, CH₃), 0.21 (2H, m, CH₂).

<Reference Example 34: Synthesis of starting material (compound 71) for synthesizing quaternary ammonium salt>

[0248]

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MeO
$$CO_2H$$
 $\frac{Mel}{acetone}$ MeO CO_2Me

[0249] Potassium carbonate (4.15 g, 30 mmol) and methyl iodide (5.60 ml, 90 mmol) were addied to a solution of 3,5dimethyl-4-methoxylcenzoic acid (compound 70) (2.70g, 15 mmol) in acotone (27 ml.). This reaction mixture was refluxed for five hours, and then poured into water. The mixture was extracted with ethyl acetate. The organic layer was dried over Na₂SO₄ and concentrated under reduced pressure. The title compound 71 (3,5-dimethyl-4-methoxylcenzoate methyl ester) (2.91 g) was obtained in a quantitative yield. The MMR spectrum of the compound 71 is shown in Table 38.

Table 38 NMR spectrum of compound 61

400 MHz ¹H NMR (CDCl₃) δ7.71 (2H, s, Ar-H), 3.88 (3H, s, OCH₃), 3.75 (6H, s, OCH₃), 2.31 (6H, s, CH₃).

< Reference Example 35: Synthesis of starting material (compound 72) for synthesizing guaternary ammonium salt>

[0250]

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[0251] Bromine (6.15 mL, 120 mmol) was added dropwise to a solution of the compound 71 obtained in Reference Example 34 (2.91 g, 15 mmol) in CH₂CN (30 mL) at - 10°C. This solution was stirred at room temperature for five hours and then a saturated aqueous Na₂SO₂ solution was added therefor. After extraction with ethyl scelate, the organic layer was dried over Na₂SO₄ and concentrated under reduced pressure. The title compound 72 (2-bromo-3,5-dimethyl-4methoxybenzoate methyl ester) (4.10 g, 15 mmol) was obtained in a quantitative yield. The NMR spectrum of compound 72 is shown in Table 39.

Table 39

NMR spectrum of compound 72

400 MHz ¹H NMR (CDCl₃) δ 7.41 (1H, s, Ar-H), 3.91 (3H, s, OCH₃), 3.71 (3H, s, OCH₃), 2.40 (3H, s, CH₃), 2.26 (3H, s, CH₂).

< Reference Example 36: Synthesis of starting material (compound 73) for synthesizing quaternary ammonium salt>

[0252]

[0253] Under an argon atmosphere, activated Cu powder (3.81 g, 60 mmol) was added to a solution of the compound 72 obtained in Reference Example 35 (4.10 g, 15 mmol) DMF (25 mL). After deaeration, this was heated to a gentl reflux. After four hours of heating under reflux, the reaction mixture was filtered. Then, 1 N HCI solution was added to the filtrate and the mixture was extracted with ethyl acetate. The organic layer was washed with saturated saline, dired over Na₂SO₄, and then concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane/ethyl acetate = 57 is as eluent) to give the title compound 73 (4.6.4° -letramethyl-55-dimethoxydiphenate dimethyl ester) (2.27 g, 5.87 mmol / yield: 78%). The NMR spectrum of the obtained compound 73 is shown in Table 40.

Table 40

NMR spectrum of compound 73

400 MHz ¹H NMR (CDCl₃) 8 7.72 (2H, s, Ar-H), 3.75 (6H, s, OCH₃), 3.56 (6H, s, OCH₃), 2.36 (6H, s, CH₃), 1.80 (6H, s, CH₃).

<Reference Example 37: Synthesis of starting material (compound 74) for synthesizing guaternary ammonium salt>

[0254]

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[0255] To a solution of the compound 73 obtained in Reference Example 38 (0.43 g, 1.1 mm0j) in methanol (10 mL), 8 N KOH aqueous solution (4 mL) was added dropwise. The reaction mixture was refluxed for five hours, and then the methanol was removed under reduced pressure. To the residue was added 2 N hydrochloric acid funtil the mixture turned acidic. The mixture was extracted with ethyl acetate. The organic layer was dried over Na₂SO₄, and then concentrated under reduced pressure. The title compound 74 (a.6.4 fb -tertamethyl-5.5-dimethoxydiphenic acid) (0.39 g, 1.1 mmol) was obtained in quantitative yield. The NNR spectrum of the obtained compound 74 is shown in Table 41.

Table 41

NMR spectrum of compound 74

400 MHz ¹H NMR (CDCl₂) δ7.72 (2H, s, Ar-H), 3.72 (6H, s, OCH₂), 2.36 (6H, s, CH₂), 1.75 (6H, s, CH₂).

<Reference Example 38: Synthesis of starting material (optically active compound 74) for synthesizing quaternary ammonium sait>

[0256]

MeO
$$CO_2H$$
 Quinidine H^* CO_2H $AcOEt$ MeO CO_2H CO_2H $AcOEt$ MeO CO_2H MeO CO_2

6 [0257] Quinidine (0.71 g, 38.7 mmol) was added to a solution of the compound 74 obtained in Reference Example 37 (0.39 g, 1.1 mmol) in eithyl acetate (4 mL). The reaction mixture was refluxed for one hour and then cooled slowly to 0°C. The precipitated crystals were collected by filtration, washed with ethyl acetate and dried to give the bisquinidine sati of 4.6.4°6°-ferramethy-5.5°-dimethoxydiohenic acid (0.50 g). Ethyl acetate (10 mL) and 1 N HCl agueous solution

(20 mL), were added thereto and the mixture was stirred at room temperature for one hour. The mixture was extracted with ethyl acetate and the organic layer was dried over Na₂SO₄ and concentrated under reduced pressure to give the title optically active compound 74 (optically active 4,6,4,6"-tetramenty/4,5,5-dimethoxyliphenic acid) (0.16 g, 0.45 mmol

[0258] The enantiomeric excess was analyzed by HPLC (Daicel Chiralcel AD-H, hexane/2-propanol/TFA = 95:5:0.1, flow rate 0.7 mL/min, retention time: 16.6 min (minor), 34.1 min (major)). The optical purity of the optically active compound 74 was 99% e.

<Reference Example 39: Synthesis of starting material (optically active compound 75) for synthesizing quaternary ammonium salt>

[0259]

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Optically active compound 74 Optically active compound 73

Optically active compound 75

[0260] Potassium carbonate (247 mg, 1.79 mmol) and methyl iodide (556 μ L, 8.92 mmol) were added to a solution of the optically active compound 74 obtained in Reference Example 38 (0.16 g, 0.45 mmol) in acetone (5 mL). This reaction mixture was heated under reflux for five hours, and then a suturated NaHCO₂ solution was added to the reaction mixture. Extraction was then performed with ethyl acetate. The organic layer was dried over Na₂SO₄ and concentrated under reduced pressure. The optically active compound 73 (optically active 4,6.4/6-tetramethyl-5,5-dimethoxydiphenate dimethyl estable (0.17 o. 0.45 mmol) was obtained in a quantitative vield.

[0251] Bromine (459 µL, 8.92 mmol) was added dropwise to a solution of the optically active compound 73 obtained above (0.17 g, 0.45 mmol) in CH₃CN (5 mL) at 0°C. The reaction mixture was stirred at room temperature for five hours and then poured into a saturated aqueous Na₂SO₃ solution and the mixture was extracted with ethyl acetate. The organic layer was dried over Na₂SO₄ and concentrated under reduced pressure. The title optically active compound 75 (optically active 3.3°-dibromo-4,6.4°-d-tertamethyl-5.5°-dimethicyrdiphenate dimethyl ester) (0.24 g, 0.45 mmol) was obtained in a quantitative vield. The NMB sostcum of the obtained obtically active compound 75 is shown in Table 4.2.

Table 42

NMR spectrum of optically active compound 75

400 MHz ¹H NMR (CDCl₃) 83.71 (6H, s, CCH₃), 8.59 (6H, s, CCH₃), 2.42 (6H, s, CH₃), 1.90 (6H, s, CH₃)

<Reference Example 40: Synthesis of starting material (optically active compound 76) for synthesizing quaternary ammonium salt>

[0262]

[0283] A mixture of the optically active compound 75 obtained in Reference Example 39 (0.24 g, 0.45 mmol), 3.4.5trifluorophenylboronic acid (236 mg, 1.34 mmol), palladium acetate (20 mg, 0.089 mmol), trio-tolylybosphine (109 mg, 0.36 mmol), sodium methoxide (72 mg, 1.34 mmol), and DME (4 mL) was stirred with heating at 85°C under an argon atmosphere. The disappearance of the starting material was confirmed by TLC, and then the suspension was filtered. To the filtrate was added a 1 N HCI solution, and the mixture was extracted with earthy acetate. The organic layer was washed with saturated saline, dried over Na₂SO₂, and then concentrated under reduced pressure. The residue was purified by silica gel column chromatography (hexane/ethyl acetate = 15/1 as eluent) to give the title optically active compound 76 (optically active 3.3°-bis(3.4.5-trifluorophenyl)-4.6, 4.6°-tetramethyl-5.5°-dimethoxy diphenate dimethyl ester) (194 mg, 0.285 mmol / yield: 64%). The NMR spectrum of the obtained optically active compound 76 is shown in Table 43.

Table 43

NMR spectrum of optically active compound 76 400 MHz ¹H NMR (CDCI₂) & 6.84 (4H. m. Ar-H), 3.76 (6H. s, OCH₂), 3.21 (6H. s, OCH₂), 2.36 (6H. s, CH₂), 1.80

<Reference Example 41: Synthesis of starting material (optically active compound 77) for synthesizing quaternary ammonium salt>

[0264]

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(6H, s, CH₃).

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[0265] LIAIH, (64 mg, 1.42 mmol) was added to a solution of the optically active compound 76 obtained in Reference Example 40 (164 mg, 0.285 mmol) in CPME (3 ml), a 1-10°. The reaction mixture was stirred at 0°C for three hours, and then 1 N cold HCI was added carefully, and the mixture was extracted with thetyl acetate. The organic layer was

Optically active compound 77

Optically active compound 76

dried over Na_2SO_4 and concentrated under reduced pressure. The title optically active compound 77 (optically active 3.3° bis(3,4.5-trifluorophenyl)+4,6.4°.6"-tetramethyl-5.5"-dimethoxyliphenyl-2.2"-dimethanol) (168 mg, 0.285 mmol) was obtained in a ounitative vield. The NMR spectrum of the obtained obtained over compound 77 is shown in Table 44.

Table 44

NMR spectrum of optically active compound 77

400 MHz ¹H NMR (CDCl₃) δ 7.06 (2H, m, Ar-H), 6.82 (2H, m, Ar-H), 3.93 (4H, s, ArCH₂O), 3.73 (6H, s, OCH₃), 3.27 (2H, s, OH), 2.02 (6H, s, CH₃), 1.83 (6H, s, CH₃).

<Reference Example 42: Synthesis of starting material (optically active compound 78) for synthesizing quaternary ammonium salt>

[0266]

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[0267] Phosphorus tribromide (0.134 mL, 1.42 mmol) was added to a solution of the optically active compound 77 obtained in Reference Example 41 (168 mg, 0.285 mmol) in CPME (3 mL) at 0°C. The reaction mixture was stirred at 0°C to four hours. A saturated aqueous Nat-CO₃ solution was then added and the mixture was extracted with ethyl acetate. The organic layer was dried over Na₂SO₄ and concentrated under reduced pressure. The title optically active compount 78 (optically active 3,5-bis(3,45,-frithropopheny)-4,6-fg-featremothy-6,5-dimethoxylopheny-4,2-dimethyl bromide) (204 mg, 0.285 mmol) was obtained in a quantitative yield. The NMR spectrum of the obtained optically active compound 78 is shown in Table 45.

Table 45

NMR spectrum of optically active compound 78

400 MHz ¹H NMR (CDCl₃) & 6.96 (4H, m, Ar-H), 3.91 (2H, d, J= 10.0 Hz, ArCH₂Br), 3.83 (2H, d, J= 10.0 Hz, ArCH₂Br), 3.78 (6H, s, OCH₃), 2.02 (12H, s, CH₃).

<Example 17: Synthesis of quaternary ammonium salt (optically active compound 79)>

[0268]

[0269] Dibulylamine (0.0728 m.L, 0.427 mmol) was added to a suspension of potassium carbonate (157 mg, 1.14 mmol) and the optically active compound 78 obtained in Reference Example 42 (204 mg, 0.285 mmol) in acteothirlie (3 mL) under a nitrogen atmosphere. This reaction mixture was heated at 80°C for three hours. Then, this was poured into water, and then the mixture was extracted with ethyl acetate. The organic layer was dried over Na₂SO₄ and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (methanol/ethyl acetate 1.76 as eluent) to give the title optically active quaternary ammonium bromide (optically active compound 79) (132 mg, 0.173 mmol / yield: 61%). The NMR spectrum of the optically active compound 79 that was obtained in this example is shown in Table 46.

Table 46

NMR spectrum of optically active compound 79 obatined in Example 17

400 MHz. ¹H NMR (CDC₃) 8 7.24 (2H, m, Ar-H), 6.97 (2H, m, Ar-H), 4.19 (2H, d, J= 13.5 Hz, ArCH₂N), 3.88 (6H, s, OCH₃), 3.80 (2H, d, J= 13.6 Hz, ArCH₂N), 2.93 (2H, m, NCH₂), 2.66 (2H, m, NCH₂), 2.13 (6H, s, CH₃), 2.12 (6H, s, CH₃), 1.15-1.00 (6H, m, CH₃), 0.31 (6H, t, J= 8.7 Hz, CH₃), 0.38 (2H, m, CH₃).

<Example 18: Synthesis of quaternary ammonium salt (compound (R)-80)>

[0270]

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[0271] Dioctadecylamine (104 mg, 0.2 mmol) was added to a suspension of potassium carbonata (35 mg, 0.4 mmol) and the compound (R)-48 obtained in Reference Example 15 (156 mg, 0.2 mmol) in acetomitrie (6 mL) under a nitrogen atmosphere. This reaction mixture was heated at 80°C for ten hours. Then, this was poured into water and the mixture was extracted with ethyl acetate. The organic layer was dried over Na,SO₄ and concentrated under reduced pressure.

The residue was purified by silica gel column chromatography (methanol/toluene = 1/5 as eluent) to give the title optically active quaternary ammonium bromide (compound (R)-80) (R-form) (200 mg, 0.164 mmol /yield: 82%). The NMR spectrum of the obtained compound (R)-80 is shown in Table 47.

Table 47

NMR spectrum of compound (R)-80 obtained in Example 18

400 MHz ¹H NMR (CDCl₃) 6 7.26 (2H; s, Ar-H), 7.00 (2H, s, Ar-H), 4.30 (2H, d, J = 13.4 Hz, ArCH,N), 4.03 (6H, s, OCH₃), 3.93 (6H, s, OCH₃), 3.97 (2H, d, J = 13.4 Hz, ArCH,N), 3.75 (6H, s, OCH₃), 2.84 (4H, m, NCH₂), 1.30-1.03 (62H, m, CH₃), 0.88 (6H, L, T-O Hz, CH₃) 0.23 (2H, m, CH₃)

<Example 19: Synthesis of guaternary ammonium salt (compound (R.R)-82)>

[0272]

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[0273] To a suspension of potassium carbonate (207 mg, 1.5 mmol) and the compound (R)-48 obtained in Reference Example 15 (390 mg, 0.5 mmol)) in a celtontrile (20 mL), (R)-3.5-dillydro-4H-dinaphth [2.1-c:12*-e]azepine (compound (R)-81) (148 mg, 0.5 mmol) was added under a nitrogen atmosphere. This reaction mixture was heated at 80°C for two hours. Then, this was poured into water and then the mixture was extracted with ethyl acetate. The organic layer was dried over Na₂SO₄ and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (methanol/tolluene = 1/4 as eluent) to give the title optically active quaternary ammonium bromide (compound (R,R)-82) (R,R-form) (0.48 g, 0.48 mmol / yield: 96%). The NMR spectrum of the obtained compound (R,R)-82 is shown in Table 48.

Table 48

NMR spectrum of compound (R.R)-82 obtained in Example 19

400 MHz ¹H NMR (CDCl₃) § 7.92 (2H, d, J = 8.2 Hz, Ar-H), 7.56-7.49 (4H, m, Ar-H), 7.27-7.10 (6H, m, Ar-H), 6.79 (4H, m, Ar-H), 6.46 (2H, d, J = 8.4 Hz, Ar-H), 4.68 (2H, d, J = 18.7 Hz, ArCH₂N), 4.41 (4H, t, J = 14.0 Hz, ArCH₂N), 4.10 (6H, s, OCH₃), 3.90 (6H, s, OCH₃), 3.90

<Example 20: Confirmation of α-benzylation of glycine (90)>

[0274]

[0275] A mixture of the compound (S)-40 obtained in Example 13 (1 mol%; phase-transfer catalyst) and benzy toronide (1.5 equivalents, 8 pl. L, 0.3 mm) as the compound represented by 18-W in the above formula was added to a mixture of 150% KOH aqueous solution (1 mt) and a toluene solution (1.5 mt) of N-(biphenymethylene)glycine text-buryl ester (compound 20) (59.1 mg, 0.2 mmol), and this was attirred vigorously at room temperature under an argon atmosphere. Completion of the reaction was confirmed by TLC, and then the reaction mixture was poured into water and the mixture was extracted with other. The organic extract was washed with saline and dried over Na₂SO₄. The solvent was evaporated under reduced pressure, and then the residual of was purified by silica gel column chromategraphy (teherhexane = 1/10 as eluent) to give the corresponding compound 21 ((R)-tert-buryl N-(diphenylmethylene)phenylalanine) (7.5 mg, 0.196 mmol /ylade 39%). The optical purity of the compound 21 obtained in this example was analyzed by HPL (C)lacide Christacle OD; eluent: hexane2-propanol = 100/1, flow rate 0.5 mL/mix, retention time: (R)-form = 14.8 min, (S)-form = 28.2 min). The orotical purity of the compound 21 obtained in this example.

<Example 21: Confirmation of α-benzylation of clycine (91)>

5 [0276]

5 (2277) A mixture of the compound (5)-41 obtained in Example 14 (1 mol%; phase-transfer catalyst) and beazy libromide (1,5 equivalents, 36 µL, 0.3 mnot) as the compound represented by R^{18-W} in the above formula was added to a mixture of 50% KOH aquacus solution (1 mL) and a toluene solution (1.5 mL) of N-(biphenyimethylene)glycine ter-budy lester (compound 20) (69.1 mg, 0.2 mmol), and this was stirred vigorously at room temperative under an argon atmosphere. Completion of the reaction was confirmed by 17.C, and then the reaction mixture was poured into water and the mixture of was extracted with either. The organic extract was washed with saline and dried over Na₂SQ₂. The solvent was evaporated under reduced pressure, and then the residued oil was purified by silica get column chromatography (either/bexane = 1/10 as eluent) to give the corresponding compound 21 ((6)-ter-budy N-(diphenyimethylene)phenyilatenine) (76.3 mg, 0.18 mmol yield 99%). The optical putrity for the compound 21 obtained in this example was analyzed by HPLC (GB) (2006). Childradei OD; eluent hexane2-propanol = 100/1, flow rate 0.5 mL/mir, retention time (R)-form = 14.8 min, (S)-form = 2.8.2 min, The colicial putry for the compound 21 obtained in this example was sense 98% e.e.

<Example 22: Confirmation of a-benzylation of glycine (92)>

[0278]

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[0279] A mixture of the optically active compound 59 obtained in Example 15 (0.05 mo%, phase-transfer catalyst) and benzyl bromfice (1.05 equivarients, 250 µL, 21 mmo) as the compound represented by H8.W in the above formula was added to a mixture of 48% KOH aqueous solution (6.7 mL) and a toluene solution (6.7 mL) of N-(biphenylmethylene) glycine tetr-buryl ester (590 mg, 2 mmol), and this was stirred vigorously at 0°C. Completion of the reaction was confirmed by TLC, and then the reaction mixture was poured into water and the mixture was extracted with eithyl acetals. The organic extract was washed with saline and dried over Na₂SO₄. The solvent was evaporated under reduced pressure to give (R)-tert-butyl N-(diphenylmethylene) phenylalanine (0.72 g. 1.86 mmol / yield: 93%). The optical purity of the obtained (R)-tert-butyl N-(diphenylmethylene)-benylalanine was analyzed by HPLC [Daicel Chiralcel OD-H; eluent hexane2-propanol = 1001, flow rate 1 mL/mir; retention time: (R)-form = 9.2 min, (S)-form = 15.6 min]. The optical purity of the compound 21 obtained in this example was 97% ex-

<Example 23: Confirmation of α-benzylation of glycine (93)>

[0280]

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[0281] A mixture of the optically active compound 69 obtained in Example 16 (0.05 mol%; phase-transfer catalyst) and benzyl bromide (1.05 equivalents, 250 µL, 2.1 mmol) as the compound represented by R^{18.} Win the above formula was added to a mixture of 48% KOH aqueous solution (6.7 mL) and a toluene solution (6.7 mL) of N-(ophenyimethylene) glycine tetr-buryl ester (590 mg, 2 mmol), and this was stirred vigorously at 0°C. Completion of the reaction was confirmed by TLC, and then the reaction mixture was poured into water and the mixture was extracted with eithyl acetals. The organic extract was washed with saline and dried over Na₂SO₄. The solvent was evaporated under reduced pressure to give (R)-ter-buryl N-(diphenyimethylene) phenylatanine (0.81 g. 1.67 mmol / yeld: 84%). The optical purity of the (S)-ter-buryl N-(diphenyimethylene) phenylatanine thus obtained was analyzed by HPLC [Daicel Chiralcel OD-H; eluenthexanez-propanol = 1001, flow rate 1 mL/mix; retention time: (R)-form = 9.2 min, (S)-form = 15.6 min]. The optical purity of the compound 12 to bathed in this example was 90% ex-

<Example 24: Confirmation of α-benzylation of glycine (94)>

[0282]

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[0283] A mixture of the optically active compound 79 obtained in Example 17 (0.05 mol%; phase-transfer catalyst) and benzyl bromide (1.05 equivalents, 250 µL, 2.1 mmol) as the compound represented by R1-8. W in the above formula was added to a mixture of 48% KOH aqueous solution (6.7 mL) and a toluene solution (6.7 mL) of N-(biphenyinrethylene) glycine tetr-buryl ester (580 mg, 2 mmol), and this was sitred vigorously at 0°C. Completion of the reaction was confirmed by TLC, and then the reaction mixture was poursed into water and the mixture was extracted with eithyl acetalar. The organic extract was washed with saline and dried over Na₂SO₄. The solvent was evaporated under reduced pressure to give (8)-tart-buryl N-(diphenyimethylene) phenylalanine (0.76 g. 1.72 mmol / yield: 86%). The optical purity of the obtained (6)-tart-buryl N-(diphenyimethylene) phenylalanine was analyzed by HPLC [Daicel Chrisclel OD-H; eluent: hexane2-propanol = 1001, flow rate 1 mL/mir; retention time: (R)-form = 9.2 min, (S)-form = 16.6 min]. The optical purity of the optical pourity of the optical pourity

<Example 25: Confirmation of α-benzylation of glycine (95)>

[0284]

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[0285] A mixture of the compound (R)-80 obtained in Example 18 (0.05 mol%; phase-transfer catalyst) and benzyl bromide (1.05 equivalents, 250µ.L, 2.1 mmol) as the compound represented by R18. Win the above formula was added to a mixture of 48% KOH aqueous solution (6.7 mL) and a toluene solution (6.7 mL) of N-(bipheny/methylene)glycine terr-budy) ester (590 mg, 2 mmol), and this was stirred vigorously at 0°C. Completion of the reaction was confirmed by TLC, and then the reaction mixture was poured into water and the mixture was extracted with ethyl actetal. The organic extract was washed with saline and dried over Na₂SO₄. The solvent was evaporated under reduced pressure to give (S)-tert-butyl N-(dipheny/methylene) phenylalanine (0.75 g, 1.82 mmol /yield: 91%). The optical purity of the obtained (S)-tert-butyl Oxida (S)-tert-butyl Ox

<Example 26: Confirmation of α-benzylation of glycine (96)>

[0286]

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[0287] A mixture of the compound (R,R)+82 obtained in Example 19 (0.5 moPs, phase-transfer cetalyst) and benzyl formide (1.05 equivalents, 250 µL, 2.1 mmol) as the compound represented by R¹⁸-Wi in the above formula was added to a mixture of 48% KOH aqueous solution (6.7 mL) and a toluene solution (6.7 mL) of N-(bjcheny/metrlylene) glycine ter-butyl ester (590 mg, 2 mmol), and this was stirred vigorously at 0°C. Completion of the reaction mixture was poured into water and the mixture was extracted with ethyl actesta. The organic extract was washed with saline and dried over Na₂SO₂. The solvent was evaporated under reduced pressure to give (S)-tert-butyl N-(dipheny/metrlylene)pheny/alanine (0.87 g, 2.0 mmol) in a quantitative yield. The optical purity of the obtained (S)-tert-butyl N-(dipheny/metrlylene)phenylalanine was analyzed by HPLC [Daloet Christical OD-H; eluent: hexanet-2-propanol = 1001, flow rate 1 mL/mir; retention time; (R)-form = 9.2 min, (S)-form = 15.6 min). The optical purity of the optical portify of the optical propriet of the terminal purity of the optical purity optical purity of the optical purity of the optical purity of

<Reference Example 43: Synthesis of starting material (compound 109a) for synthesizing quaternary ammonium salt>

[0288]

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[0289] A mixture of the compound 8a obtained in Reference Example 5 (0.26 g. 0.5 mmol), 3,5-bis(influoromethy) benonic acid (0.615 g. 2.0 mmol), palladium acette (0.025 g. 0.1 mmol), 1-d-olyhphosphine (0.122 g. 0.40 mmol), potassium phosphate n-hydrate (1.27 g. 6.0 mmol), and THF (7 ml.) was stirred with heating at 75°C under an argon atmosphere. The despeparance of the starting material was confirmed by TLC, and then the suspension was filtered through alumins and Na₂SQ₄. The pad was washed with eithyl acetate, and then the organic layer was washed with 1 N hydrochloric acid. The organic layer was then concentrated under reduced pressure. The residue was purified by siting gel column chromatography (hexane/ethyl scetate = 10¹1 and 67 is a eluent) to give the title compound 108a (35-3) siting gle column chromatography (hexane/ethyl scetate = 10¹1 and 67 is a eluent) to give the title compound 108a (35-3).

Table 49

NMR spectrum of compound 109a

400 MHz ¹H NMR (CDCl₃) § 7.87 (2H, s, Ar-H), 7.75 (4H, d, J = 20 Hz, Ar-H), 3.95 (6H, s, OMe), 3.80 (6H, s, OMe) 3.71 (6H, s, OMe), 1.71 (6H, s, CH₃)

<Reference Example 44: Synthesis of starting material (compound 110a) for synthesizing quaternary ammonium salts</p>
[0290]

[0291] A solution of the compound 109a obtained in Reference Example 43 (0.079 g, 0.1 mmol), N-bromosuccinimide (0.04 g, 0.22 mmol), and 2,2*azobisisobutyronitrile (AIBN, 0.0033 g, 0.02 mmol) in benzene (5 mL) was heated under reflux for four hours. A saturated aqueous Na₂SO₃ solution was added thereto to quench the reaction, and the mixture was extracted with ether. The organic layer was dried over Na₂SO₄, and was concentrated under reduced pressure.

The residue was purified by silica gel column chromatography (hexane/ethyl acetate = 5/1 as eluent) to give the title compound 110a ((5)-3,3'-5)sis(3,5-bis(fritiuoromethylphenyl)-4,5,6,4',5',5'-b-axamethoxybiphenyl-2.2'-dimethyl bromide) (0,094 g. 0.1 mmol) in a quantitative yield. The NMR spectrum of the obtained compound 110a is shown in Table 50.

Table 50

NMR spectrum of compound 110a

400 MHz ¹H NMR (ODOl₃) δ 7.95 (4H, d, J = 13 Hz, Ar-H), 7.87 (2H, s, Ar-H), 3.98 (6H, s, OMe), 3.80-3.95 (10H, m, OMe+CH₂Br), 3.73 (6H, s, OMe)

<Example 27: Synthesis of quaternary ammonium salt ((S)-111)>

[0292]

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CF₃

MeO OMe CF₃

MeO OMe CF₃

MeO OMe CF₃

[0283]. Dibulylamine (0.025 mL, 0.15 mmol) was added to a suspension of the compound 110e obtained in Reference Example 44 (0.094 g, 0.10 mmol) and potassium carbonate (0.0166 g, 0.12 mmol) in acetonitrile (10 mL) under an argon atmosphere. This reaction mixture was heated at 80°C for 10 hours. Then, this was poured into a 1 N HBr aqueous solution and the mixture was extracted with CH₂Cl₂. The organic layer was dried over Na₂SO₂ and concentrated under reduced pressure. The residue was purified by slicing age loclumen chromatography (methaniOH₂Cl₂C₂ = 1202 and 1/10 as eluent) to give the title optically active quaternary ammonium bromide (compound (S)-111) (S-form) (0.088 g, 0.088 mmol / yleid: \$89%).

<Reference Example 45: Synthesis of starting material (compound (S)-108) for synthesizing quaternary ammonium salt>

102941

[0295] Phosphorus tribromide (0.095 mL, 1.0 mmol) was added to a solution of compound 5a obtained in Reference Example 3 (0.131 g. 0.33 mmol) in CH₂Cl₂ [5 mL) at 0°C. The reaction mixture was stirred at room temperature for five hours. Then, the reaction was quenched with water and the mixture was extracted with hexane/ethyl acetate (1/1). This was dried over Na₂SO₂ and concentrated under reduced pressure to give the crude compound 105b.

[0296] Then, the entire quantity of the crude compound 105b obtained above and p-methoxybenzylamine were dissolved in THF and the solution was stirred overnight. After the disappearance of 105b was confirmed, the mixture was concentrated to give the crude 107b (0.161 g, 0.255 mml, lyeld; 98%).

[0297] To a solution of the entire quantity of the crude 107b obtained above in MeOH (5 mL), was added 10% Pd/C (30 mg), and stirred under a hydrogen atmosphere overnight. After filtration through Ceitle, the filtrate was concentrated, and the residue was purified by slica gel column chromatography (methanol/CH₂Cl₂ = 1/1 as eluent) to give the title optically active secondary amine (5)-108 (5-6m) (0.118 g. 0.31 mmol / yield : 95%).

<Example 28: Synthesis of quaternary ammonium salt ((S.S)-112)>

[0298]

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[0299] Phosphonus tribromide (0.038 ml, 0.4 mmol) was added to a solution of compound 55 obtained in Reference Example 9 (0.131 g, 0.2 mmol) in CH $_2$ Cl $_2$ (5 ml.) at 0°C. The reaction mixture was stirred at room temperature for one hour. Then, the reaction was quenched with water and the mixture was extracted with ether. The organic layer was washed with saline, dried over Na $_2$ SO $_4$ and concentrated under reduced pressure to give the crude compound 6b quantitatively.

[0300] Then, part of the crude compound 6b (0.0245 g, 0.031 mmol) was transferred to a suspension of potassium carbonate (0.069 g, 0.5 mmol) and the secondary amine (§)-106 obtained in Reference Example 45 (0.014 g, 0.038 mmol) in accoloritie (2 mL) under an argon atmosphere. Then, this mixture was heated at 40°C for 10 hours. The reaction mixture was then poured into 1 N HBr to quench the reaction. The mixture was extracted with CH₂Cl₂. The organic layer was dried over Na₂SO₄ and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (methanol/CH₂Cl₂ = 1/10 as eluent) to give the title optically active quaternary ammonium bromide (compound (S,S)-112) (S,S-form) (0.029 g, 0.027 mmol / yield: 87%). The mass spectrum of the obtained compound 112 was as follows: Wi-99-446.

<Example 29: Confirmation of α-benzylation of glycine (211)>

[0301]

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[0302] A mixture of the compound (S)-111 obtained in Example 27 (1 mol%; phase-transfer catalyst) and benzyl bornide (1.5 equivalents, 38 pt., 0.3 mmol) as the compound represented by R¹⁸⁻¹⁰ in the above formula was added to a mixture of 50% KOH aqueous solution (1 mL) and a toluene solution (1.5 mL) of N-(biphenylmethylene)gy/cine tert-butyl ester (compound 20) (59.1 mg, 0.2 mmol), and the mixture was stirred vigorously at 0°C under an argon atmosphere. Completion of the reaction was confirmed by TLC, and then the reaction mixture was poured into water and the mixture was extracted with other. The organic extract was washed with saline and dried over Na₂SO₄. The solvent was evaporated under reduced pressure, and then the residual of was purified by silica gel column chromatography (teher/bexane = 1/10 as eluent) to give the corresponding compound 21 ((R)-tert-butyl N-(diphenylmethylene) phenylatanine) (68.5 mg, 0.178 mmor) (yeld: 38%). The optical purity of the compound 21 obtained in this example was analyzed by HPLC (Diacid Chiralcol OD; eluent: hexane/2-propanol = 100/1, flow rate 0.5 mL/min; retention time: (R)-form = 14.8 min, (S)-form = 28.2 min). The orotical purity of the compound 21 obtained in this example was 58%.

<Example 30: Confirmation of α-benzylation of glycine (212)>

[0303]

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[0304] A mixture of the compound (S,S)-112 obtained in Example 28 (1 m0%; phase-transfer catalyst) and benzyl bromide (1.5 equivalents, 38 g.l., 0.3 mmo) as the compound represented by R¹⁸(v) in the above formula was added to a mixture of 50% KOH aqueous solution (1 mL) and a toluene solution (1.5 mL) of N-(biphenylmethylene)glycine tert-bulyl ester (compound 20) (63.1 mg, 0.2 mmol), and the mixture was stirred vigorously at room temperature under an argon atmosphere. Completion of the reaction was confirmed by TLC, and then the reaction mixture was poured into water and the mixture was extracted with ether. The organic extract was washed with saline and dried over Na₂SQ₄. The solvent was evaporated under reduced or rescue, and then the recibial oil was our gunffeet by silice ace column chro-

matography (ether/hexane = 1/10 as eluent) to give the corresponding compound 21 ((R)-tert-butyl N-(diphenyimethylene)phenyilatanine) (72.5 mg, 0.188 mmol /yield: 94%). The optical purity of the compound 21 obtained in this example was analyzed by HPLC [Daicel Chiralcel OD; eluent: hexane/2-propanol = 100/1, flow rate 0.5 mL/min; retention time: (R)-form = 1.48 min, (S)-form = 28.2 min]. The optical purity of the compound 21 obtained in this example was 77% ex

<Example 31: Confirmation of α-benzylation of glycine (31)>

[0305]

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[0306] To a mixture of the compound (S)-11 obtained in Example 2 (0.1 mol's; phase-transfer catalyst), benzy bromide (1.37 equivalent, 1.68 ml., 13.7 mmol) as the compound represented by R^{18-W} in the above formula, and a toluene solution (10 ml.) of N-(4-methylphenylmethylene) glycine ethyl ester (2.05 g, 10 mmol), 48% KOH aqueous solution (2.3 g) was added, and the mixture was stirred vigorously at 0°C. Completion of the reaction was continued by TLC, and then the reaction mixture was poured into water and the mixture was extracted with ethyl acetate. The organic extract was weshed with saline and dried over Ne₈-SO₄. The solvent was evaporated under reduced pressure, and then 1 N hydrocholric acid (20 ml.) was added and the mixture was stirred at room temperature for one hour. The aqueous mixture was weshed with toluene (20 ml., x 3). Sodium bicarbonate was added carefully to avoid too vigorous bubbling until pH of the solution reached not lower than 11 (confirmed with universal pH test paper). The mixture was extracted with ethyl acetate (20 ml. x 3). The ethyl acetate solution obtained was dried over sodium suitate and concentrated under reduced pressure to give the title compound 321 ((R)-phenylalanine ethyl ester was analyzed by PHC. (Diacle Chrizalec OD+1; eluent hexane2-propanol/diethylamine = 98/20.1, flow rate 0.5 ml./mir; retention time: (R)-form = 23.2 mln, (S)-form = 24.9 mln]. The obtained under colored and the compound 321 obtained in the exemple was presented in the compound 321 obtained in the exemple was 7°% e.e.

<Example 32: Confirmation of α-benzylation of glycine (31)>

[0307]

[0308]. To a mixture of the compound (S)-11 obtained in Example 2 (0.1 mo%; phase-transfer catalyst), benzyl bromide (1.37 equivalents, 1.63 mL, 1.3.7 mmol) as the compound represented by R¹⁸-W in the above formula, and a toluene solution (10 mL) of N-(4-methylphenylmethylene)glycine ethyl ester (2.05 g, 10 mmol), 45% KOH aqueous solution (2.3 g) was added, and the mixture was stirred vigorously at 0°C. Completion of the reaction was confirmed by TLC, and then the reaction mixture was power into water and the mixture retracted with the certacted vigorapine extract was washed with saline and dried over Na₂SO₄. The solvent was evaporated under reduced pressure, and then 1 N hydrochloric acid (20 mL) was added thereto and the mixture was stirred at room temperature for one hour. The aqueous layer was washed with toluene (20 mL x 3), and sodium bicarbonate was added carefully to avoid too vigorous bubbling until p1 of the solution reached not lower than 11 (confirmed with universal p1 test paper). The mixture was extracted with ethyl scelete 20 mL x 3). The obtained ethyl acetate solution was dried over sodium sulfate and concentrated with ethyl scelete 20 mL x 3).

under reduced pressure to give the title compound 321 ((R)-phenylalanine ethyl ester) (1.32 g. 6.83 mmol / yield: 68%). The optical purity of the obtained (R)-phenylalanine ethyl ester was analyzed by HPLC [Daicel Chiralcel OD-H; eluent hexane/2-propanol/diethylamine = 98/2/0.1, flow rate 0.5 mL/min; retention time: (R)-form = 23.2 min, (S)-form = 24.9 min]. The optical purity of the compound 321 obtained in this example was 74% e.e.

Industrial Apolicability

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[0309] According to the present invention, a chiral phase-transfer catalyst having a simpler structure is provided. This phase-transfer catalyst can be produced by a fewer number of process steps than conventional ones, which leads to reduction in the production cost. Such a phase-transfer catalyst is extremely useful in the synthesis of α-alkyt-α-amino acids and derivatives thereof. The amino acids and their derivatives thus synthesis of plays an important and special role in the design of peptides having enhanced acids for the synthesis of compounds having various biological activities. Therefore, they are useful for the development of novel foods and oharmacouticals.

Claims

A compound represented by the following formula (I):

wherein

R1, R1, R2, and R2 are each independently:

a hydrogen atom:

a halogen atom:

- a C_1 to C_5 alkyl group that may be substituted with a halogen atom and/or an anyl group, and/or that may be branched or form a cyclic group; or
- a C₁ to C₅ alkoxy group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group;

R3 and R3 are each independently:

a halogen atom;

- a C₁ to C₅ alkyl group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group; or
- a C₁ to C₅ alkoxy group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group;

R4 and R4 are groups independently selected from the group consisting of:

- (i) a hydrogen atom;
- (ii) -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halooen atom):

- (iii) a cyano group;
- (iv) a nitro group;
- (v) a carbamovi group:
- (vi) an N-(C₁ to C₄ alkyl)carbamoyl group;
- (vii) an N,N-di(C, to C, alkyl)carbamoyl group;
 - (viii) -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom);
 - (ix) a halogen atom:
- (x) a C₁ to C₆ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen
 - (xi) a C₂ to C₆ alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;
 - (xii) a C_2 to C_6 alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;
- (xiii) an arallyl group, wherein the aryl moiety of the arallyl group may be substituted with at least one group selected from the group consisting of:
 - a C, to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C₁ to C₂ alkovy group that may be branched and that may be substituted with a halogen atom, an any group that may be substituted with a halogen atom, a C₁ to C₄ alkly group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR²⁰R²¹ (where R³⁰ and R²¹ are each independently a hydrogen atom or a C₁ to C₄ alkly group that may be substituted with a halogen atom), a nitro group, a carbamoly group, an N-(C₁ to C₄ alkly(pactramony) group, an N-N-(it to C₄ alkly(pactramony) group, an N-N-(it to C₄ alkly) group that may be branched and that may be substituted with a halogen atom).
 - a cyano group, $-NN^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom),
 - a nitro group,
 - a carbamovi group.

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- an N-(C₁ to C₄ alkyl)carbamoyl group,
 - an N.N-di(C1 to C4 alkyl)carbamovl group.
 - -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
- a halogen atom:
 - (xiv) a heteroaralkyl group having a heteroaryl moiety, wherein the heteroaryl moiety may be substituted with at least one group selected from the group consisting of:
- a C, to C, alkyl group that may be branched and that may be substituted with a halogen atom.
 - a C₁ to C₃ alkovy group that may be branched and that may be substituted with a halogen atom, and yl group that may be substituted with a halogen atom, a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR³⁹P3³ (where R³⁰ and R³¹ are each
 - independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-IC₁ to C₄ alkyl group that may be nn N,N-diC₁ to C₄ alkyl)carbamoyl group, or -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom).
 - a cyano group, -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halosen atom).
 - a nitro group,
 - a carbamoyl group.
 - an N-(C1 to C4 alkyl)carbamoyl group,
 - an N,N-di(C1 to C4 alkyl)carbamoyl group,
- NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
 - a halogen atom;

(xv) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

- a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
- a C₁ to C₂ alkoxy group that may be branched and that may be substituted with a halogen atom,

an any group that may be substituted with a halogen atom, a C_1 to C_2 alkyl group that may be branched and that may be substituted with a halogen atom, a cyang croup, $NR^{20}R^{21}$ (where R^{20} and R^{21} are each independently a hydrogen atom or a C_1 to C_2 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N-C_1$ to C_4 alkyl)carbamoyl group, an $N-C_1$ (C_1 to C_4 alkyl)carbamoyl group, and C_1 (C_1 to C_2 alkyl)carbamoyl group, and C_1 (C_2 alkyl)carbamoyl group, and C_1 (C_2 alkyl)carbamoyl group, and C_1 (C_2 alkyl)carbamoyl group, and C_2 (C_1 alkyl)carbamoyl group, and C_2 (C_2 alkyl

a cyano group,

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 $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom),

a nitro group.

a carbamoyl group,

an N-(C, to C, alkyl)carbamovi group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C, to C, alkyl group that may be branched and that may be substituted with a

halogen atom), and

a halogen atom;

or may be substituted with -O-(CH_p)_p-O- (where p is 1 or 2) at positions 3 and 4 that are taken together; (xvi) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₂ alkoxy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₂ alkly group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₂ alkly group that may be substituted with a halogen atom, is a first group, a carbamoyl group, an NC₁-C₁ C₂ alkly)carbamoyl group, an N,N-Cl₁ C₁ C₂ alkly) group that may be branched and that may be substituted with a halogen atom).

a cyano group, $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halocen atom).

a nitro group.

a carbamoyl group,

an N-(C₁ to C₂ alkyl)carbamovi group.

an N,N-di(C, to C, alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom; and

(xviii) -S(O) $_n$ -R (where n is 0, 1, or 2, and R is a C $_1$ to C $_4$ alkyl group that may be branched and that may be substituted with a halogen atom);

R7 and R8 are groups independently selected from the group consisting of:

(i) a C_1 to C_{30} alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;

(ii) a C_2 to C_{12} alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;

(iii) a C₂ to C₁₂ alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;

(iv) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

- a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
- a c_1 to C_2 allowy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, .NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C_4 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a canbarnoyl group, an N.A-(C_4 to C_4 alkyl)carbarnoyl group, or N.HCOR⁹ (where R⁹ is a C_4 to C_4 alkyl)carbarnoyl group, branched and that may be substituted

a cyano group,

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 $-{\rm NR}^{30}{\rm R}^{31}$ (where ${\rm R}^{30}$ and ${\rm R}^{31}$ are each independently a hydrogen atom or a ${\rm C_1}$ to ${\rm C_4}$ alkyl group that may be substituted with a halogen atom),

a nitro group.

with a halogen atom).

a carbamovi group.

an N-(C₁ to C₄ alkyl)carbamoyl group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR 9 (where R 9 is a C $_1$ to C $_4$ alkyl group that may be branched and that may be substituted with a

halogen atom), and

a halogen atom;

- (v) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:
 - a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C_1 to C_2 allowy group that may be branched and that may be substituted with a halogen atom, an any group that may be substituted with a halogen atom, a C_1 to C_4 alky group that may be branched and that may be substituted with a halogen atom, a cyton group, $NN^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $NN^{-3}(C_1$ to C_4 alkyl)carbamoyl group, an $NN^{-3}(C_1$ to C_4 alkyl)carbamoyl group, an $NN^{-3}(C_1$ to C_4 alkyl)carbamoyl group, an $NN^{-3}(C_1)$ to C_4 alkyl)carbamoyl group, an $NN^{-3}(C_1)$ to C_4 alkyl)carbamoyl substituted with a halogen atom C_1 to C_2 alkyl)carbamoyl substituted with a halogen atom C_1 to C_2 alkyl)carbamoyl substituted with a halogen atom C_1 to C_2 alkyl)carbamoyl substituted with a halogen atom C_1 to C_2 alkyl)carbamoyl substituted with a halogen atom C_2 to C_3 alkyl)carbamoyl substituted with a halogen atom C_2 to C_3 alkyl)carbamoyl substituted with a halogen atom C_3 to C_3 alkyl)carbamoyl substituted with a halogen atom C_3 to C_3 alkyl)carbamoyl substituted with a halogen atom C_3 to C_3 alkyl)carbamoyl substituted with a halogen atom C_3 to C_3 alkyl)carbamoyl substituted with a halogen atom C_3 to C_3 to

with a halogen atom), a cyano group,

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),

a nitro group,

a carbamovi group.

an N-(C1 to C4 alkyl)carbamoyl group,

an N.N-di(C, to C, alkyl)carbamovi group,

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom:

- (vi) -(CH₂)₂OCONR¹⁰R¹¹ (where R¹⁰ and R¹¹ are groups independently selected from the group consisting of:
 - (1) a hydrogen atom;
 - (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) a C₂ to C₆ alkenyl group that may be branched or form a cyclic group and that may be substituted with
 - (4) a ${\bf C}_2$ to ${\bf C}_6$ alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;
 - (5) an aralkyl group, wherein the anyl moiety of the aralkyl group may be substituted with at least one group selected from the group consisting of :
 - a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C_1 to C_5 alkovy group that may be branched and that may be substituted with a helogen atom, an anyl group that may be substituted with a halogen atom, a C_1 to C_4 alkly group that may be branched and that may be substituted with a halogen atom, a cyano group, $-NR^{30}R^{31}$ (where R^{30} and R^{31} are

and that may be substituted with a halogen atom, a cyano group, "NHPPM" (where H^{o} and H^{o} are each independently a hydrogen atom or a C_1 to C_2 allyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C_1 to C_4 alkyl)carbamoyl group, an N,N-di(C_1 to C_4 alkyl)carbamoyl group, an N,N-di(C_1 to C_4

alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), a cvano group. NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group a carbamoyl group, an N-(C₄ to C₄ alkyl)carbamovi group. an N,N-di(C, to C, alkyl)carbamoyl group, 10 -NHCOR9 (where R9 is a C4 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and a halogen atom; (6) a heteroaralkyl group having a heteroaryl moiety, wherein the heteroaryl moiety may be substituted with 15 at least one group selected from the group consisting of : a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C₁ to C₄ alkyl group that may be branched

an any group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $-NR30^{R31}$ (where R30 and $R3^3$ are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N\cdot(C_1$ to C_4 alkyl group that may be branched and that may be substituted with a halogen that may be substituted with a halogen atom).

a cyano group,

 $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom).

a nitro group,

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a carbamoyl group,

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C, to C, alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and

a halogen atom;

(7) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₂ alkowy group that may be branched and that may be substituted with a halogen atom, an any I group that may be substituted with a halogen atom, a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, NNR³⁰p3³1 (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbarnoyl group, an NN-CG, to C₄ alkyl group that may be branched and alkyl)carbarnoyl group, or -NN-COR³ (where R³¹ is a C₁ to C₄ alkyl group that may be branched and

that may be substituted with a halogen atom),

a cyano group, $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that
may be substituted with a halogen atom).

a nitro group.

a carbamoyl group,

an N-(C₄ to C₄ alkyl)carbamovi group,

an N.N-di(C, to C, alkyl)carbamovl group.

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and

a halogen atom; and

(8) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected

from the group consisting of:

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- a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom. a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR39R31 (where R30 and R31 are each independently a hydrogen atom or a C₄ to C₄ alkyl group that may be substituted with a halogen
- atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C2 alkyl group that may be branched and that may be substituted with a halogen atom),
- a cvano group.
- -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom).
- a nitro group,
- a carbamovi group.
- an N-(C₁ to C₄ alkyl)carbamoyl group,
- an N.N-di(C, to C, alkyl)carbamovi group,
- -NHCOR9 (where R9 is a C1 to C2 alkyl group that may be branched and that may be substituted with a halogen atom), and
- a halogen atom; and n is an integer from 1 to 12);
- (vii) -(CH₂)_nCONR¹²R¹³ (where R¹² and R¹³ are groups independently selected from the group consisting of:
 - (1) a hydrogen atom;
 - (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:
 - a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₂ alkoxy group that may be branched and that may be substituted with a halogen atom.
 - an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom),
 - a cyano group. -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C4 to C4 alkyl group that may be substituted with a halogen atom),
- a nitro group.
 - a carbamovl group.
 - an N-(C1 to C4 alkyl)carbamoyl group,
 - an N.N-di(C₄ to C₄ alkyl)carbamovl group.

that may be substituted with a halogen atom).

- -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and

 - a halogen atom; and
- (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:
 - a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyi group, an N-(C1 to C4 alkyi)carbamoyi group, an N,N-di(C1 to C4 alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C2 alkyl group that may be branched and

a cvano group.

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group.

a carbamovi group,

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C, to C, alkyl)carbamoyl group,

-NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom;

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and n is an integer from 1 to 12);

(viii) -(CH₂)_nNR¹²COR¹³ (where R¹² and R¹³ are groups independently selected from the group consisting of:

- (1) a hydrogen atom:
 - (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₂ alkoys group that may be branched and that may be substituted with a halogen atom, an any group that may be substituted with a halogen atom, a C₁ to C₂, allyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR⁵⁰R⁹¹ (where R⁵⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₂ allyl group that may be substituted with a halogen atom), a nitro group, a carbanony group, a new N,N-di(c), to C₂ allylylcarbamoyl group, a long of group, a new C₂ to C₃ allylylcarbamoyl group, and N,N-di(c), to C₄ allylcarbamoyl group, and N,N-di(c), to C₄ allylca

a cvano group.

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group,

a carbamovi group.

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C, to C, alkyl)carbamovi group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and

a halogen atom; and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₂ alkoxy group that may be branched and that may be substituted with a halogen atom.

an any forup that may be substituted with a halogen atom, a C_1 to C_4 allyl group that may be branched and that may be substituted with a halogen atom, a cyanon group. $^{-1}N69941$ (where P^0 and P^0 1 research independently a hydrogen atom or a C_1 to C_4 allyl group that may be substituted with a halogen atom), a nitro group, a carbarnoly group, an $^{-1}NC_1$ to C_4 allyl)carbarnoly group, an $^{-1}NC_1$ $^{-1}NC_2$ $^{-1}NC_3$ $^{-1}NC_3$

that may be substituted with a halogen atom),

a cyano group,

-NR³⁰R9³ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group.

a carbamoyl group,

an N-(C1 to C4 alkyl)carbamovi group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom:

and n is an integer from 1 to 12):

(ix) -(CH₂)_nNR¹²R¹³ (where R¹² and R¹³ are groups independently selected from the group consisting of :

(1) a hydrogen atom:

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- (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
- (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:
 - a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C, to C, alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an any group that may be substituted with a halogen atom, a C_1 to C_4 alfkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alfkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N-(C_1$ to C_4 alfkyl carbamoyl group, an $N-(C_1$ to C_4 alfkyl group that may be branched and that may be substituted with a halogen atom).
 - a cyano group,
 - -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),
 - a nitro group, a carbamovi group.
 - an N-(C₁ to C₄ alkyl)carbamoyl group,
 - an N,N-di(C₁ to C₄ alkyl)carbamoyl group,
 - -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with
 - a halogen atom), and
- a halogen atom; and
 - (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:
 - a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C₁ to C₂ alkoys group that may be branched and that may be substituted with a heliogen atom, an anyl group that may be substituted with a heliogen atom, a C₁ to C₂, alkly group that may be branched and that may be substituted with a heliogen atom, a cyano group, -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₂ alkly group that may be substituted with a hallogen atom), a nitro group, a carbamoly group, a nN-(C₁ to C₂ alkly)carbamoly group, a C₃ nN-(C₁ to C₄ alkly)carbamoly group, and nN-(C₁ to C₄ alkly)carbamoly group, a nN-(C₁ to C₄ alkly)carbamoly group, a nN-(C₁ to C₄ alkly)carbamoly group, and not group, a nn-(C₁ to C₄ alkly)carbamoly group, and not group at may be pranched and that may be substituted with a halogen atom).
 - a cvano group.
 - NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that
 may be substituted with a halogen atom).
 - a nitro group,
 - a carbamoyl group,
 - an N-(C, to C, alkyl)carbamoyl group,
 - an N,N-di(C1 to C4 alkyl)carbamoyl group,
 - -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
 - a halogen atom:
 - and n is an integer from 1 to 12);

(x) $-(CH_2)_n Y - CR^{12}$ (where Y is a C_1 to C_4 divalent saturated hydrocarbon group that may be branched and that may be substituted with a halogen atom, and R^{12} is a group selected from the group consisting of:

- (1) a hydrogen atom;
- (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
- (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group

consisting of:

- a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,
- an anyl group that may be substituted with a halogen atom, a C_1 to C_2 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, N_1809_187 (where R^30 and R^{31} are each independently a hydrogen atom or a C_1 to C_2 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N_1C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom).
- a cvano group.
- -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).
- a nitro group,
- a carbamovi group.
- an N-(C₁ to C₄ alkyl)carbamoyl group,
- an N.N-di(C, to C, alkyl)carbamoyl group,
- -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with
- a halogen atom), and a halogen atom; and

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- (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:
 - a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C₁ to C₂ alkoys group that may be branched and that may be substituted with a helogen atom, an end group that may be branched and that may be substituted with a helogen atom, a cyano group, -NR²⁰R²¹ (where R²⁰ and R²¹ are each independently a hydrogen atom or a C₁ to C₂ alkly group that may be substituted with a halogen atom, a cyano group, and ready group, a carbamony group, a nathemory group, a carbamony group, a nathemory group, a nathemory group, a nathemory atom, a mit of the companies of the cyanological properties of the cya
 - that may be substituted with a haloge
 - -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).
 - a nitro group,
 - a carbamoyl group,
 - an N-(C₄ to C₄ alkyl)carbamoyl group,
 - an N,N-di(C, to C, alkyl)carbamoyl group,
- -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
 - a halogen atom;
- and n is an integer from 1 to 12);
- (xi) -(CH₂)_a-OR¹² (where R¹² is a group selected from the group consisting of:
 - (1) a hydrogen atom;
 - (2) a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom;
- (3) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group so consisting of:
 - a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a C₄ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom.
 - an any group that may be substituted with a halogen atom, a C_1 to C_2 allyly group that may be branched and that may be substituted with a halogen atom, a C_3 nor group, $A = \frac{1}{2} N^{2} N^{2} N^{2}$ (where R^{2} and R^{2}) are each independently a hydrogen atom or a C_1 to C_2 allyly group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $A = C_1$ to C_2 allylycarbamoyl group, an $A = C_1$ to C_3 allylycarbamoyl group, and $A = C_3$ to $A = C_3$ allylycarbamoyl group that may be tranched and

that may be substituted with a halogen atom).

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C, to C, alkyl group that may be substituted with a halogen atom), a nitro group. a carbamovi group. an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group, -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with 10 a halogen atom), and a halogen atom: and (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of: 15 a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a C, to C, alkoxy group that may be branched and that may be substituted with a halogen atom, an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are 20 each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom). a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that 25 may be substituted with a halogen atom), a nitro group. a carbamoyl group, an N-(C, to C, alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group, -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and a halogen atom: and n is an integer from 1 to 12): (xii) -(CH_o)_n-S-R¹² (where R¹² is a group selected from the group consisting of: (1) a hydrogen atom: (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom; 40 (3) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of: a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom. a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom, an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are

> that may be substituted with a halogen atom). a cyano group.

alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom).

each independently a hydrogen atom or a C₁ to C₂ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C, to C, alkyl)carbamoyl group, an N,N-di(C, to C,

a nitro group,

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a carbamoyl group,

an N-(C₁ to C₄ alkyl)carbamoyl group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group.

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

- a halogen atom), and
- a halogen atom; and
- (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:
 - a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C. to C. alkoxy group that may be branched and that may be substituted with a halogen atom.
 - an anyl group that may be substituted with a halogen atom, a C_1 to C_4 allyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $-NROBQR^{2}$ (where RO^{2} and RO^{2} are each independently a hydrogen atom or a C_1 to C_2 allyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N\cdot (C_1$ to C_4 allyl)carbamoyl group, an $N\cdot N\cdot (C_1$ to C_4 allyl)carbamoyl group, an $N\cdot N\cdot (C_1$ to C_4 allyl group that may be branched and that may be substituted with a halogen atom).
 - a cvano group.
 - -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),
 - a nitro group,
 - a carbamoyl group,
 - an N-(C1 to C4 alkyl)carbamoyl group,
 - an N,N-di(C1 to C4 alkyl)carbamoyl group,
 - -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
 - a halogen atom;
- a nalogen atom

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and n is an integer from 1 to 12);

- (xiii) -(CH₂)_n-SO-R¹² (where R¹² is a group selected from the group consisting of:
 - (1) a hydrogen atom;
 - (2) a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:
 - a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C₄ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom.
 - an any group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C_1 to C_4 alkylcarbamoyl group, an N,N-di(C_1 to C_4 alkylgroup that may be branched and that may be substituted with a halogen atom).
 - a cyano group,
 - -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),
- a nitro group,
 - a carbamoyl group,
 - an N-(C, to C, alkyl)carbamovi group,
 - an N,N-di(C, to C, alkyl)carbamoyl group,
 - -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with
 - a halogen atom), and
 - a halogen atom; and
 - (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:
 - a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom.
 - an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched

and that may be substituted with a halogen atom, a cyano group, $\cdot NR^{20}R^{21}$ (where R^{20} and R^{21} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-NC_0 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom).

a cyano group, -NR30R31 (who

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group.

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a carbamovi group,

an N-(C₁ to C₄ alkyl)carbamoyl group,

an N,N-di(C, to C, alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and a halogen atom:

and n is an integer from 1 to 12); and

(xiv) -(CH_o)_o-SO_o-R¹² (where R¹² is a group selected from the group consisting of:

- a hydrogen atom:
- (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
- (3) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of:
 - a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a C_1 to C_5 alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an any group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30871 (where R30 and R31 are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N-(C_1$ to C_4 alkyl carbamoyl group, an $N-N-(C_1$ to C_4 alkyl group that may be branched and that may be substituted with a halogen atom).

a cyano group.

-NR3³⁰R3³¹ (where R3³⁰ and R3³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group,

a carbamoyl group,

an N-(C, to C, alkyl)carbamovi group.

an N,N-di(C, to C, alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom; and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C₄ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,

an any group that may be substituted with a halogen atom, a C_1 to C_4 allkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $+NR^{20}R^{21}$ (where R^{20} and R^{21} are each independently a hydrogen atom or a C_1 to C_4 allkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N+(C_1$ to C_4 alkyl)carbamoyl group, an $N+C_1C_1$ to C_4 alkyl group that may be branched and that may be substituted with a halogen atom).

a cvano group.

-NR 30 R 31 (where R 30 and R 31 are each independently a hydrogen atom or a C $_{1}$ to C $_{4}$ alkyl group that may be substituted with a halogen atom).

a nitro group,

a carbamovi group.

an N-(C₁ to C₄ alkyl)carbamoyl group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and

a halogen atom;

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and n is an integer from 1 to 12); or

R7 and R8 are taken together to form a divalent group selected from the group consisting of:

-(CH2)m- (where m is an integer from 2 to 8);

(wherein R²⁰, R²¹, R²², R²³, R²⁴, R²⁵, R²⁶, R²⁷, R²⁸, R²⁹, R⁴¹, and R⁴² are groups independently selected from the group consisting of:

a hydrogen atom;

a C_1 to C_8 alkyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen atom;

a ${\bf C}_2$ to ${\bf C}_8$ alkenyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen atom:

a C_2 to C_8 alkynyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen atom;

an anyl group, which may be substituted with a C_1 to C_2 alkyl group that may be substituted with a halogen atom, a C_1 to C_2 alkoy group that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, an eyano group, a halogen atom, an arting group. An Anisa of the substituted with a halogen atom, and group, an expansion of a C_1 to C_2 alkyl group that may be substituted with a halogen atom, or a cycle arm group. Anisa of C_2 to C_3 alkyl group that may be substituted with a halogen atom, or a cycle arming group that is formed by a C_3 to C_3 alkyl group that may be substituted with a halogen atom, a C_3 to C_3 alkoy group that may be substituted with a halogen atom, a C_3 to C_3 alkoy group that may be substituted with a halogen atom, a C_3 to C_3 alkoy group there R^3 and R^3 are each independently a hydrogen atom

or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), or a cyclic amino group that is formed by a C_2 to C_6 alkylene group;

an aralky group, which has an anyl moiety that may be substituted with a C_1 to C_2 alkyl group that may be substituted with a halogen atom, a C_1 to C_3 alkoy group that may be substituted with a halogen atom, a group, a halogen atom, a nitro group. -NR²⁰R²¹ (where R²⁰ and R²¹ are each independently a hydrogen atom or a C_1 to C_2 alkyl group that may be substituted with a halogen atom), or a cyclic amino group that is formed by a C_3 to C_3 alkylene group:

a hetroaralkyl group, which has a hetroaryl molety that may be substituted with a C_1 to C_2 alkly group that may be substituted with a halogen atom, a C_1 to C_3 alkoys group that may be substituted with a halogen atom, a nitro group. 14 MPRPM (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_2 alkly group that may be substituted with a halogen atom), or a cyclic amino group that is formed by a C_3 to C_3 alkly/are group:

a (C1 to C3 alkoxy)carbonyl group;

a carbamoyl group;

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an N-(C1 to C4 alkyl)carbamoyl group; and

an N,N-di(C, to C₄ alkyl)carbamoyl group (where the C₁ to C₄ alkyl groups may be the same or different)); and X is an anion selected from the group consisting of a halide anion, SCN*, HSQ₄', HF₂', CF₃SO₃', CH₃'Ph-SO₃', and CH₃SO₄'.

- The compound of claim 1, wherein each of R¹, R¹, R², R², R³, and R⁶ of the compound represented by the formula
 (i) is a C₁ to C₅ alkoxy group that may be branched or form a cyclic group and that may be substituted with a halogen atom.
- 3. The compound of claim 1, wherein each of R¹, R¹, R², R², R³, and R^{3'} of the compound represented by the formula
 (I) is a methoxy group, an ethoxy group, or a benzyloxy group.
 - 4. The compound of any one of claims 1 to 3, wherein R⁴ and R^{4'} of the compound represented by the formula (I) are groups independently selected from the group consisting of:

a hydrogen atom; and

an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C, to C₂ alkoys group that may be branched and that may be substituted with a halogen atom, an any il group that may be substituted with a halogen atom, a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group. NR 10 R 31 (where R 30 and R 31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a carbamoyl group, an N 10 Cl₁ to C₄ alkyl group that may be substituted with a halogen atom), a carbamoyl group, an N 10 Cl₁ to C₄ alkyl group that may be ground that may be promoted and that may be practiced and that may be substituted with a

halogen atom),

-NR³³R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),

a nitro group.

a carbamoyl group,

an N-(C, to C, alkyl)carbamovi group,

an N.N-di(C, to C, alkyl)carbamovi group.

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom.

- The compound of claim 4, wherein each of R⁴ and R^{4'} of the compound represented by the formula (I) is a 3,4,5-trifluorophenyl group or a 3,5-bis(trifluoromethyl)phenyl group.
 - The compound of any one of claims 1 to 5, wherein R⁷ and R⁸ of the compound represented by the formula (I) are each independently a C₁ to C₃₀ alk/yl group that may be branched or form a cyclic group and that may be substituted with a halogen atom.

- 7. The compound of claim 6, wherein R7 and R8 of the compound represented by the formula (I) are both n-butyl groups.
- 8. A method for producing the compound represented by the formula (I) of claim 1, comprising:
 - a step of reacting a compound represented by the following formula (II):

 R^1 R^4 R^3 CH_2Z R^2 R^4 R^6 (II)

with a secondary amine represented by the following formula (III):

HN R⁸ (III)

in an organic solvent in the presence of an acid-scavenging agent;

wherein in the formula (II):

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R1, R1, R2, and R2 are each independently:

- a hydrogen atom:
- a C_1 to C_5 alkyl group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group; or
- a C_1 to C_5 alkoxy group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group;

R3 and R3' are each independently:

- a halogen atom:
 - a C_1 to C_5 alkyl group that may be substituted with a halogen atom and/or an anyl group, and/or that may be branched or form a cyclic group; or
 - a C₁ to C₅ alkoxy group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group;

R4 and R4 are groups independently selected from the group consisting of:

- (i) a hydrogen atom;
- (ii) -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group than
 may be substituted with a halogen atom);
- (iii) a cyano group;
 - (iv) a nitro group;
 - (v) a carbamoyl group;
 - (vi) an N-(C1 to C4 alkyl)carbamovi group;
 - (vii) an N,N-di(C1 to C4 alkyl)carbamoyl group;

(viii) -NHCOR9 (where R9 is a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom);

(ix) a halogen atom;

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(x) a C₁ to C₆ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;

(xi) a C_2 to C_6 alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;

(xii) a C_2 to C_6 alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;

(xiii) an aralkyl group, wherein the aryl moiety of the aralkyl group may be substituted with at least one group selected from the group consisting of:

a C. to C. alkyl group that may be branched and that may be substituted with a halogen atom.

a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom,

an anyl group that may be substituted with a halogen atom, a C_1 to C_4 allryl group that may be branched and that may be substituted with a halogen atom, a cyano group, $+Ni^2O^2(N)$ (where R^{20} and R^{20} are each independently a hydrogen atom or a C_1 to C_4 allryl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N_1C_1 to C_4 allryl group that may be branched and that may be substituted with a halogen atom).

that may be substituted with a halogen atom), a cyano group.

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group,

a carbamovi group.

an N-(C1 to C4 alkyl)carbamoyl group,

an N.N-di(C₄ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and

a halogen atom;

(xiv) a heteroaralkyl group having a heteroaryl moiety, wherein the heteroaryl moiety may be substituted with at least one group selected from the group consisting of:

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₂ alkoys group that may be branched and that may be substituted with a helogen atom, an end group that thrap be substituted with a helogen atom, a cyano group, -NR⁵⁰R⁵¹ (where R⁵⁰ and R⁵¹ are each independently a hydrogen atom or a C₁ to C₂ alky group that may be substituted with a halogen atom, a cyano group, -NR⁵⁰R⁵¹ (where R⁵⁰ and R⁵¹ are each independently a hydrogen atom or a C₁ to C₂ alky group that may be substituted with a halogen atom), a intro group, a carbamory group, an Nr-MiC₁ to C₂ alky)carbamory group, an Nr-MiC₂ to C₃ alky)carbamory group, an Nr-MiC₂ to C₄ alky)carbamory group, an Nr-MiC₂ to C₄ alky)carbamory group, or -NHCOR⁶ (where R⁶ is a C₁ to C₄ alky) group that may be branched and that may be substituted with a halogen atom).

a cyano group.

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group,

a carbamovi group,

an N-(C, to C, alkyl)carbamovi group.

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and

a halogen atom;

(xv) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a $\mathrm{C_1}$ to $\mathrm{C_5}$ alkoxy group that may be branched and that may be substituted with a halogen atom,

an anyl group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR50 $^{\rm RG}$ 1 (where $\rm R^{\rm RG}$ and $\rm R^{\rm RG}$ 1 are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-($\rm C_1$ to $\rm C_4$ alkyl)carbamoyl group, an N,N-di($\rm C_1$ to $\rm C_4$ alkyl group that may be branched and that may be substituted with a halogen atom).

a cyano group,

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),

a nitro group.

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a carbamovi group.

an N-(C₄ to C₄ alkyl)carbamoyl group,

an iv-(01 to 04 any) carbantoyi group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom;

or may be substituted with $-O-(OH_2)_p$ -O- (where p is 1 or 2) at positions 3 and 4 that are taken together; (xvi) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the croue consisting of

a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom.

a C_1 to C_2 alkoys group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $NR^{20}R^{21}$ (where R^{31} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamnyl group, an $N-(C_1$ to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamnyl group, an $N-(C_1$ to C_4 alkyl group that may be branched and sily)parbamnyl group, or $N-NCOR^2$ (where R^3 is C_4 to C_4 colly group that may be branched and

that may be substituted with a halogen atom), a cvano group.

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group,

a carbamoyl group,

an N-(C₁ to C₄ alkyl)carbamoyl group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and a halogen atom; and

(xvii) -S(O),-R (where n is 0, 1, or 2, and R is a C₁ to C₄ alkyl group that may be branched); and

Z is a halogen atom:

and in the formula (III):

R7 and R8 are groups independently selected from the group consisting of:

(i) a C_1 to C_{30} alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:

(ii) a C₂ to C₁₂ alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;

(iii) a C₂ to C₁₂ alkynyl group that may be branched or form a cyclic group and that may be substituted with

(iv) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom.

an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched

and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom),

a cvano group.

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C4 to C4 alkyl group that may be substituted with a halogen atom).

a nitro group.

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a carbamoyl group,

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C, to C, alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and

a halogen atom :

(v) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom, an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen

atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom),

a cvano group.

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom).

a nitro group,

a carbamovi group,

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C, to C, alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and a halogen atom:

(vi) -(CH₂)_nOCONR¹⁰R¹¹ (where R¹⁰ and R¹¹ are groups independently selected from the group consisting

a hydrogen atom;

(2) a C. to C. alkyl group that may be branched and that may be substituted with a halogen atom:

(3) a C2 to C6 alkenyl group that may be branched or form a cyclic group and that may be substituted

with a halogen atom:

(4) a C2 to C6 alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:

(5) an aralkyl group, wherein the aryl mojety of the aralkyl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₆ alkoxy group that may be branched and that may be substituted with a halogen atom.

an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamovl group, or -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom).

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-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group

a cyano group

a nitro group, a carbamovi group,

that may be substituted with a halogen atom).

an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C, to C, alkyl)carbamoyl group, -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and 10 a halogen atom; (6) a heteroaralkyl group having a heteroaryl moiety, wherein the heteroaryl moiety may be substituted with at least one group selected from the group consisting of: 15 a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom. a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom, an aryl group that may be substituted with a halogen atom, a C4 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted 20 with a halogen atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group 25 that may be substituted with a halogen atom). a nitro group. a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C, to C, alkyl)carbamoyl group, -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and a halogen atom: (7) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of: a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₂ alkoxy group that may be branched and that may be substituted with a halogen atom. an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be 40 branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N.N-di(C, to C, alkyl)carbamovi group, or -NHCOR9 (where R9 is a C, to C, alkyl group that may be branched and that may be substituted with a halogen atom), 45 a cvano group. -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group. a carbamoyl group, an N-(C1 to C4 alkyl)carbamovI group, 50 an N,N-di(C, to C, alkyl)carbamoyl group, -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and a halogen atom: and

from the group consisting of:

(8) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom, an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom).

a cvano group.

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-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₄ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group.

a carbamovi group.

an N-(C1 to C4 alkyl)carbamoyl group,

an N.N-di(C₁ to C₂ alkyl)carbamovi group.

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted

with a halogen atom), and a halogen atom:

and n is an integer from 1 to 12):

(vii) -(CH₂)_nCONR¹²R¹³ (where R¹² and R¹³ are groups independently selected from the group consisting of:

(1) a hydrogen atom:

- (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
- (3) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom, an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C2 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom).

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group

that may be substituted with a halogen atom). a nitro group,

a carbamovi group.

an N-(C1 to C4 alkyl)carbamoyl group,

an N.N-di(C1 to C4 alkyl)carbamovi group, -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted

with a halogen atom), and

a halogen atom: and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom, an arvi group that may be substituted with a halogen atom, a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom). a cvano group.

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C, to C, alkyl group that may be substituted with a halogen atom), a nitro group, a carbamovi group. an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C, to C, alkyl)carbamoyl group, -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and a halogen atom; 10 and n is an integer from 1 to 12): (viii) -(CH_o), NR12COR13 (where R12 and R13 are groups independently selected from the group consisting 15 (1) a hydrogen atom: (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom; (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of: 20 a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted 25 with a halogen atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), a cvano group. -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamovi group. an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C, to C, alkyl)carbamoyl group, -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and a halogen atom; and (4) a heteroarvi group, wherein the heteroarvi group may be substituted with at least one group selected 40 from the group consisting of: a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₂ alkoxy group that may be branched and that may be substituted with a halogen atom. an anyl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C₁ to C₄ alkyl)carbamoyl group, an N.N-di(C, to C, alkyl)carbamovi group, or -NHCOR9 (where R9 is a C, to C, alkyl) group that may be branched and that may be substituted with a halogen atom), 50 a cyano group -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom). a nitro group. a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group, -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom:

and n is an integer from 1 to 12):

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(ix) -(CH₂)_nNR¹²R¹³ (where R¹² and R¹³ are groups independently selected from the group consisting of:

- (1) a hydrogen atom:
- (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
- (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

a C, to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₅ alkoyy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group. $MR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an MC_1 to C₅ alkyl)carbamoyl group, an MC_1 to C₅ alkyl)carbamoyl group, an MC_2 (where R^{30} is a C₅ to C₆ alkyl)carbamoyl group, an MC_1 (where R^{30} is a C₅ to C₆ alkyl)carbamoyl group, an MC_1 (where M^{30} is a C₅ to C₆ alkyl)carbamoyl group, and M^{30} (where M^{30} is M^{30}) and M^{30} is a constituted with a halogen atom).

 $-Nh^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom),

a nitro group, a carbamovi group.

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR 9 (where R^9 is a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom; and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₅ alkoyy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, NR3951 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamyol group, an N-C₁ to C₄ alkyl)carbamyol group, an N-C₁ to C₄ to C₄ alkyl)carbamyol group, an N-C₁ to C₄ al

a cyano group, $NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halocen atom).

a nitro group,

a carbamovi group.

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted

with a halogen atom), and a halogen atom:

and n is an integer from 1 to 12);

(x) -(CH₂)_nY-OR¹² (where Y is a C₁ to C₄ divalent saturated hydrocarbon group that may be branched and that may be substituted with a halogen atom, and R¹² is a group selected from the group consisting of:

(1) a hydrogen atom;

(2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;

(3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the

group consisting of:

a C_1 to C_2 alkyly group that may be branched and that may be substituted with a halogen atom, an anyl group that may be tranched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C_1 to C_2 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group. $NR^{20}P_1^{21}$ (where R^{20} and R^{21} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N^{1}C_1$ to C_4 alkyl)carbamoyl group, and $N^{1}C_1$ to $N^{1}C_2$ alkyl)carbamoyl group, and $N^{1}C_2$ (where $N^{1}C_1$ is a $N^{1}C_2$ alkyl)carbamoyl group, and $N^{1}C_2$ (where $N^{1}C_3$ is $N^{1}C_3$ alkyl)carbamoyl group, and $N^{1}C_3$ (where $N^{1}C_3$ is $N^{1}C_3$ alkyl)carbamoyl group, and $N^{1}C_3$ (where $N^{1}C_3$ is $N^{1}C_3$ is $N^{1}C_3$ alkyl)carbamoyl group, and $N^{1}C_3$ is $N^{1}C_3$ (where $N^{1}C_3$ is $N^{1}C_3$ is $N^{1}C_3$ in $N^{1}C_3$ (where $N^{1}C_3$ is $N^{1}C_3$ is $N^{1}C_3$ in $N^{1}C_3$ in $N^{1}C_3$ in $N^{1}C_3$ is $N^{1}C_3$ in $N^{1}C_3$

a cyano group,

 $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom).

a nitro group,

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a carbamovi group.

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(Ci to C, alkyl)carbamoyl group,

-NHCOR9 (where R9 is a $\rm C_1$ to $\rm C_4$ alkyl group that may be branched and that may be substituted

with a halogen atom), and a halogen atom; and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C_1 to C_2 alkyl group that may be branched and that may be substituted with a halogen atom, and C_1 to C_2 alkyl group that may be branched and that may be substituted with a halogen atom, and may lorsup that may be substituted with a halogen atom, a C_1 to C_2 alkyl group that may be branched and that may be substituted with a halogen atom, a C_1 to C_2 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group. $A^{12}P^{12$

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group,

a carbamovi group.

an N-(C, to C, alkyl)carbamovi group.

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom;

and n is an integer from 1 to 12);

(xi) -(CH₂)_n-OR¹² (where R¹² is a group selected from the group consisting of:

(1) a hydrogen atom;

(2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;

(3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom, and (1, c) c₃ alkoy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C₁ to C₄, alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₄, alkyl group that may be branched and that may be substituted with a halogen atom, a open group. $^{1/2}$ hydrogen atom or c_1 to c_2 hily group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $^{1/2}$ hily group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $^{1/2}$ hydrogen atom c_2 is alkylocarbamoyl group, an $^{1/2}$ hydrogen when $^{1/2}$ is c_3 is c_4 in $^{1/2}$ carbamoyl group that may be c_4 in $^{1/2}$ carbamoyl group, an $^{1/2}$ hydrogen atom, a first c_4 in $^{1/2}$ carbamoyl group, an $^{1/2}$ carbamoyl group, and $^{1/2}$ carbamoyl g

be branched and that may be substituted with a halogen atom).

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₂ to C₃ alkyl group that may be substituted with a halogen atom), a nitro group. a carbamovi group. an N-(C1 to C4 alkyl)carbamoyl group, an N.N-di(C, to C, alkyl)carbamovl group. -NHCOR9 (where R9 is a C4 to C4 alkyl group that may be branched and that may be substituted 10 with a halogen atom), and a halogen atom; and (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of: 15 a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a C1 to C6 alkoxy group that may be branched and that may be substituted with a halogen atom. an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 20 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C₁ to C₄ alkyl)carbamoyl group, an N.N-di(C₁ to C₄ alkyl)carbamovi group, or -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom). a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C2 alkyl group 25 that may be substituted with a halogen atom), a nitro group, a carbamovi group. an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group, -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and a halogen atom; and n is an integer from 1 to 12); (xii) -(CH_o),-S-R¹² (where R¹² is a group selected from the group consisting of: (1) a hydrogen atom:

- (2) a C₁ to C₄ alkyl group that may be branched;
- (3) an arvi group, wherein the arvi group may be substituted with at least one group selected from the group consisting of:

a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom. a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom, an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C2 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C₁ to C₄ alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom).

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom).

a nitro group.

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a carbamovi group.

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C₁ to C₄ alkyl)carbamovi group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted

with a halogen atom), and a halogen atom; and (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of: a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a C₄ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom. an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C, to C, alkyl)carbamoyl group, an N.N-di(C, to C, alkyl)carbamovl group, or -NHCOR9 (where R9 is a C, to C, alkyl group that may be branched and that may be substituted with a halogen atom), 15 a cvano group. -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group. a carbamoyl group, 20 an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group. -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and a halogen atom; 25 and n is an integer from 1 to 12); (xiii) -(CHo), -SO-R12 (where R12 is a group selected from the group consisting of: a hydrogen atom; 30 (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom; (3) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of: a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₂ alkoxy group that may be branched and that may be substituted with a halogen atom. an aryl group that may be substituted with a halogen atom, a C, to C, alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30

a C_1 to C_2 alkowy group that may be branched and that may be substituted with a halogen atom, any group that may be substituted with a halogen atom, a C_1 to C_2 alkly group that may be branched and that may be substituted with a halogen atom, a C_2 not group. $NR^{20}E^{21}$ (where R^{20} and R^{21} are self-independently a hydrogen atom or C_2 ; C_2 alkly group that may be substituted with a halogen atom), a nitro group, a cardamonyl group, an $N^{1}C_2$ to C_2 alkly/locthamonyl group, an $N^{1}C_2$ $N^{1}C_2$

a cyano group

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 $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom),

a nitro group,

a carbamoyl group,

an N-(C, to C, alkyl)carbamoyl group,

an N,N-di(C, to C, alkyl)carbamoyl group,

-NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom; and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a C_1 to C_5 alkoxy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C_4 to C_5 alkyl group that may be

branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamovi group, an N-(C, to C, alkyl)carbamovi group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom),

a cvano group.

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom).

a nitro group.

a carbamoyl group,

an N-(C1 to C4 alkyl)carbamoyl group,

an N.N-di(C, to C, alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted

with a halogen atom), and a halogen atom:

and n is an integer from 1 to 12); and

(xiv) -(CH2)n-SO2- R12 (where R12 is a group selected from the group consisting of:

(1) a hydrogen atom:

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- (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
- (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the

group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C, to C, alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom).

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom).

a nitro group,

a carbamovi group.

an N-(C1 to C2 alkyl)carbamovl group.

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C, to C, alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom; and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a C. to C. alkoxy group that may be branched and that may be substituted with a halogen atom. an aryl group that may be substituted with a halogen atom, a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamovi group, an N-(C₁ to C₂ alkyl)carbamovi group, an N.N-di(C₁ to C₄ alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom),

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom),

a nitro group.

a carbamovi group.

an N-(C₁ to C₄ alkyl)carbamoyl group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR 9 (where R 9 is a C $_1$ to C $_4$ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom;

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and n is an integer from 1 to 12); or

R7 and R8 are taken together to form a divalent group selected from the group consisting of:

-(CH2)m- (where m is an integer from 2 to 8);

(wherein R²⁰, R²¹, R²², R²³, R²⁴, R²⁵, R²⁶, R²⁷, R²⁸, R²⁹, R⁴¹, and R⁴² are groups independently selected from the group consisting of:

a hydrogen atom;

a $\rm C_1$ to $\rm C_8$ alkyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen atom;

a C_2 to C_8 alkenyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen atom;

a C₂ to C₈ alkynyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen atom:

an anyl group, which may be substituted with a C_1 to C_4 alkyl group that may be substituted with a halogen atom, a C_1 to C_2 alkovy group that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a cyang group, a halogen atom, a nitro group, $A_1 = A_2 = A_2 = A_3 =$

a hetroaryl group, which may be substituted with a C₁ to C₄ alkyl group that may be substituted with a halogen atom, a C₁ to C₂ alkoxy group that may be substituted with a halogen atom, a cyano group, a

halogen atom, a nitro group, $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alklyl group that may be substituted with a halogen atom), or a cyclic amino group that is formed by a C_5 to C_6 alkylene group;

an arakiy group, which has an anyl molety that may be substituted with a C₁ to C₄ alkly group that may be substituted with a halogen atom, a C₁ to C₃ alkoxy group that may be substituted with a halogen atom, a cyano group, a halogen atom, a nitro group, $\sim 10^{12} \rm Mpc^{-1}$ (where $\rm R^{50}$ and $\rm R^{51}$ are each independently a hydrogen atom or a C₁ to C₄ alkly group that may be substituted with a halogen atom), or a cyclic amino group that is formed by a C₅ to C₆ alklyken group.

a hetroaralityl group, which has a hetroaryl molety that may be substituted with a C, to C, alkyl group that may be substituted with a halogen atom, a C₁ to C₂ alkxy group that may be substituted with a halogen atom, a cyano group, a halogen atom, a nitro group, -NR⁵⁰P3⁶¹ (where R⁵² and R⁵² are each independently a hydrogen atom or a C, to C₂ alkyl group that may be substituted with a halogen atom), or a cyclic amino group that is formed by a C₅ to C₆ alkyleng group.

a (C₁ to C₂ alkoxy)carbonyl group;

a carbamovi group:

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an N-(C1 to C4 alkyl)carbamoyl group; and

an N,N-dl(C, to C, alkyl)carbamoyl group (where the C, to C, alkyl groups may be the same or different).

- The method of claim 8, wherein each of R¹, R¹, R², R², R³, and R³ of the compound represented by the formula
 (II) is a C₁ to C₅ alkoxy group that may be branched or form a cyclic group and that may be substituted with a halogen atom.
 - 10. The method of claim 8, wherein each of R¹, R¹', R², R²', R³, and R³' of the compound represented by the formula (II) is a methoxy group, an ethoxy group, or a benzyloxy group.
 - 11. The method of any one of claims 8 to 10, wherein R⁴ and R^{4*} of the compound represented by the formula (II) are groups independently selected from the group consisting of:

a hydrogen atom; and

an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C_1 to C_2 allows group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C_1 to C_2 alloy group that may be branched and that may be substituted with a halogen atom, a cyano group. $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independentily a hydrogen atom or a C_1 to C_2 alloy group that may be substituted with a halogen atom, into group, a carbamonyl group, an $N-N-t(C_1$ to C_2 alloy) carbamonyl group, an $N-t(C_1$ to C_3 alloy) are that may be branched and that may be substituted with a halogen atom).

a cyano group,

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₄ to C₄ alkyl group),

a nitro group,

a carbamoyl group,

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom.

- 12. The method of claim 11, wherein each of R⁴ and R^{4'} of the compound represented by the formula (II) is a 3,4,5-trifluorophenyl group or a 3,5-bis(trifluoromethyl)phenyl group.
- 13. The method of any one of claims 8 to 12, wherein R² and R⁸ of the compound represented by the formula (III) are each independently a C₁ to C₂₀ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom.
 - 14. The method of claim 13, wherein R7 and R8 of the compound represented by the formula (III) are both n-butyl groups.

15. A method for stereoselectively producing a compound represented by the formula (VI):

comprising:

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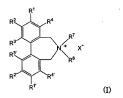
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a step of alkylating a compound represented by the formula (IV):

with a compound of the formula (V):

R¹⁸-W (V)

using a compound represented by the formula (I) that is pure with respect to its axial asymmetry as a phase-transfer catalyst:



in a medium in the presence of an inorganic base, wherein in the formula (I),

R1, R1', R2, and R2' are each independently:

- a hydrogen atom;
- a halogen atom;
- a C_1 to C_5 alkyl group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group; or
- a C₁ to C₅ alkoxy group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group;

R3 and R3 are each independently:

a halogen atom;

- a C_1 to C_5 alkyl group that may be substituted with a halogen atom and/or an aryl group, and/or that may be branched or form a cyclic group; or
- a C₁ to C₂ alkoxy group that may be substituted with a halogen atom and/or an anyl group, and/or that may be branched or form a cyclic group;
- R4 and R4' are groups independently selected from the group consisting of:
 - (i) a hydrogen atom:
- (ii) -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group than may 10 be substituted with a halogen atom);
 - (iii) a cvano group:

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- (iv) a nitro group;
- (v) a carbamovi group:
- (vi) an N-(C1 to C4 alkyl)carbamoyl group;
- (vii) an N.N-di(C₄ to C₄ alkyl)carbamovl group:
- (viii) -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom);
- (ix) a halogen atom;
- (x) a C₁ to C₆ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:
- (xi) a C2 to C6 alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:
- (xii) a C2 to C6 alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;
- (xiii) an aralkyl group, wherein the aryl moiety of the aralkyl group may be substituted with at least one group selected from the group consisting of:
 - a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an anyl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C₁ to C₄ alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom).
 - a cyano group.
 - -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom).
- a nitro group,
 - a carbamovi group.
 - an N-(C1 to C4 alkyl)carbamoyl group,
 - an N,N-di(C₄ to C₄ alkyl)carbamoyl group,
 - -NHCOR9 (where R9 is a C4 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and
 - a halogen atom:
 - (xiv) a heteroaralkyl group having a heteroaryl moiety, wherein the heteroaryl moiety may be substituted with at least one group selected from the group consisting of :
 - a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom.
 - a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an anyl group that may be substituted with a halogen atom, a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C₁ to C₄ alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom),
 - a cvano group.

-NR 30 R 31 (where R 30 and R 31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),

a nitro group.

a carbamovi group.

an N-(C, to C, alkyl)carbamovi group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom;

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(xv) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₂ alkoxy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C₁ to C₄ alkly group that may be branched and that may be substituted with a halogen atom, a cyano group. ·NR⁵⁰Pi⁻¹ (where R⁵⁰ and R⁵¹ are each independently a hydrogen atom or a C₁ to C₄ alkly group that may be substituted with a halogen atom, a charged and the group, and N-HGC to C₄ alkly group that may be substituted with a halogen atom, as the group and N-HGC to C₄ alkly group that may be branched and that may be substituted with a halogen atom).

a cyano group.

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),

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a nitro group, a carbamoyl group,

an N-(C4 to C4 alkyl)carbamovi group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom;

or may be substituted with -O-(CH₂) $_p$ -O- (where p is 1 or 2) at positions 3 and 4 that are taken together; (xvi) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C, to C_s alkoy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C_t to C_s alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $NN^{50}P^{31}$ (where P^{32} and P^{31} are each independently a hydrogen atom or a C_t to C_s alkyl group that may be substituted with a halogen atom), a nhorogroup, a carbamoyl group, an $NN^{-4}(C_t$ to C_s alkyl)carbamoyl group, or $NN^{-4}(C_t$ to C_s alkyl)carbamoyl group, or $NN^{-4}(C_t$ to C_s alkyl)carbamoyl group, or $NN^{-4}(C_t)$ to C_s alkyl group that may be branched and that may be substituted

with a halogen atom), a cyano group,

 $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom).

a nitro group,

a carbamoyl group.

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a

halogen atom), and

a halogen atom; and

(xvii) $-S(O)_n$ -R (where n is 0, 1, or 2, and R is a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom):

R⁷ and R⁸ are each independently a monovalent organic group or are taken together to form a divalent organic group; and

X is a halide anion:

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in the formula (IV) and formula (VI),

R14 and R15 are each independently:

(i) a hydrogen atom; or

(ii) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;

a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom;

an anyl group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group. NN^{20} (where R^{30} and R^{31} are each independently a hydrogen atom or C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N-(C_1$ to C_4 alkyl)carbamoyl group, an $N-(C_1$ to C_4 alkyl group that may be branched and that may be substituted with a halogen atom).

a cyano group;
-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁, to C₂ alkyl group that may

be substituted with a halogen atom);

a nitro group; a carbamovi group;

an N-(C₁ to C₄ alkyl)carbamoyl group;

an N,N-di(C₁ to C₄ alkyl)carbamoyl group;

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom); and

a halogen atom:

with the proviso that a case where both R14 and R15 are hydrogen atoms is excluded,

R16 is a group selected from the group consisting of :

(i) a hydrogen atom:

 (ii) a C₁ to C₁₀ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom, wherein the alkyl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may
be substituted with a halogen atom),

a nitro group.

a carbamovi group,

an N-(C, to C, alkyl)carbamovi group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR 9 (where R 9 is a C $_1$ to C $_4$ alkyl group that may be branched and that may be substituted with a halogen atom),

a halogen atom.

 $-\mathsf{COR}^g \text{ (where } \mathsf{R}^g \text{ is a } \mathsf{C}_1 \text{ to } \mathsf{C}_4 \text{ alkyl group that may be branched and that may be substituted with a halogent of the substituted of$

-CO₂R⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom);

(iii) a C₂ to C₆ alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:

(iv) a C_2 to C_6 alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:

(v) an aralkyl group, wherein the aryl moiety of the aralkyl group may be substituted with at least one group

selected from the group consisting of :

- a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
- a C, to C_a sikovy group that may be branched and that may be substituted with a halogen atom, an ary group that may be substituted with a halogen atom, a C, to C_a sikyl group that may be branched and that may be substituted with a halogen storm, a cyano group, -NR²⁰R²¹ (where R²⁰ and R²¹ are each independently a hydrogen atom or a C₁ to C_a lakyl group that may be substituted with a halogen atom), a nitro group, a carbarmoyl group, an NI-C₁ to C_a alkyl group that may be branched and that may be substituted with a halogen atom).
 - a cyano group, $-NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_4 , alkyl group that may be substituted with a halocen atom).
- a nitro group,
- a mire group,

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- a carbamoyl group,
- an N-(C1 to C4 alkyl)carbamoyl group,
- an N,N-di(C, to C, alkyl)carbamovi group,
- -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
- a halogen atom:
 - (vi) a heteroaralkyl group having a heteroaryl moiety, wherein the heteroaryl moiety may be substituted with at least one group selected from the group consisting of:
 - a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a C_1 to C_2 alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an any group that may be substituted with a halogen atom, a C_1 to C_n alkly group that may be branched and that may be substituted with a halogen atom, a cyano group, \cdot 18790R31 (where R30 and R31 are each independently a hydrogen atom or a C_1 to C_2 alkly group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N- $(C_1$ to C_2 alkly/carbamoyl group, and N- $(C_1$ to C_2 alkly/carbamoyl group, and $(C_1$ to $(C_2$ to $(C_2$ to $(C_2$ to $(C_3$ to
 - group, or -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be sub with a halogen atom), a cyano group.
 - -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).
 - a nitro group,
 - a carbamoyl group, an N-(C₄ to C₄ alkyl)carbamoyl group.
 - an N,N-di(C₁ to C₄ alkyl)carbamoyl group,
 - -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
 - a halogen atom;
 - (vii) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of:
 - a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C, to C, alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an any group that may be substituted with a halogen atom, a C_1 to C_4 alklyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $N_1^{20}R^{21}$ (where R^{20} and R^{21} are each independently a hydrogen atom or a C_1 to C_4 alklyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N_1^{20} - N_1^{20}
 - a cyano group.
 - -NR3⁰R3¹ (where R3⁰ and R3¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).
 - a nitro group,

- a carbamovi group.
- an N-(C1 to C4 alkyl)carbamovi group,
- an N,N-di(C1 to C4 alkyl)carbamoyl group,
- -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and

 - a halogen atom; and

(viii) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

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- a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
- a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,
- an aryl group that may be substituted with a halogen atom, a C, to C, alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C₁ to C₄ alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl
- group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom). a cyano group.
- 20 -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),
 - a nitro group.
 - a carbamovi group.
 - an N-(C, to C, alkyl)carbamoyl group,
- 25 an N,N-di(C1 to C4 alkyl)carbamoyl group,
 - -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and
 - a halogen atom:
- 30 R17 is a C₄ to C₆ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:
 - in the formula (V) and formula (VI),
 - R18 is a group selected from the group consisting of:
 - (i) a C₁ to C₁₀ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom, wherein the alkyl group may be substituted with at least one group selected from the group consisting of:
 - a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,
 - -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom),
 - a nitro group.
 - a carbamoyl group,
 - an N-(C1 to C4 alkyl)carbamoyl group,
 - an N,N-di(C1 to C4 alkyl)carbamoyl group,
 - -NHCOR9 (where R9 is a C1 to C2 alkyl group that may be branched and that may be substituted with a halogen atom).
 - a halogen atom.
- 50 -COR9 (where R9 is a C, to C, alkyl group that may be branched and that may be substituted with a halogen
 - -CO₂R9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom):
- (ii) a C3 to C9 allyl group or substituted allyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;
 - (iii) a C2 to C6 alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:

(iv) a C2 to C6 alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;

(v) an aralkyl group, wherein the aryl mojety of the aralkyl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,

an aryl group that may be substituted with a halogen atom, a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR90R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C₁ to C₄ alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom).

a cyano group,

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-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may

be substituted with a halogen atom),

a nitro group.

a carbamoyl group,

an N-(C, to C, alkyl)carbamoyl group,

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom:

25 (vi) a heteroaralkyl group having a heteroaryl mojety, wherein the heteroaryl mojety may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,

an aryl group that may be substituted with a halogen atom, a C, to C, alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C₁ to C₄ alkyl)carbamoyl group, an N,N-di(C₁ to C₄ alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom).

a cyano group,

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group,

a carbamovi group.

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C1 to C2 alkyl)carbamovl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom; and

(vii) a C₂ to C₆ propargyl group or substituted propargyl group that may be branched and that may be substituted with a halogen atom; and

in the formula (V). W is a functional group having a leaving ability, and

in the formula (VI).

* shows a newly produced asymmetric center.

16. The method of claim 15, wherein R7 and R8 of the compound represented by the formula (I) are groups independently selected from the group consisting of:

> (i) a C₁ to C₂₀ alkyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:

- (ii) a C₂ to C₁₂ alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;
- (iii) a C₂ to C₁₂ alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom:
- 5 (iv) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:
 - a C, to C, alkyl group that may be branched and that may be substituted with a halogen atom.
 - a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, NR²⁹0²⁷1 (where R²⁹ and R²¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a
 - independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbemoyl group, an N-(C₁ to C₄ alkyl)carbemoyl group, an N,N-di(C₁ to C₄ alkyl)carbemoyl group, or -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom),
 - a cyano group,
 - NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may
 be substituted with a halogen atom).
 - a nitro group,
 - a carbamovi group.
 - an N-(C, to C, alkyl)carbamoyl group,
 - an N,N-di(C1 to C4 alkyl)carbamoyl group,
 - -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
- 25 a halogen atom:

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- (v) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:
- a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an any group that may be substituted with a halogen atom, a C_1 to C_2 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR- $^{3}OR_1^{3}O$, (where $R^{3}O$ and R^{3} are each independently a hydrogen atom or a C_1 to C_2 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N- $^{1}C_1$ to C_4 alkyl)carbamoyl group, an N- $^{1}C_1$ to C_4 alkyl)carbamoyl group, an N- $^{1}C_1$ to C_4 alkyl)carbamoyl group, or -NH-COR 3 (where R^{3} is a C_1 to C_4 alkyl)carbamoyl group and N- $^{1}C_1$ to C_4 alkyl)carbamoyl group, and N- $^{1}C_1$ to C_4 alkyl)carbamoyl group, and N- $^{1}C_1$ to C_4 alkyl)carbamoyl group.
 - o overno avenin
- -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).
 - a nitro group,
 - a carbamovi group,
 - an N-(C₁ to C₄ alkyl)carbamoyl group,
 - an N,N-di(C₁ to C₄ alkyl)carbamoyl group,
 - -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
 - a halogen atom;
 - (vi) -(CH₂)_nOCONR¹⁰R¹¹ (where R¹⁰ and R¹¹ are groups independently selected from the group consisting of:
 - (1) a hydrogen atom;
 - (2) a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) a C₂ to C₆ alkenyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;
- 6 (4) a C₂ to C₆ alkynyl group that may be branched or form a cyclic group and that may be substituted with a halogen atom;
 - (5) an aralkyl group, wherein the anyl moiety of the aralkyl group may be substituted with at least one group selected from the group consisting of:

- a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₅ alkyl group that may be tranched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a Cyano group. ANR²⁰[R³¹] (where R³⁰ and R³¹ are each independently a hydrogen atom or C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamonyl group, an N-C(C₁ to C₂ alkyl)carbamonyl group, or -NH-COR³ (where R³⁰ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), a cyano group.
 - -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),
 - a nitro group,
- a carbamovi group.

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- a carbamoyi group
- an N-(C1 to C4 alkyl)carbamoyl group,
- an N,N-di(C1 to C4 alkyl)carbamoyl group,
- -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
- a halogen atom;
- (6) a heteroaralkyl group having a heteroaryl moiety, wherein the heteroaryl moiety may be substituted with at least one group selected from the group consisting of:
 - a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C_1 to C_2 allowly group that may be branched and that may be substituted with a heliogen atom, an anyl group that may be substituted with a heliogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $NI^{200}I^{20}$ (where I^{50} and I^{50} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom, a risposition C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbannyly group, a D_1 . C_1 to C_2 alkyl/group and C_3 group a carbannyly group, and C_4 to C_4 alkyl/group and C_5 group are group and C_5 group are group and C_5 group are group and C_5 group and C_5
 - alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom),
 - a cyano group,
 - -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),
- a nitro group,
 - a carbamoyl group,
 - an N-(C, to C, alkyl)carbamoyl group,
 - an N.N-di(C₁ to C₂ alkyl)carbamovl group.
 - -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
- a halogen atom;
 - (7) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:
 - a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an any group that may be substituted with a halogen atom, a C_1 to C_4 alkly group that may be branched and that may be substituted with a halogen atom, a cyano group, $-NR^{20}R^{21}$ (where R^{20} and R^{21} are each independently a hydrogen atom or a C_1 to C_4 alkly group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N\cdot(C_1$ to C_4 alkly)carbamoyl group, an $N\cdot N\cdot C_1$ (C_4 to C_4 alkly) group that may be branched and that may be substituted with a halogen atom).
 - a cuano arou
 - -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).
 - a nitro group,
 - a carbamovi group,
 - an N-(C1 to C4 alkyl)carbamoyl group,

- an N,N-di(C₁ to C₄ alkyl)carbamovl group. -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and a halogen atom; and 5 (8) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of: a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, 10 a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom, an aryl group that may be substituted with a halogen atom, a C, to C, alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4 15 alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), a cyano group. -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C4 to C2 alkyl group that may be substituted with a halogen atom), 20 a nitro group. a carbamoyl group, an N-(C₁ to C₄ alkyl)carbamovi group; an N,N-di(C1 to C4 alkyl)carbamoyl group, -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with 25 a halogen atom), and a halogen atom; and n is an integer from 1 to 12): (vii) -(CH₂), CONR¹²R¹³ (where R¹² and R¹³ are groups independently selected from the group consisting of: 30 a hydrogen atom; (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom; (3) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of: a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an any group that may be substituted with a halogen atom, a C_1 to C_4 allyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $+NR^{20}\eta^{2}$ (where R^{20} and R^{21} are each independently a hydrogen atom or a C_1 to C_2 allyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N-C_1$ to C_2 allyl/parbamoyl group, an $N-M-C_1$ to C_3 allyl/parbamoyl group, an $N-M-C_1$ to C_3 allyl/parbamoyl group, and $N-M-C_1$ where R^{21} is a C_1 to C_2 allyl group that may be tranched and allyl/parbamoyl group, or $-NM-C0R^2$ (where R^{21} is a C_1 to C_2 allyl group that may be branched and
 - that may be substituted with a halogen atom), a cyano group.
 - a Cyan's group,

 -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),
 - a nitro group,

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- a carbamoyl group,
- an N-(C₁ to C₄ alkyl)carbamoyl group,
- an N,N-di(C1 to C4 alkyl)carbamoyl group,
- -NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
- a halogen atom; and
- (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:
 - a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₂ alkoys group that may be branched and that may be substituted with a halogen atom, an any group that may be substituted with a halogen atom, a C₁ to C₂, allyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR⁵⁰R⁵¹ (where R⁵⁰ and R⁵¹ are each independently a hydrogen atom or a C₁ to C₂ allyl group that may be substituted with a halogen atom), a nitro group, a carbanonly group, an NR-01(C₁) to C₂ allylylcarbamoly group, a logical group, and carbanonly group, and NR-01(C₁) to C₂ allylylcarbamoly group, and NR-01(C₁) to C₃ allylylcarbamoly group, and NR-01(C₁) to C₄ allylylcarbamoly group, and the substituted with a halogen atom).

a cyano group,

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-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group,

a carbamoyl group,

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C, to C, alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and a halogen atom,

and n is an integer from 1 to 12);

(viii) -(CH₂)_eNR¹²COR¹³ (where R¹² and R¹³ are groups independently selected from the group consisting of:

(1) a hydrogen atom:

(2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;

(3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C, to C_a alkoys group that may be branched and that may be substituted with a halogen atom, an any group that may be substituted with a halogen atom, a C, to C, alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group. $N_1^{20}0_1^{20}$ (where R^{20} and R^{21} are each independently a hydrogen atom or a C, to C, alkyl group that may be substituted with a halogen atom), a nitro group, a cerbamoyl group, an N_1^{20} (R^{21}) (R

alkyl)carbamoyl group, or -NHCOR 9 (where R^9 is a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom),

a cyano group,

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group,

a carbamoyl group,

an N-(C₄ to C₄ alkyl)carbamovi group.

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with

a halogen atom), and

a halogen atom; and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom,

an anyl group that may be substituted with a halogen atom, a C_1 to C_4 alltyl group that may be branched and that may be substituted with a halogen atom, a oyano group, $NR^{30}R^{31}$ (where R^{30} and R^{31} are each independently a hydrogen atom or a C_1 to C_2 alltyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an $N^{1}C_1$ to C_4 alltyl carbamoyl group, an $N^{1}C_1$ C_4 alltyl group that may be branched and that may be substituted with a halogen atom).

a cvano group

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that

may be substituted with a halogen atom). a nitro group, a carbamovi group. an N-(C₁ to C₄ alkyl)carbamoyl group, an N.N-di(C, to C, alkyl)carbamoyl group, -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and a halogen atom: and n is an integer from 1 to 12); (ix) -(CH₂)_NR¹²R¹³ (where R¹² and R¹³ are groups independently selected from the group consisting of: (1) a hydrogen atom:

- (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
- (3) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom, an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom).

a cyano group,

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-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C4 to C4 alkyl group that may be substituted with a halogen atom),

a nitro group,

a carbamovi group.

an N-(C₁ to C₄ alkyl)carbamoyl group,

an N.N-di(C₁ to C₄ alkyl)carbamovl group.

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom; and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C₄ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom. a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom),

a cvano group.

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom),

a nitro group,

a carbamovi group,

an N-(C₁ to C₄ alkyl)carbamoyl group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom;

and n is an integer from 1 to 12):

(x) -(CH₂)_n Y-OR¹² (where Y is a C₁ to C₄ divalent saturated hydrocarbon group that may be branched and that may be substituted with a halogen atom, and R¹² is a group selected from the group consisting of:

(1) a hydrogen atom;

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- (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
- (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:
 - a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C₁ to C₂ alkoys group that may be branched and that may be substituted with a halogen atom, an any group that may be branched and that may be substituted with a halogen atom, a C₁ to C₂, lakly group that may be branched and that may be substituted with a halogen atom, a cyano group, "NR²⁰R²¹ (where R²⁰ and R²¹ are each independently a hydrogen atom or a C₁ to C₄ alkly (group that may be substituted with a halogen atom), a nitro group, a carbarony group, a nor. NI-d(C₁ to C₄ alkly)(carbarnoy) group, an NI-d(C₁ to C₄ alkly)(carbarnoy) group, and NI-d(C₁ to C₄ alkly)(carbarnoy) group, and not group that may be branched and that may be substituted with a halogen atom).

a cvano group.

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

may be substi a nitro group,

a carbamovi group.

an N-(C₁ to C₄ alkyl)carbamoyl group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom; and

(4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom.

a C1 to C5 alkoxy group that may be branched and that may be substituted with a halogen atom,

a cyano group,

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group.

a carbamoyl group,

an N-(C₁ to C₂ alkyl)carbamovi group.

an N,N-di(C1 to C4 alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and a halogen atom;

and n is an integer from 1 to 12);

(xi) -(CH₂)_n-OR¹² (where R¹² is a group selected from the group consisting of:

- (1) a hydrogen atom:
 - (2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;
 - (3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

- a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
- a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom,
- an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom).

a cvano group.

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-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₂ alkyl group that may be substituted with a halogen atom).

a nitro group,

a carbamovi group.

an N-(C₁ to C₄ alkyl)carbamoyl group,

an N.N-di(C₁ to C₂ alkyl)carbamovl group.

-NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with

a halogen atom), and

a halogen atom; and

- (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:
 - a C, to C, alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom, an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and

that may be substituted with a halogen atom),

a cyano group,

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C2 alkyl group that may be substituted with a halogen atom),

a nitro group,

a carbamovi group.

an N-(C1 to C4 alkyl)carbamoyl group,

an N.N-di(C1 to C4 alkyl)carbamovI group.

-NHCOR9 (where R9 is a C, to C, alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom.

and n is an integer from 1 to 12);

(xii) -(CH_a),-S-R¹² (where R¹² is a group selected from the group consisting of :

(1) a hydrogen atom:

(2) a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom;

(3) an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,

a C₁ to C₅ alkoxy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4 alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom),

a cvano group.

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-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C, to C, alkyl group that
                       may be substituted with a halogen atom).
                       a nitro group.
                       a carbamovi group.
                       an N-(C1 to C4 alkyl)carbamovi group,
                       an N,N-di(C, to C, alkyl)carbamoyl group,
                       -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with
                       a halogen atom), and
                       a halogen atom; and
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                   (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected
                   from the group consisting of:
                       a C1 to C4 alkyl group that may be branched and that may be substituted with a halogen atom,
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                       a C, to C, alkoxy group that may be branched and that may be substituted with a halogen atom.
                       an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched
                       and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are
                       each independently a hydrogen atom or a C1 to C2 alkyl group that may be substituted with a halogen
                       atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4
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                       alkyl)carbamovl group, or -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and
                       that may be substituted with a halogen atom),
                       a cyano group.
                       -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that
                       may be substituted with a halogen atom),
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                       a nitro group.
                       a carbamoyl group,
                       an N-(C<sub>4</sub> to C<sub>4</sub> alkyl)carbamoyl group,
                       an N,N-di(C1 to C4 alkyl)carbamoyl group,
                       -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with
                       a halogen atom), and
                       a halogen atom,
              and n is an integer from 1 to 12):
              (xiii) -(CH<sub>2</sub>)<sub>n</sub>-SO-R<sup>12</sup> (where R<sup>12</sup> is a group selected from the group consisting of:
                   (1) a hydrogen atom;
                   (2) a C<sub>1</sub> to C<sub>4</sub> alkyl group that may be branched and that may be substituted with a halogen atom;
                   (3) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group
                   consisting of:
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                       a C1 to C2 alkyl group that may be branched and that may be substituted with a halogen atom,
                       a C<sub>1</sub> to C<sub>5</sub> alkoxy group that may be branched and that may be substituted with a halogen atom,
                       an aryl group that may be substituted with a halogen atom, a C1 to C4 alkyl group that may be branched
                       and that may be substituted with a halogen atom, a cyano group, -NR30R31 (where R30 and R31 are
                       each independently a hydrogen atom or a C1 to C4 alkyl group that may be substituted with a halogen
                       atom), a nitro group, a carbamoyl group, an N-(C1 to C4 alkyl)carbamoyl group, an N,N-di(C1 to C4
                       alkyl)carbamoyl group, or -NHCOR9 (where R9 is a C, to C, alkyl group that may be branched and
                       that may be substituted with a halogen atom).
                       a cyano group
                       -NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C1 to C4 alkyl group that
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                       may be substituted with a halogen atom),
                       a nitro group.
                       a carbamovi group.
                       an N-(C<sub>1</sub> to C<sub>4</sub> alkyl)carbamoyl group,
                       an N.N-di(C1 to C4 alkyl)carbamoyl group,
                       -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with
                       a halogen atom), and
                       a halogen atom; and
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- (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:
 - a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a C_1 to C_6 alkoxy group that may be branched and that may be substituted with a halogen atom,
 - an anyl group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $\cdot NR^{20}R^{21}$ (where R^{20} and R^{20} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a pith or group, a carbonary or group, and R^{10} constant of R^{10} constant of R^{10} constant or R^{10} constant of R^{10} constant of R^{10} constant or R^{10} constant of R^{10} constant of R^{10} constant or R^{10} constant of R^{10
 - atom), a nitro group, a carbamoyl group, an N-(C_1 to C_4 alkyl)carbamoyl group, an N,N-di(C_1 to C_4 alkyl)carbamoyl group, or -NHCO/Ri (where Ri[§] is a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halocen atom).
 - a cyano group.
- -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),
- a nitro group,

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- a carbamoyl group,
- an N-(C1 to C4 alkyl)carbamoyl group,
- an N,N-di(C, to C, alkyl)carbamoyl group,
- -NHCOR⁹ (where R⁹ is a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom), and
- a halogen atom; and n is an integer from 1 to 12); and
 - (xiv) -(CH₂)_n-SO₂-R¹² (where R¹² is a group selected from the group consisting of :
 - (1) a hydrogen atom:
 - (2) a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom; (3) an anyl group, wherein the anyl group may be substituted with at least one group selected from the group consisting of the substituted with a substitute
- a C₁ to C₄ alkyl group that may be branched and that may be substituted with a halogen atom,
 - a C_1 to C_5 alkoys group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $NN^{50}R^{51}$ (where R^{51} and R^{51} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom, a nitro group, a carbamnyl group, an $N-(C_1$ to C_4 alkyl)carbamnyl group, an $NN-d(C_1$ to C_4 alkyloarbamnyl group, or $NN-d(C_1$ to C_4 alkyloarbamnyl group, or $NN-d(C_1)$ (where R^{51} is C_4 to C_4 alkylogroup that may be branched and
 - that may be substituted with a halogen atom),
 - -NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).
 - a nitro group,
 - a carbamoyl group,
 - an N-(C₁ to C₄ alkyl)carbamoyl group,
 - an N,N-di(C₁ to C₄ alkyl)carbamoyl group,
 - -NHCOR9 (where R9 is a C1 to C4 alkyl group that may be branched and that may be substituted with
 - a halogen atom), and a halogen atom; and
 - (4) a heteroaryl group, wherein the heteroaryl group may be substituted with at least one group selected from the group consisting of:
 - a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom.
 - a C_1 to C_5 alkoy group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C_1 to C_4 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, NR⁵⁰R⁵¹ (where R⁵⁰ and R⁵¹ are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a carbamnyl group, an N-(C_1 to C_4 alkyl group that may be pranched and silvyloarbamnyl group, or NNCOF0 (where R¹⁸ a C_1 to C_4 alkyl group that may be branched and

that may be substituted with a halogen atom),

a cyano group,

-NR³⁰R³¹ (where R³⁰ and R³¹ are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom),

a nitro group.

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a carbamovi group.

an N-(C1 to C4 alkyl)carbamoyl group,

an N,N-di(C₁ to C₄ alkyl)carbamoyl group,

-NHCOR9 (where R9 is a C₁ to C₄ alkyl group that may be branched and that may be substituted with

a halogen atom), and a halogen atom;

a naiogen atom,

and n is an integer from 1 to 12); or

R7 and R8 are taken together to form a divalent group selected from the group consisting of:

-(CH2)m- (where m is an integer from 2 to 8);

(where R^{20} , R^{21} , R^{22} , R^{24} , R^{25} , R^{26} , R^{27} , R^{28} , R^{29} , R^{41} , and R^{42} are groups independently selected from the group consisting of:

a hydrogen atom;

a C_1 to C_8 alkyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen

a C_2 to C_8 alkenyl group that may be branched or form a cyclic group, and/or that may be substituted with a halooen atom:

a C_2 to C_8 alkynyl group that may be branched or form a cyclic group, and/or that may be substituted with a halogen atom;

an aryl group, which may be substituted with a C1 to C4 alkyl group that may be substituted with a halogen

atom, a C₁ to C₂ elkovy group that may be substituted with a halogen atom, an anyl group that may be substituted with a C₁ to C₂ elkoy group that may be substituted with a halogen atom, a cyano group, a halogen atom, a nitro group. NRPRP1 (where RN3 and RP1 are each independently a hydrogen atom or a C₁ to C₂ alkyl group that may be substituted with a halogen atom, or a cyclic amino group that is formed by a C₂ to C₃ alkyleng group, a hardrangly group, which may be substituted with a halogen atom, a C₁ to C₂ alkoy group that may be substituted with a halogen atom, a nitro group, NRPPS1 (where RD3 and RP3 are each independently a hydrogen atom a C₁ to C₂ alky group that may be substituted with a halogen atom, a crack group, which has an any flowley that may be substituted with a halogen atom, or a cyclic amino group that is formed by a C₂ to C₂ alkyleng group; a narelikyl group, which has an any flowley that may be substituted with a C₁ to C₂ alkyl group that may be substituted with a halogen atom, a C₁ to C₂ alkoy group that may be substituted with a halogen atom, a C₁ to C₂ alkoy group that may be substituted with a halogen atom, a C₁ to C₂ alkoy group that may be substituted with a halogen atom, a cyclic amino group, a halogen atom, a nitro group, NRPPS1 where RN3 and RN1 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom, a nitro group.

a hetroaralkyl group, which has a hetroaryl molety that may be substituted with a C_1 to C_2 alky group that may be substituted with a halogen atom, a C_1 to C_3 alkoxy group that may be substituted with a halogen atom, a nitro group. \cdot NR^{OPOT} (where R^{O2} and R^{O3} are each independently a hydrogen atom or a C_1 to C_2 alkyl group that may be substituted with a halogen atom), or a cyclic amino group that is formed by a C_3 to C_3 alkylene group:

a (C1 to C2 alkoxy)carbonyl group;

a carbamovi group:

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an N-(C1 to C4 alkyl)carbamoyl group; and

an N,N-di(C1 to C4 alkyl)carbamoyl group (where the C1 to C4 alkyl groups may be the same or different)).

- The method of claim 16, wherein each of R¹, R¹, R², R², R², R³, and R³ of the compound represented by the formula
 (i) is a C₁ to C₅ alkoxy group that may be branched or form a cyclic group and that may be substituted with a halogen atom.
- 18. The method of claim 16, wherein each of R¹, R¹, R², R², R³, and R³ of the compound represented by the formula (I) is a methoxy group, an ethoxy group, or a benzyloxy group.
 - 19. The method of any one of claims 16 to 18, wherein R⁴ and R^{4'} of the compound represented by the formula (I) are groups independently selected from the group consisting of:

a hydrogen atom; and

an aryl group, wherein the aryl group may be substituted with at least one group selected from the group consisting of:

a C₁ to C₂ alkyl group that may be branched and that may be substituted with a halogen atom,

a C_1 to C_2 alkoys group that may be branched and that may be substituted with a halogen atom, an anyl group that may be substituted with a halogen atom, a C_1 to C_2 alkyl group that may be branched and that may be substituted with a halogen atom, a cyano group, $NN^{30}P^{31}$ (where P^{30} and P^{31} are each independently a hydrogen atom or a C_1 to C_4 alkyl group that may be substituted with a halogen atom), a nitro group, a certainnyl group, a NN^{1} -di[C_1 to C_4 alkyl)carbannyl group, a NN^{1} -di[C_1 to C_4 alkyl)carbannyl group, a NN^{1} -di[C_1 to C_4 alkyl)carbannyl group, or NN^{1} -di[C_1 to C_4 alkyl)carbannyl group, and NN^{1} -di[C_1 to C_2 alkyl)carbannyl group, and NN^{1} -di[C_1 to

with a halogen atom), a cvano group.

-NR30R31 (where R30 and R31 are each independently a hydrogen atom or a C₁ to C₄ alkyl group that may be substituted with a halogen atom).

a nitro group,

a carbamovi group.

an N-(C₁ to C₄ alkyl)carbamoyl group,

an N.N-di(C₁ to C₂ alkyl)carbamovl group,

-NHCOR 9 (where R 9 is a C $_1$ to C $_4$ alkyl group that may be branched and that may be substituted with a halogen atom), and

a halogen atom.

20. The method of claim 19, wherein each of R⁴ and R^{4'} of the compound represented by the formula (I) is a 3,4,5-trifluorophenyl group or a 3,5-bis(trifluoromethyl)phenyl group.

- 21. The method of any one of claims 16 to 20, wherein R⁷ and R⁸ of the compound represented by the formula (I) are each independently a C₁ to C₂₀ alkyl group that may be branched or form a cyclic group and that may be substituted with a halocen atorn.
- The method of claim 21, wherein R⁷ and R⁸ of the compound represented by the formula (I) are both n-butyl groups.
 - 23. The method of claim 15, wherein the inorganic base is used in the form of an aqueous inorganic-base solution.
- 24. The method of claim 23, wherein the inorganic base in the aqueous inorganic-base solution is used in a ratio of at least 0.5 equivalents up to 280 equivalents per 1 equivalent of the compound represented by the formula (IV).
 - 25. The method of claim 24, wherein a concentration of the aqueous inorganic-base solution is from 10 w/w% to 70 w/w%.
 - 26. The method of claim 24 or 25, wherein the compound represented by the formula (I) is used in a ratio of 0.0001 mol% to 5 mol% per 1 mol of the compound represented by the formula (IV).
 - 27. The method of any one of claims 24 to 26, wherein a volume ratio between the medium and the aqueous inorganic-base solution is 7:1 to 1:5.
- 20 28. The method of claim 23, wherein a volume ratio between the medium and the aqueous inorganic-base solution is 7:1 to 1:5.
 - 29. The method of claim 28, wherein a concentration of the aqueous inorganic-base solution is from 10 w/w% to 70 w/w%.
- 30. The method of claim 28 or 29, wherein the compound represented by the formula (I) is used in a ratio of 0.0001 mol% to 5 mol% per 1 mol of the compound represented by the formula (IV).
 - 31. A method for producing an optically active α-amino acid, comprising:

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a step of hydrolyzing an imino group (R14R15C=N-) of the compound represented by the formula (VI) that is obtained by the method of any one of claims 15 to 30 under acidic conditions:

(wherein R¹4, R¹5, R¹6, R¹7, and R¹8 are the same groups as defined above); and a step of hydrolyzing an ester group (-CO₂R¹²) of the acid-hydrolysis product under acidic or basic conditions.

45 32. A method for producing an optically active α-amino acid, comprising:

a step of hydrolyzing an ester group (-CO₂R¹⁷) of the compound represented by the formula (VI) that is obtained by the method of any one of claims 15 to 30 under basic conditions:

$$R_{15}^{14} = N + R_{16}^{16} = 0$$
 $R_{18}^{16} = 0$
 $R_{18}^{17} = 0$
 $R_{18}^{17} = 0$
 $R_{18}^{17} = 0$

(wherein R14, R15, R16, R17, and R18 are the same groups as defined above); and

EP 1 870 403 A1 a step of hydrolyzing an imino group (R1⁴R1⁵C-N-) of the base-hydrolysis product under acidic conditions.

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INTERNATIONAL SEARCH REPORT

International application No. PCT/JP2006/306791

A. CLASSIFICATION OF SUBJECT MATTER

A. MASSARIA MINUTOMINE MATITA (2006.01), COTD23/18[2006.01), COTD487/10[2006.01), COTD498/10[2006.01), COTD513/10 (2006.01), COTC227/04[2006.01), COTC227/32[2006.01), COTC229/36[2006.01), COTC249/02[2006.01), COTC251/24[2006.01), BOJ31/02[2006.01], According to Hermstowall Palent Classification (HC) or to both advance classificators and HC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

B01J31/02, C07B53/00, C07B61/00, C07C227/04, C07C227/32, C07C229/36, C07C249/02, C07C251/24, C07D223/18, C07D487/10, C07D498/10, C07D513/10

Documentation searched other than minimum decommentation to the extent that such documents, are included in the fields searched Jitesayo Shinana Koho 1922-1996 Jitsayo Shinana Toroku Koho 1996-2006 Kokai Jitesayo Shinan Koho 1994-2006 Coroku Jitesayo Shinan Koho 1994-2006

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used) CAPLUS (STN) , CAOLD (STN) , REGISTRY (STN)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Х	JP 2004-359578 A (NIPPON SODA CO.),	1-5,15-30
Y	24 December, 2004 (24.12.04),	8-14,31,32
	Claims; pages 14, 18	
	(Family: none)	
X Y	00I, T., A new N-spiro C2-symmetric chiral	1-7,15-30
Y	quaternary ammonium bromide consisting of	8-14,31,32
	4,6-disubstituted biphenyl subunit as an	
	efficient chiral phase-transfer catalyst,	
	Synlett(2003), No.12, pages 1931 to 1933	
	page 1931, right column; page 1933	
x	KASHIWADA, Y., New hexahydroxybiphenyl	1-4
	derivatives as inhibitors of protein kinase	
	C, Journal of Medicinal Chemistry(1994),	
	Vol.37, No.1, pages 195 to 200;	
	page 196, right column	1

	page 196, right column			
X	Further documents are listed in the continuation of Box C.	See patent family annex.		
,V.,	Special estagones of cited documents: document defin ag the general state of the art which is not considered to be of perticular relevance	"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention.		
E'	earlier application or patent but published on or after the international filing date document which may throw doubts on priority claim(s) or which is	"X" document of particular relevance; the eletimed inventors cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone.		
'o"	cited to establish the publication date of another citation or other special tensor (as specialed) document referring to an oral disclosure, use, exhibition or other means	f° document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination.		
P.	document published prior to the international filing date but later than the priority date claimed	being obvious to a person skilled in the art &' document member of the same patent family		
Date	of the actual completion of the international search 18 April, 2006 (18.04.06)	Date of mailing of the international search report 02 May, 2006 (02.05.06)		
Nan	e and mailing address of the ISA/ Japanese Patent Office	Authorized officer		

For a PCT/ISA/210 (second sheet) (April 2005)

Telephore No

INTERNATIONAL SEARCH REPORT

International application No. PCT/JP2006/306791

		PCT/JP20	006/306791
(Continuation	D. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevan	nt passages	Relevant to class: No
х	INSOLE, J.M., Steric effects of methoxy g in 2,2'-bridged hiphenyls.II., Journal of Chemical Society, Perkin Transactions 2: Physical Organic Chemistry(1972-1999), (1 No.9, pages 1168 to 1173; page 1972, left column; page 1973, left column	the 972),	1,4,8,11
x	chemical Abstract 46:57075, OREF 46:11211 11212a-i, EEAVEN, G.H., Relation between configuration and conjugation in diphenyl derivatives. I. The enantimorphism and ultraviolet absorption spectra of some 2,2 bridged compounds, Journal of the Che Society(1952), pp. 834-68, column 11212, d	mical	1,4,8,11
х	Chemical Matract 52:55790. OREF 52:1014 1015a-c, FITT30, D.D., Configurational at in the hipkenyl series.IV.Conformation an optical rotation of restricted hiphenyls by configurational correlation of hieryls by configuration of restricted 1,11 binaphth Journal of the American Chemical Society(Vol.86, pp.489-6, column 10015, a-c	udies d yls,	1,4,8,11
x	Chemical Abstract 53:2119, OREF 53:405c-i 405a, AHMED, SHAKTI R., Steric effects in 2,2 bridged biphenyls with a heterocycli bridging ring.I. Optically active dihydrodibenzazepines, Journal of the Che Society(1958), pp.3043-7, column 405, d.,	c mical	1,4,8,11
x	Chemical Abstract 55:38083, ORBF 55:7430c ABMED, SHAKTI R., Steric effects in 2,2-bridged biphenyls with a Leterocyclic bring, III. Ultraviolet absorption spectra some dihydrodibennasepinium compounds, Jo of the Chemical Society(1860), pp.4165-9, Column, 743C, d-e	dging of urnal	1,4
Ā	LYGO, B., Identification of a highly effe asymmetric phase-transfer catalyst derive- from a-methylnaphthylamine, Tetrahedron Letters(2003), Vol.44, No.30, pages 5629 5632; page 5630, right column	d	1-32
Ā	JP 2002-326992 A (NAGASE SANCYO KK), 15 November, 2002 (15.11.02), 20g0 7 (Family: none)		1-32

Form PCT/ISA/210 (continuation of second sheet) (April 2005)

INTERNATIONAL SEARCH REPORT

International application No.

Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT	
'ategory' Citation of document, with indication, where approp	riale, of the relevant passages Relevant to claim N
Y SHI, M., Synthesis of axially of chiral ammonium salts by quate secondary anines with (R)-(+)-2 (bronomethyl)-6,6*dinitroble (+)-2,2*-bis (bronomethyl)-1,1'-an examination of their ability phase-transfer catalysts, Journ Research, Synopses(1995), No.2, page 46	mization of ,,2'-bis myl and (R)- binaphthyl and es as chiral al of Chemical
Y OOI, T., Molecular Design of a Chiral Phase-Transfer Catalyst Asymmetric Synthesis of α-Amino Acids.J.Am.Chem.Soc.(1999), Vol pages 6519 to 6520; page 6519,	for Practical
P.X HAN, ZHENFU, Convenient preparactive phase-transfer catalyst asymmetric synthesis of a-distyl a-dialkyl-a-amino acide: appli short asymmetric synthesis of Tetrahedron Letters(2005), vol. pages 8555 to 8558	for catalytic - and a, sation to the SIRT-377

Form PCT/ISA/210 (continuation of second sheet) (April 2005)

INTERNATIONAL SEARCH REPORT

international application No.

	PCT/JP2006/306791			
Continuation of A. CLASSIFICATION OF SUBJECT MAT	TER			
(International Patent Classification (IPC))				
C07B53/00(2006.01), C07B61/00(2006.01)				
(According to International Patent Classificati	ion (IPC) ortobothnational			
classification and IPC)				

Form PCT/ISA/210 (extra sheet) (April 2005)

INTERNATIONAL SEARCH REPORT

International application No. PCT/JP2006/306791

The subject matters of claims 1-32 are compounds represented by the formula (T), a method of reaction using the compounds as a catalyst, etc. However, compounds having the basic skeleton in the formula (T) are known as apparent from the fact that they are described in document (TP 2004-35978 A (NIPPON SODA CO), becember 24, 2004), etc. The compounds claimed are hence not considered to have a novel basic skeleton common among their chemical structures. In view of this, one invention cannot be clearly grasped from the claims, in which such compounds are described.

on the other hand, a search through prior-art documents was made, while referring to the contents of the description. However, in part of the search, many compounds falling under the category of the compounds claimed were already found.

Furthermore, the compounds which are supported by the description in the meaning of Article 6 of the PCT and are disclosed in the meaning of Article 5 of the PCT are limited to an extremely small part of the compounds represented by the formula (1) given in claims 1-32.

Therefore, an international search was made for the compounds specifically described in the description within the range of a reasonable burden.

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REFERENCES CITED IN THE DESCRIPTION

This list of references cited by the applicant is for the reader's convenience only. It does not form part of the European patent document. Even though great care has been taken in compiling the references, errors or omissions cannot be excluded and the EPO disclaims all liability in this repard.

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